09/ 830,227

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NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	SEP 09	CA/CAplus records now contain indexing from 1907 to the present
NEWS	4	AUG 05	New pricing for EUROPATFULL and PCTFULL effective August 1, 2003
NEWS	5	AUG 13	Field Availability (/FA) field enhanced in BEILSTEIN
NEWS	6	AUG 18	Data available for download as a PDF in RDISCLOSURE
NEWS	7	AUG 18	Simultaneous left and right truncation added to PASCAL
NEWS	8	AUG 18	FROSTI and KOSMET enhanced with Simultaneous Left and Righ Truncation
NEWS	9	AUG 18	Simultaneous left and right truncation added to ANABSTR
NEWS	10	SEP 22	DIPPR file reloaded
NEWS	11	DEC 08	INPADOC: Legal Status data reloaded
NEWS	12	SEP 29	DISSABS now available on STN
NEWS	13	OCT 10	PCTFULL: Two new display fields added
NEWS	14	OCT 21	BIOSIS file reloaded and enhanced
NEWS	15	OCT 28	BIOSIS file segment of TOXCENTER reloaded and enhanced
NEWS	16	NOV 24	MSDS-CCOHS file reloaded
NEWS	17	DEC 08	CABA reloaded with left truncation
NEWS	18	DEC 08	IMS file names changed
NEWS	19	DEC 09	Experimental property data collected by CAS now available in REGISTRY
NEWS	20	DEC 09	STN Entry Date available for display in REGISTRY and CA/CAplus

NEWS EXPRESS	NOVEMBER 14 CURRENT WINDOWS VERSION IS V6.01c, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
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NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

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FILE 'HOME' ENTERED AT 08:56:38 ON 16 DEC 2003

=> file reg
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION

09/ 830,227

FULL ESTIMATED COST 0.21 0.21

FILE 'REGISTRY' ENTERED AT 08:56:58 ON 16 DEC 2003
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 15 DEC 2003 HIGHEST RN 627458-65-5
DICTIONARY FILE UPDATES: 15 DEC 2003 HIGHEST RN 627458-65-5

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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L1 STRUCTURE UPLOADED

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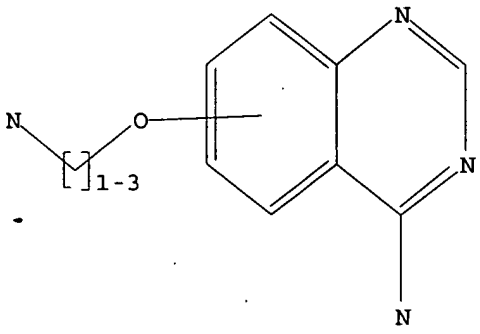
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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FULL SEARCH INITIATED 08:57:20 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 15483 TO ITERATE

100.0% PROCESSED 15483 ITERATIONS 2923 ANSWERS
SEARCH TIME: 00.00.01

L2 2923 SEA SSS FUL L1

=> file caplus

09/ 830,227

FILE 'CAPLUS' ENTERED AT 08:57:30 ON 16 DEC 2003
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FILE COVERS 1907 - 16 Dec 2003 VOL 139 ISS 25
FILE LAST UPDATED: 15 Dec 2003 (20031215/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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        959210 AMINO
       4407236 ACID?
        602532 AMINO ACID?
                  (AMINO(W)ACID?)
L3           4 L2 AND (AMINO ACID?)

=> d l3 1- ibib abs hitstr
YOU HAVE REQUESTED DATA FROM 4 ANSWERS - CONTINUE? Y/(N):y
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L3 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:930839 CAPLUS
TITLE: Angiogenesis-related gene expression analysis of blood and skin samples for diagnosis and post-chemotherapy treatment evaluation of Kaposi's sarcoma and other human cancers
INVENTOR(S): Van der Kuyl, Antoinette Cornelia; Cornelissen, Marion
PATENT ASSIGNEE(S): Neth.
SOURCE: U.S. Pat. Appl. Publ., 36 pp., Cont.-in-part of U.S. Ser. No. 55,728.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003219772	A1	20031127	US 2002-310677	20021205
US 2003170720	A1	20030911	US 2002-55728	20020123

PRIORITY APPLN. INFO.:
US 2001-325722P P 20010928
US 2002-55728 A2 20020123
EP 2001-200228 A 20010123
EP 2001-20373 A 20010928

AB This invention relates to angiogenesis-related gene expression anal. of blood and skin samples for diagnosis and post-chemotherapy treatment evaluation of Kaposi's sarcoma and other human cancers. The invention

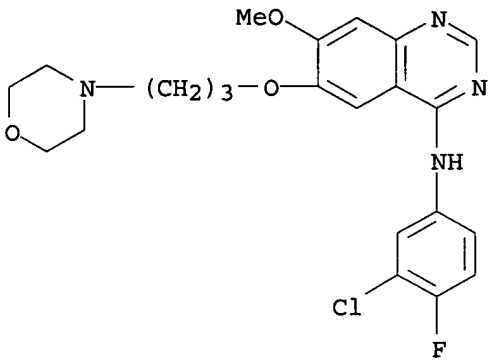
provides a method for detg. whether a chemotherapy regime is effective in treatment of tumors in a patient. Tumor-specific markers, comprising angiogenesis-assocd. gene expression markers, are evaluated from patient samples (skin or peripheral blood mononuclear cells) after initiation of a chemotherapy treatment. Said marker gene may be a gene involved in the generation, maintenance and/or breakdown of blood vessels. A method of the invention is very suitable to det. within a few days if a certain treatment against Kaposi's Sarcoma and/or a mesenthelial tumor is successful. Moreover, this method is suitable for detg. the presence of angiogenesis and/or tumor cells in a patient.

IT INDEXING IN PROGRESS

IT **184475-35-2**, Iressa
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
((ZD1839), chemotherapy agent; angiogenesis-related gene expression anal. of blood and skin samples for diagnosis and post-chemotherapy treatment evaluation of Kaposi's sarcoma and other human cancers)

RN 184475-35-2 CAPLUS

CN 4-Quinazolinamine, N-(3-chloro-4-fluorophenyl)-7-methoxy-6-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



L3 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:417621 CAPLUS

DOCUMENT NUMBER: 139:7174

TITLE: Method for identification of tumor targeting enzymes for design of compounds which generate anticancer substances

INVENTOR(S): Ishitsuka, Hideo; Okabe, Hisafumi; Shimma, Nobuo; Tsukuda, Takuo; Umeda, Isao

PATENT ASSIGNEE(S): F. Hoffman-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 118 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003043631	A2	20030530	WO 2002-EP12911	20021118

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003138864 A1 20030724 US 2002-301460 20021121

PRIORITY APPLN. INFO.: EP 2001-127401 A 20011123
EP 2001-130245 A 20011219
EP 2002-5298 A 20020312

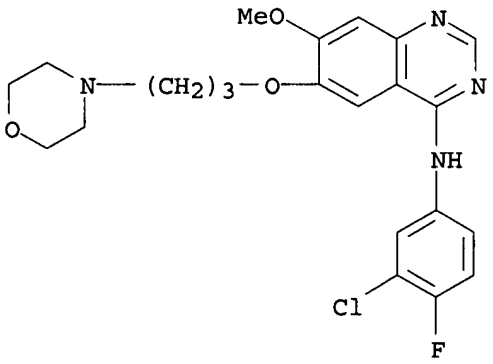
OTHER SOURCE(S): MARPAT 139:7174

AB The invention relates to a method for the identification of enzymes that are preferentially expressed in certain tumor tissue as compared with rapidly growing normal cells or tissue and the use of the enzymes to design compds. which generate active anticancer substances selectively in tumor tissue. Compds. X-Y-Q [X is a pro-moiety that is designed to generate an active anticancer substance (Q-Y-H) selectively in tumors by the enzymes; Q-Y- is a radical derived from the active anticancer substance in which Y is O, S or N] and their pharmaceutically-acceptable salts are claimed. Thus, 13.alpha.-[(2R,3S)-2-[(5S)-[5-[(2S)-(2-aminopropionyl)amino]-5-hydroxycarbonyl]pentanoyloxy]-3-(benzoylamino)-3-phenylpropionyloxy]-2a-(benzyloxy)-4a,10.beta.-diacetoxy-1.beta.,7.beta.-dihydroxy-5.beta.,20-epoxytax-1-en-9-one formic acid salt (I) was prepd. by reaction of taxol with (2S)-2-[(2S)-2-(benzyloxycarbonylamino)-3-phenylpropionylamino]hexanedioic acid 1-benzyl ester. Compd. I showed cytotoxicity IC50 = 51 nM after 24 h against human colon cancer cell line HCT116.

IT **184475-35-2**, ZD 1839
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(identification of tumor-targeting enzymes for design of compds. which generate anticancer substance)

RN 184475-35-2 CAPLUS

CN 4-Quinazolinamine, N-(3-chloro-4-fluorophenyl)-7-methoxy-6-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



L3 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:301212 CAPLUS

DOCUMENT NUMBER: 138:316772

TITLE: Crystal structure of human Aurora A kinase catalytic domain complexed with ATP analog and inhibitor and applications to structure-based drug design

INVENTOR(S): Anderson, Malcolm; Keen, Nicholas John; Pannifer, Andrew David Bruce; Pauptit, Richard Alexander; Rowsell, Sian

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2

09/ 830,227

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003031606	A2	20030417	WO 2002-GB4589	20021008

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2001-24299 A 20011010

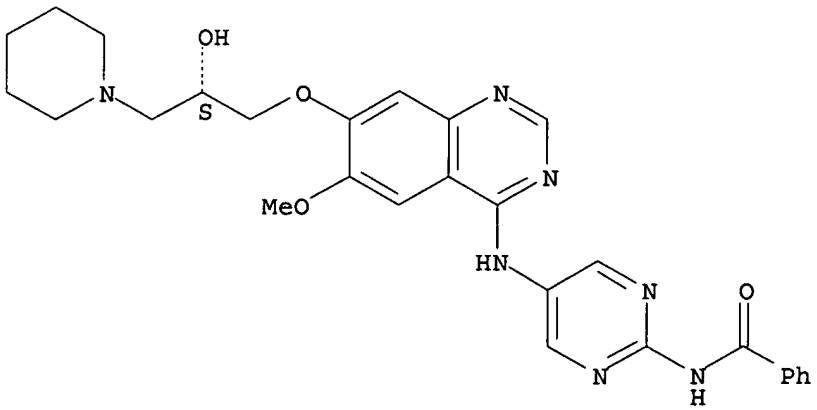
AB The invention relates to crystd. human Aurora A kinase and the use of its three-dimensional structure to investigate Aurora kinase homologs and to design Aurora kinase modulators. The invention provides two cryst. forms of a polypeptide corresponding to the catalytic domain of human Aurora A kinase. One cryst. form is obtained when [T287D]Aurora A(122-396) was crystd. in the presence of the ATP analog AMP-PNP. The second cryst. form was obtained when GSHM-[T287D]Aurora A(122-400) was crystd. in the presence of a synthetic inhibitor. The active site ATP binding pocket is defined by its **amino acid** residues and their at. coordinates. This structure may be used to select or design chem. modulators of Aurora kinase, particularly Aurora inhibitors. These modulators may be used to treat diseases of cell proliferation, e.g. cancer.

IT **331788-25-1DP**, complexes with Aurora A kinase catalytic domain
RL: BPN (Biosynthetic preparation); BSU (Biological study, unclassified); BUU (Biological use, unclassified); PRP (Properties); BIOL (Biological study); PREP (Preparation); USES (Uses)
(crystal structure of human Aurora A kinase catalytic domain complexed with ATP analog and inhibitor and applications to structure-based drug design)

RN 331788-25-1 CAPLUS

CN Benzamide, N-[5-[[7-[(2S)-2-hydroxy-3-(1-piperidinyl)propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:888893 CAPLUS
DOCUMENT NUMBER: 137:383800
TITLE: Chimeric and humanized antibodies and fragments specific to glycosylated EGF receptor for cancer diagnosis and therapy
INVENTOR(S): Old, Lloyd J.; Johns, Terrance Grant; Panousis, Con; Scott, Andrew Mark; Renner, Christoph; Ritter, Gerd; Jungbluth, Achim; Stockert, Elisabeth; Collins, Peter; Cavenee, Webster K.; Huang, Huei-Jen; Burgess, Anthony Wilks; Nice, Edouard Collins
PATENT ASSIGNEE(S): Ludwig Institute for Cancer Research, USA
SOURCE: PCT Int. Appl., 245 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002092771	A2	20021121	WO 2002-US15185	20020513

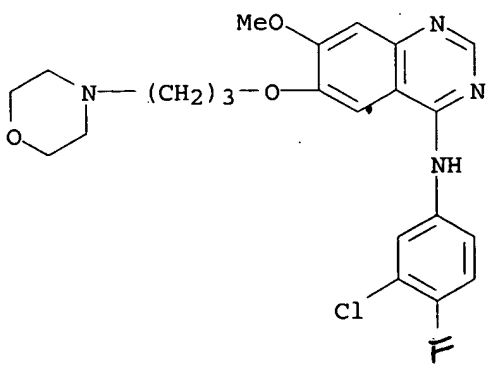
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-290410P P 20010511
US 2001-326019P P 20010928
US 2001-342258P P 20011221

AB The invention relates to specific binding members, particularly antibodies and active fragments thereof, which recognize an aberrant post-translationally modified, particularly an aberrant glycosylated form of the EGFR. The binding members, particularly antibodies and fragments thereof, of the invention do not bind to EGFR on normal cells in the absence of amplification of the wild- type gene and are capable of binding the de2-7 EGFR at an epitope which is distinct from the junctional peptide. Antibodies of this type are exemplified by the novel antibody 806 whose VH and VL sequences are illustrated as SEQ ID Nos: 2 and 4 and chimeric antibodies thereof as exemplified by ch806. The antibodies may also be radiolabeled for immunodiagnosis and radioimmunotherapy of cancers, esp. brain-resident cancers.

IT **184475-35-2**, ZD 1839
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(tyrosine kinase inhibitor; chimeric and humanized antibodies and fragments specific to glycosylated EGF receptor for cancer diagnosis and therapy)

RN 184475-35-2 CAPLUS
CN 4-Quinazolinamine, N-(3-chloro-4-fluorophenyl)-7-methoxy-6-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



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NEWS	15	OCT 28	BIOSIS file segment of TOXCENTER reloaded and enhanced
NEWS	16	NOV 24	MSDS-CCOHS file reloaded
NEWS	17	DEC 08	CABA reloaded with left truncation
NEWS	18	DEC 08	IMS file names changed
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NEWS	20	DEC 09	STN Entry Date available for display in REGISTRY and CA/CAplus

NEWS EXPRESS	NOVEMBER 14 CURRENT WINDOWS VERSION IS V6.01c, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 09:05:16 ON 16 DEC 2003

=> file reg
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION

09/ 830,227

FULL ESTIMATED COST 1.89 1.89

FILE 'REGISTRY' ENTERED AT 09:10:50 ON 16 DEC 2003
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provided by InfoChem.

STRUCTURE FILE UPDATES: 15 DEC 2003 HIGHEST RN 627458-65-5
DICTIONARY FILE UPDATES: 15 DEC 2003 HIGHEST RN 627458-65-5

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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Uploading 08930227c.str

L1 STRUCTURE UPLOADED

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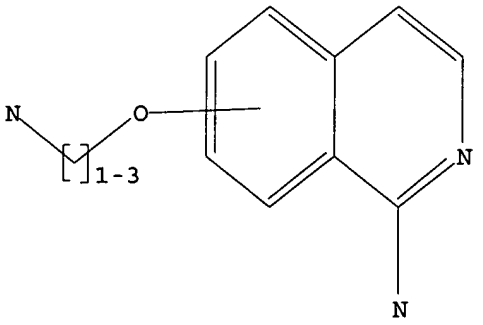

Structure attributes must be viewed using STN Express query preparation.

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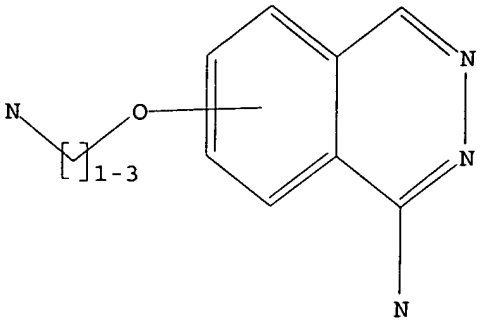
L2 STR



Structure attributes must be viewed using STN Express query preparation.

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100.0% PROCESSED 7043 ITERATIONS 289 ANSWERS
SEARCH TIME: 00.00.01

L3 289 SEA SSS FUL L1

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FULL SEARCH INITIATED 09:11:56 FILE 'REGISTRY'
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SEARCH TIME: 00.00.01

L4 5 SEA SSS FUL L2

=> file caplus
FILE 'CAPLUS' ENTERED AT 09:12:06 ON 16 DEC 2003
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FILE COVERS 1907 - 16 Dec 2003 VOL 139 ISS 25
FILE LAST UPDATED: 15 Dec 2003 (20031215/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L5          14 L3

=> s l4
L6           1 L4

=> s l5 not l6
L7          14 L5 NOT L6

=> d l5 1- ibib abs hitstr
YOU HAVE REQUESTED DATA FROM 14 ANSWERS - CONTINUE? Y/(N):y

L5   ANSWER 1 OF 14  CAPLUS  COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER:         2003:818282  CAPLUS
DOCUMENT NUMBER:          139:323430
TITLE:                    Preparation of 2-iminopyrrolidines and related
                          compounds as blood-coagulation factor Xa and VIIa
                          inhibitors for the treatment of tumors and
                          thromboembolic diseases
INVENTOR(S):              Cezanne, Bertram; Dorsch, Dieter; Mederski, Werner;
                          Tsaklakidis, Christos; Barnes, Christopher; Gleitz,
                          Johannes
PATENT ASSIGNEE(S):       Merck Patent G.m.b.H., Germany
SOURCE:                   PCT Int. Appl., 81 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 German
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
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     WO 2003084533      A1   20031016       WO 2003-EP2349   20030307
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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
             UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
             NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
             GW, ML, MR, NE, SN, TD, TG
     DE 10214832        A1   20031016       DE 2002-10214832 20020404
PRIORITY APPLN. INFO.:                   DE 2002-10214832 A  20020404
OTHER SOURCE(S):          MARPAT 139:323430
GI
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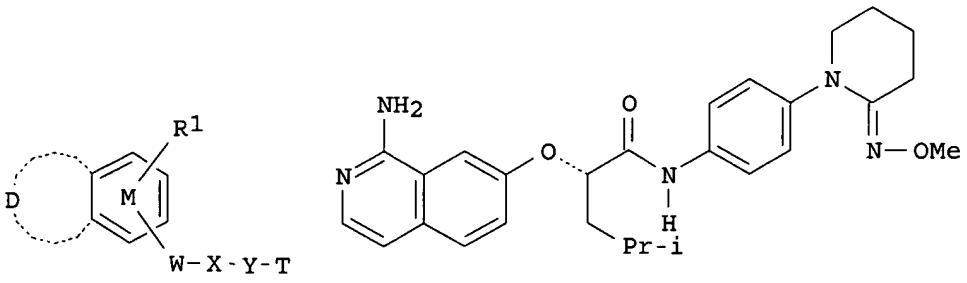

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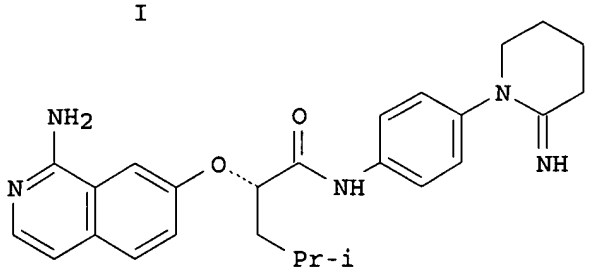


III

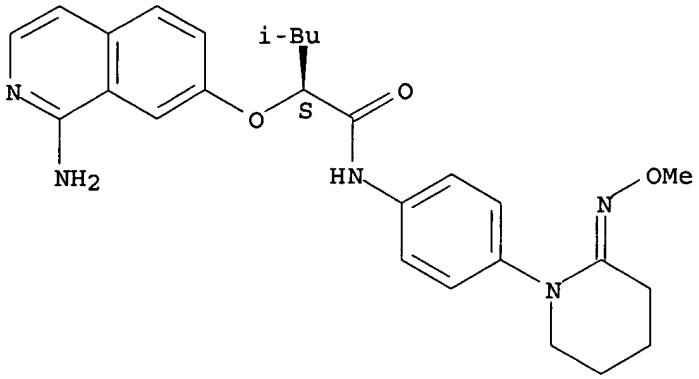
AB Title compds. I [D = (un)satd. 3-4 membered alkylene (sic) with provisos; M = Ph, arom. heterocycle contg. 1-2 N, O, or S atoms; R1 = H, halo, A, etc.; A = (un)substituted alkyl; W = C(R2)2, [(CR2)2]2, OC(R2)2, etc.; R2 = H, A, [C(R3)2]n-Ar, etc.; R3 = H, A; Ar = (un)substituted aryl, e.g., halo, A, OR3, etc.; X = CONR2, CONR2C(R3)2, C(R3)2NR3, etc.; Y = alkylene, cycloalkylene, Het-diyl (sic), etc.; T = (un)substituted arom., heteroarom.; n = 0-2] and their pharmaceutically acceptable salts and formulations were prepd. For example, Raney-Ni mediated redn. of hydroxyoxime II, e.g., prepd. from 7-isoquinolinol in 4-steps, afforded the diacetate salt of 2-iminopiperidine III. In coagulation factor Xa receptor affinity assays, 5-examples of compds. I exhibited IC50 values ranging from 2.7-0.058 .mu.M, e.g., the IC50 value of 2-iminopiperidine III diacetate was 2.7 .mu.M. Compds. I are claimed useful as antithrombotic and antitumor agents.

IT **612841-38-0P 612841-40-4P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; prepn. of 2-iminopyrrolidines and related compds. as blood-coagulation factor Xa and VIIa inhibitors for the treatment of tumors and thromboembolic diseases)

RN 612841-38-0 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-[2-(methoxyimino)-1-piperidinyl]phenyl]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

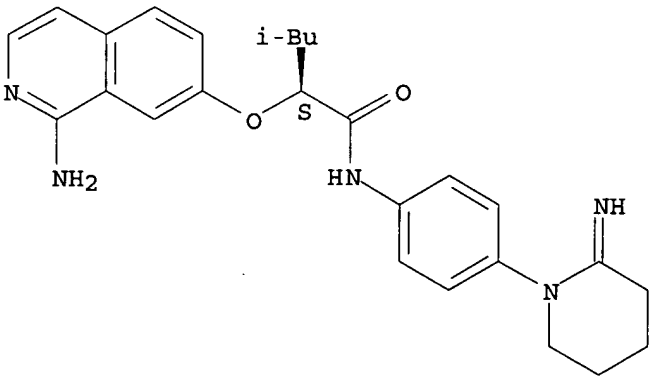


RN 612841-40-4 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(2-imino-1-piperidinyl)phenyl]-4-methyl-, (2S)-, diacetate (9CI) (CA INDEX NAME)

CM 1

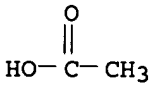
CRN 612841-39-1
CMF C26 H31 N5 O2

Absolute stereochemistry.



CM 2

CRN 64-19-7
CMF C2 H4 O2

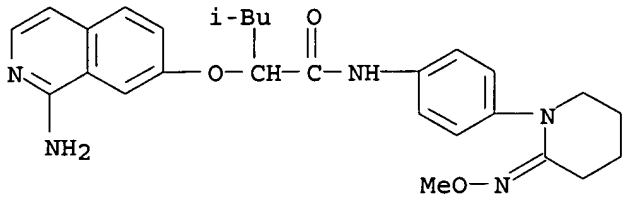


IT **612841-05-1P 612841-06-2P 612841-11-9P**
612841-14-2P 612841-19-7P 612841-20-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of 2-iminopyrrolidines and related compds. as blood-coagulation factor Xa and VIIa inhibitors for the treatment of

tumors and thromboembolic diseases)

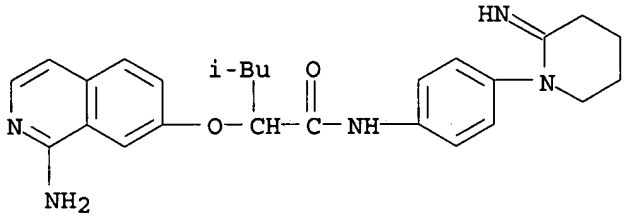
RN 612841-05-1 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-[2-(methoxyimino)-1-piperidinyl]phenyl]-4-methyl- (9CI) (CA INDEX NAME)



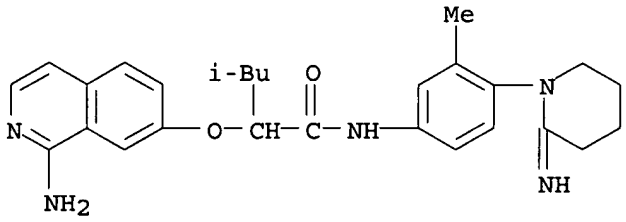
RN 612841-06-2 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(2-imino-1-piperidinyl)phenyl]-4-methyl- (9CI) (CA INDEX NAME)



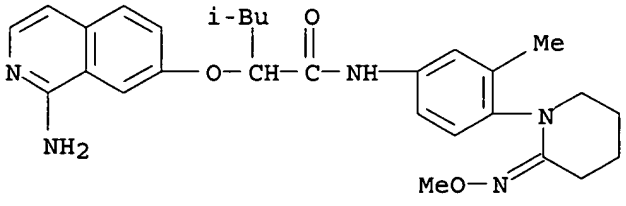
RN 612841-11-9 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(2-imino-1-piperidinyl)-3-methylphenyl]-4-methyl- (9CI) (CA INDEX NAME)



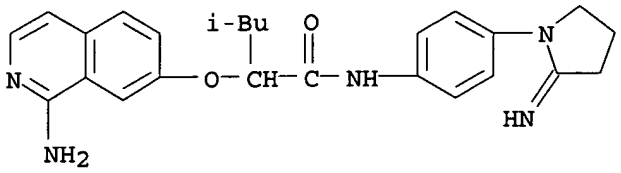
RN 612841-14-2 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-[2-(methoxyimino)-1-piperidinyl]-3-methylphenyl]-4-methyl- (9CI) (CA INDEX NAME)

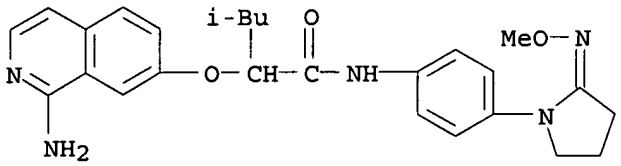


RN 612841-19-7 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(2-imino-1-pyrrolidinyl)phenyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 612841-20-0 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-[2-(methoxyimino)-1-pyrrolidinyl]phenyl]-4-methyl- (9CI) (CA INDEX NAME)



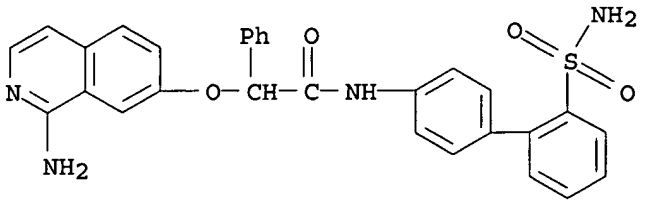
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:432456 CAPLUS
TITLE: Inhibition of Purified Factor Xa Amidolytic Activity May Not Be Predictive of Inhibition of In Vivo Thrombosis
AUTHOR(S): Sinha, Uma; Lin, Pei Hua; Edwards, Susan T.; Wong, Paul W.; Zhu, Bingyan; Scarborough, Robert M.; Su, Ting; Jia, Zhaozhong J.; Song, Yonghong; Zhang, Penglie; Clizbe, Lane; Park, Gary; Reed, Andrea; Hollenbach, Stanley J.; Malinowski, John; Arfsten, Ann E.
CORPORATE SOURCE: Millennium Pharmaceuticals Inc, South San Francisco, CA, USA
SOURCE: Arteriosclerosis, Thrombosis, and Vascular Biology (2003), 23(6), 1098-1104
CODEN: ATVBFA; ISSN: 1079-5642
PUBLISHER: Lippincott Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English

AB In this study we test the hypothesis that blood/plasma-based prothrombinase assays, rather than inhibition of purified factor Xa (fXa), are predictive of in vivo antithrombotic activity. Six fXa inhibitors with equiv. nanomolar Ki were studied in thrombin generation assays using human plasma/blood and endogenous macromol. substrate. In all assays, benzamidine inhibitors were more potent (100 to 800 nmol/L) than the aminoisoquinolines (5 to 58 .mu.mol/L) or neutral inhibitors (3 to 10 .mu.mol/L). A similar rank order of compd. inhibition was also seen in purified prothrombinase assays as well as in a rabbit model of deep vein thrombosis. Assays using prothrombinase with protein substrates are better predictors of in vivo efficacy than fXa Ki using amidolytic substrates.

IT **308288-71-3**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibition of purified factor Xa amidolytic activity may not be predictive of inhibition of in vivo thrombosis)
RN 308288-71-3 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-

(aminosulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:376636 CAPLUS
DOCUMENT NUMBER: 138:385436
TITLE: Preparation of 4-(1,1-dioxido-2-isothiazolidinyl)benzenamines as inhibitors of blood-coagulation factor Xa for the treatment of thromboembolic diseases
INVENTOR(S): Dorsch, Dieter; Cezanne, Bertram; Tsaklakidis, Christos; Mederski, Werner; Gleitz, Johannes; Barnes, Christopher
PATENT ASSIGNEE(S): Merck Patent Gmbh, Germany
SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003039543	A1	20030515	WO 2002-EP11349	20021010
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10155075	A1	20030522	DE 2001-10155075	20011109

PRIORITY APPLN. INFO.: DE 2001-10155075 A 20011109
OTHER SOURCE(S): MARPAT 138:385436
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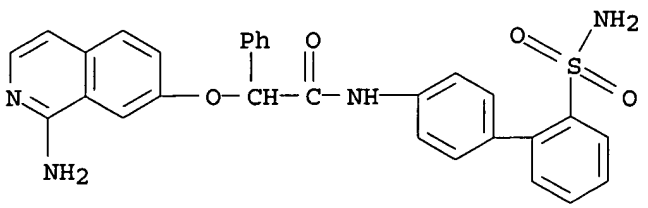
(aminosulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:376636 CAPLUS
DOCUMENT NUMBER: 138:385436
TITLE: Preparation of 4-(1,1-dioxido-2-isothiazolidinyl)benzenamines as inhibitors of blood-coagulation factor Xa for the treatment of thromboembolic diseases
INVENTOR(S): Dorsch, Dieter; Cezanne, Bertram; Tsaklakidis, Christos; Mederski, Werner; Gleitz, Johannes; Barnes, Christopher
PATENT ASSIGNEE(S): Merck Patent Gmbh, Germany
SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003039543	A1	20030515	WO 2002-EP11349	20021010
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10155075	A1	20030522	DE 2001-10155075	20011109

PRIORITY APPLN. INFO.: DE 2001-10155075 A 20011109
OTHER SOURCE(S): MARPAT 138:385436
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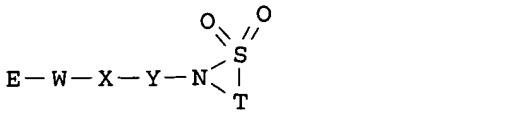

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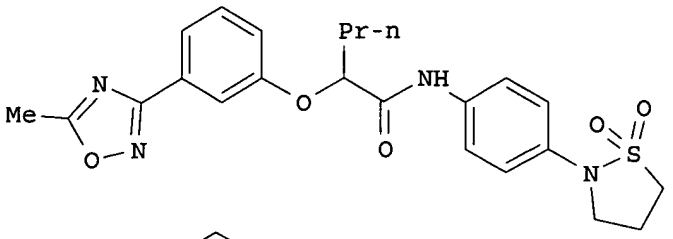

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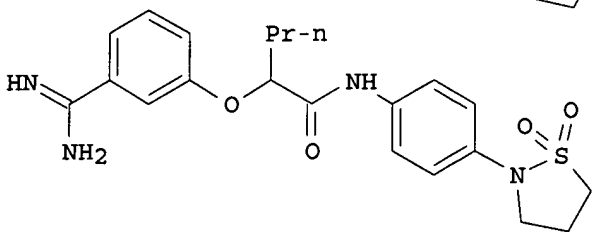


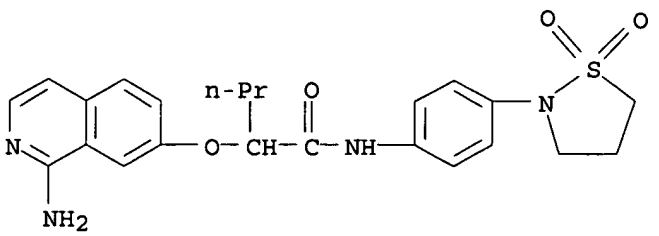
III

AB Title compds. I [E = (un)substituted aryl, heteroaryl; W = C(R2)2, [C(R2)2], OC(R2)2, etc.; R2 = H, A, [C(R3)2]n, etc.; R3 = H, A; X = CONR2, CONR2C(R3)2, C(R3)2NR2, etc.; Y = alkylene, cycloalkylene, Ar-diyl (sic), etc.; Ar = (un)substituted Ph, naphthyl, biphenyl; T = (un)substituted (CH2)p, e.g., N, O, S; n = 0-2; p = 1-6] and their pharmaceutically acceptable salts were prepd. For example, Raney-Nickel mediated redn. of oxadiazol II, e.g., prepd. from 4-nitroaniline in 4-steps, afforded isothiazolidine III acetate. In blood-coagulation factor Xa inhibition studies, isothiazolidine III acetate exhibited an IC50 value of 3.5 x 10-7 M. Compds. I are claimed useful for the treatment of thromboembolic diseases and tumors.

IT **524957-14-0P 524957-15-1P 524957-16-2P**
524957-36-6P 524957-37-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of isothiazolidinylbenzenamines as inhibitors of blood coagulation factor Xa for the treatment of thromboembolic diseases)

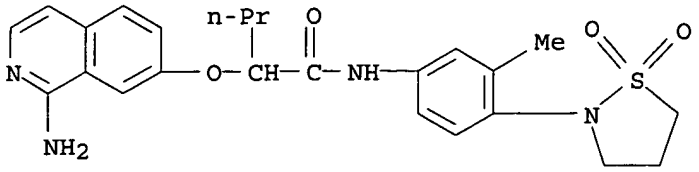
RN 524957-14-0 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(1,1-dioxido-2-isothiazolidinyl)phenyl]- (9CI) (CA INDEX NAME)

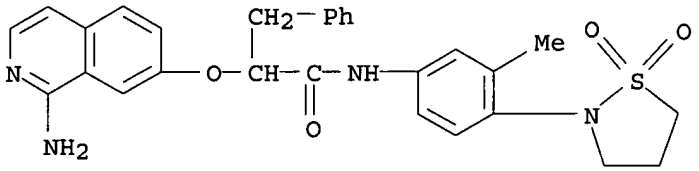


RN 524957-15-1 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(1,1-dioxido-2-isothiazolidinyl)-3-methylphenyl]- (9CI) (CA INDEX NAME)

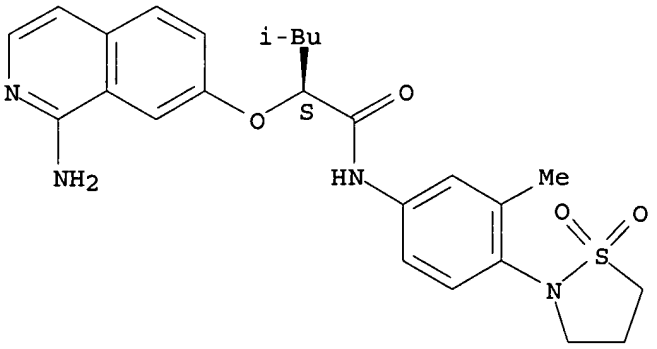


RN 524957-16-2 CAPLUS
CN Benzenepropanamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(1,1-dioxido-2-isothiazolidinyl)-3-methylphenyl]- (9CI) (CA INDEX NAME)



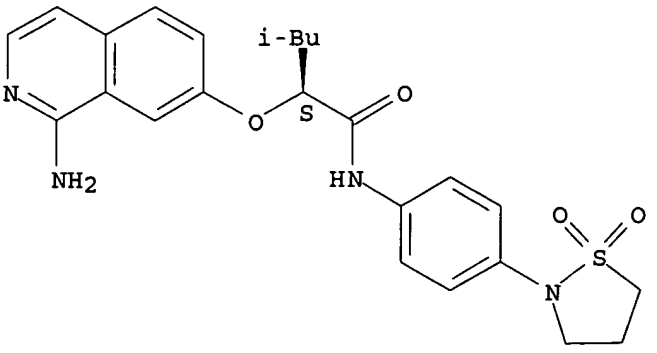
RN 524957-36-6 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(1,1-dioxido-2-isothiazolidinyl)-3-methylphenyl]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 524957-37-7 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(1,1-dioxido-2-isothiazolidinyl)phenyl]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:133044 CAPLUS
DOCUMENT NUMBER: 138:187647
TITLE: Preparation of phenyl derivatives as coagulation factor Xa inhibitors
INVENTOR(S): Dorsch, Dieter; Cezanne, Bertram; Tsaklakidis, Christos; Mederski, Werner; Gleitz, Johannes; Barnes, Christopher
PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003013531	A1	20030220	WO 2002-EP7798	20020712
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10139060	A1	20030220	DE 2001-10139060	20010808

PRIORITY APPLN. INFO.: DE 2001-10139060 A 20010808
OTHER SOURCE(S): CASREACT 138:187647; MARPAT 138:187647
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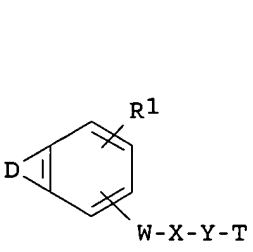

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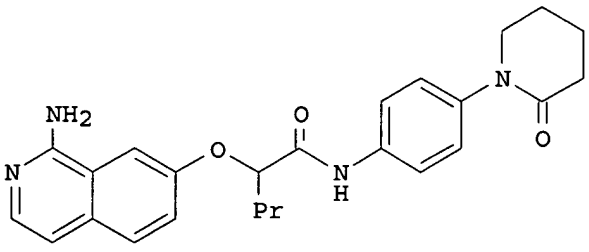

II

AB Novel Ph compds. I [D = (un)satd. 3 - 4 alkylene chain, contg. 1 - 2 N, O and/or S {may be substituted with halogen, A, {C(R3)2}n-Ar, {C(R3)2}n-Het1, {C(R3)2}n-cycloalkyl, OR2, N(R2)2, NO2, CN, CO2R2, CON(R2)2, NR2COA, NR2SO2A, COR2, SO2NR2, S(O)mA}; W = C(R2)2, {C(R2)2}2, OC(R2)2, NR2C(R2)2; X = CONR2, CONR2C(R3)2, C(R3)2NR2, C(R3)2NR2C(R3)2; Y = alkylene, cycloalklylene, Het-diyl, Ar-diyl; T = (un)substituted heterocycle contg. 1 - 4 of N, O and/or S; A = (un)branched C1-6-alkyl {may contain O, S, CH:CH or substituted with 1 - 7 F}; R1 = H, halogen, A,

OR2, N(R2)2, NO2, CN, CO2R2, CON(R2)2, {C(R3)2}nAr, {C(R3)2}n-Het, {C(R3)2}n-cycloalkyl; R2 = H, A, {C(R3)2}nAr, {C(R3)2}n-Het, {C(R3)2}n-cycloalkyl; R3 = H, A; Ar = (un)substituted Ph, naphthyl, biphenyl {may be substituted with halogen, A, OR3, N(R3)2, NO2, CN, CO2R3, CON(R3)2, NR3COA, NR3CON(R3)2, NR3SO2A, COR3, SO2N(R3)2, SOmA}; Het = (un)satd. or arom. heterocycle (contg. 1 - 4 N, O and/or S and may be substituted with halogen, A, {C(R3)2}n-Het1, {C(R3)2}n-cycloalkyl, OR2, N(R2)2, NO2, CN, CO2R2, CON(R2)2, NR2COA, NR2CON(R2)2, NR2SO2A, COR2, SO2NR2, S(O)mA); Het1 = (un)satd. or arom. heterocycle {contg. 1 - 2 N, O and/or S and may be substituted with halogen, A, OR2, N(R2)2, NO2, CN, CO2R2, CON(R2)2, NR2COA, NR2CON(R2)2, NR2SO2A, COR2, SO2NR2, S(O)mA}; halogen = Cl Br, F, I; n = 0 - 2; m = 0 - 2] are claimed. I and their pharmaceutically acceptable derivs., solvates, stereoisomers and their mixts., are inhibitors of coagulation factor Xa and can be used in the prophylaxis and/or therapy of thromboembolic diseases and in the treatment of tumors. Thus isoquinoline II was prepd. from 7-hydroxyisoquinoline via O-alkylation with Me(CH2)2CHBrCO2Et, sapon., amidation with 1-(4-aminophenyl)piperidin-2-one, isoquinoline N-oxidn., isoquinoline N-oxide amination with pyridine, and reaction with ethanolamine. II was tested for thrombin receptor binding ability [IC50 = 3.5 x 10-7 M vs. FXa; IC50 = 2.2 x 10-7 M vs. TF]. I was used in the prepn. of drug formulations (injections, suppositories, solns., solvates, tablets, etc.).

IT **498540-34-4P 498540-36-6P 498540-56-0P**
498540-57-1P 498540-59-3P 498540-60-6P
498540-61-7P 498540-62-8P 498540-63-9P
498540-64-0P 498540-65-1P 498540-66-2P
498540-67-3P 498540-68-4P 498540-69-5P
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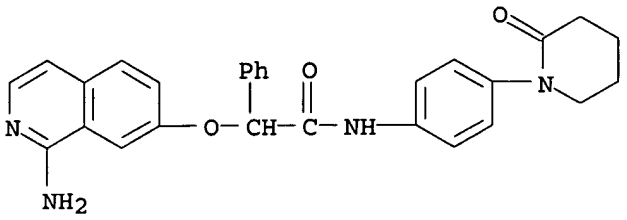
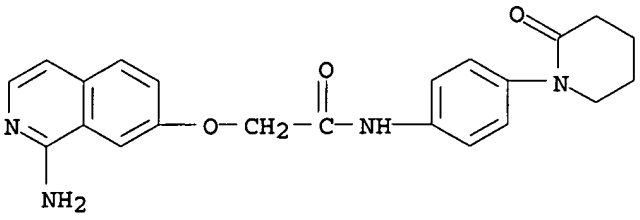
498541-82-5P 498541-84-7P 498541-87-0P
498541-88-1P 498541-89-2P 498541-90-5P
498541-92-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of bicyclic benzene derivs. as coagulation factor Xa inhibitors)

RN 498540-34-4 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(2-oxo-1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)

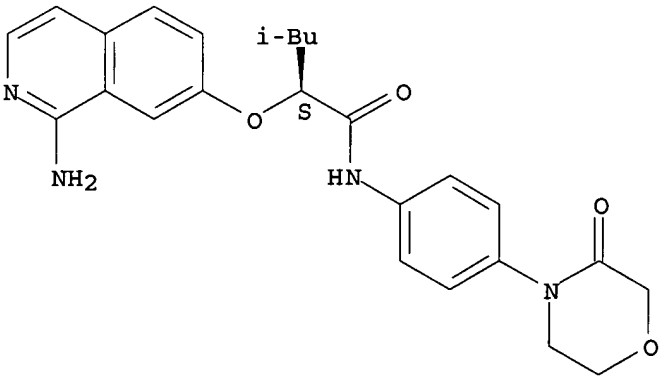


RN 498540-36-6 CAPLUS
CN Acetamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(2-oxo-1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)



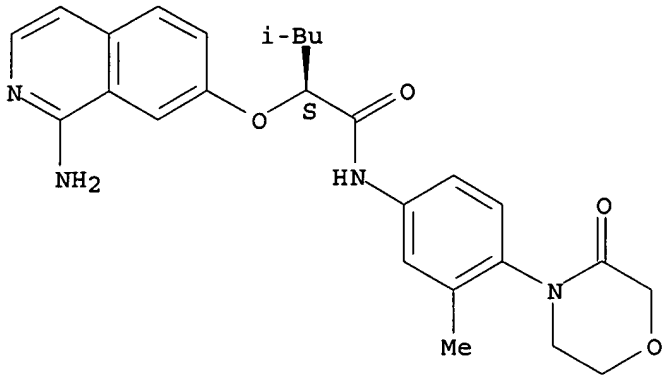
RN 498540-56-0 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[4-(3-oxo-4-morpholinyl)phenyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



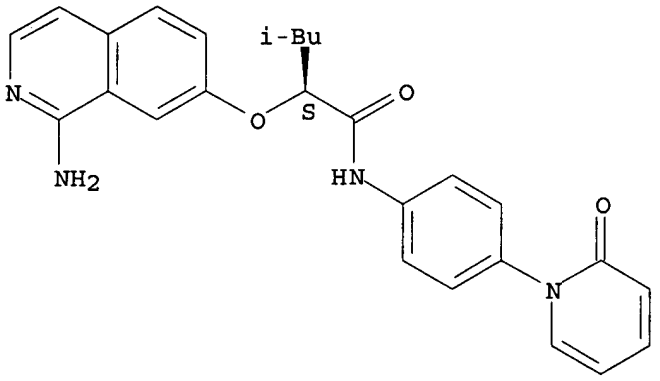
RN 498540-57-1 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

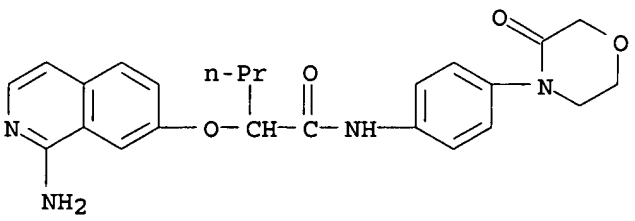


RN 498540-59-3 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]-, (2S)- (9CI) (CA INDEX NAME)

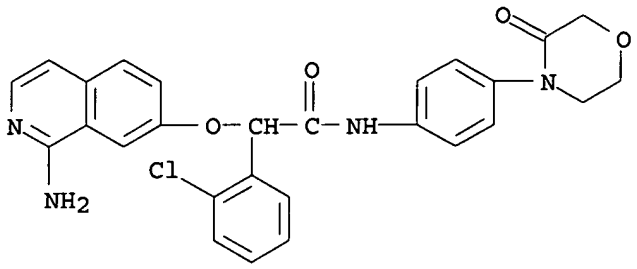
Absolute stereochemistry.



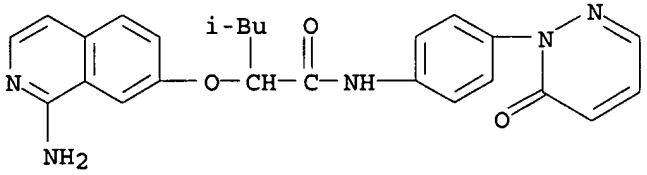
RN 498540-60-6 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(3-oxo-4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



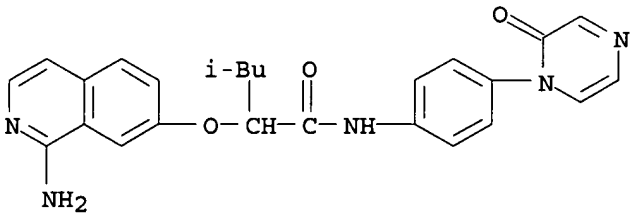
RN 498540-61-7 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-2-chloro-N-[4-(3-oxo-4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



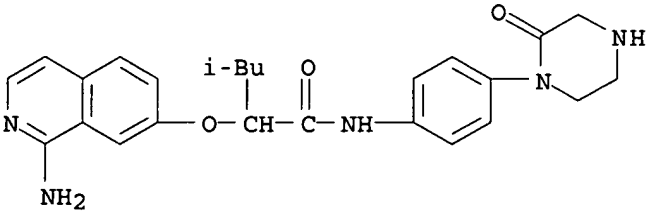
RN 498540-62-8 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[4-(6-oxo-1(6H)-pyridazinyl)phenyl]- (9CI) (CA INDEX NAME)



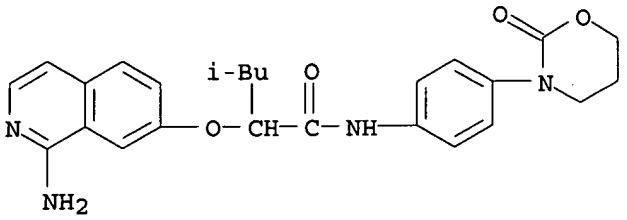
RN 498540-63-9 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[4-(2-oxo-1(2H)-pyrazinyl)phenyl]- (9CI) (CA INDEX NAME)



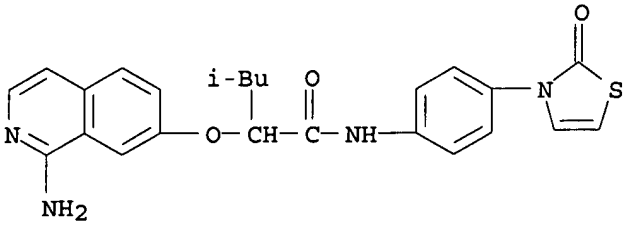
RN 498540-64-0 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[4-(2-oxo-1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)



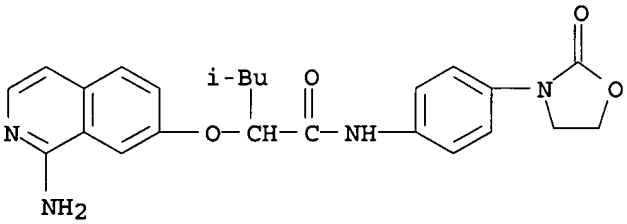
RN 498540-65-1 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(dihydro-2-oxo-2H-1,3-oxazin-3(4H)-yl)phenyl]-4-methyl- (9CI) (CA INDEX NAME)



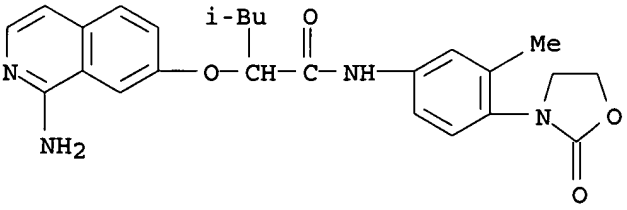
RN 498540-66-2 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[4-(2-oxo-3(2H)-thiazolyl)phenyl]- (9CI) (CA INDEX NAME)



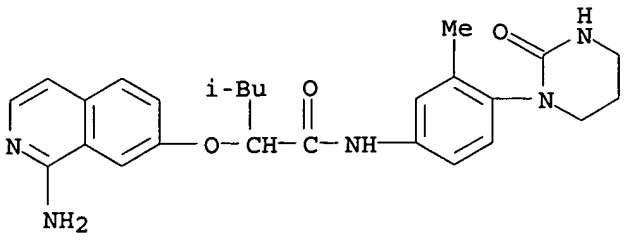
RN 498540-67-3 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[4-(2-oxo-3-oxazolidinyl)phenyl]- (9CI) (CA INDEX NAME)



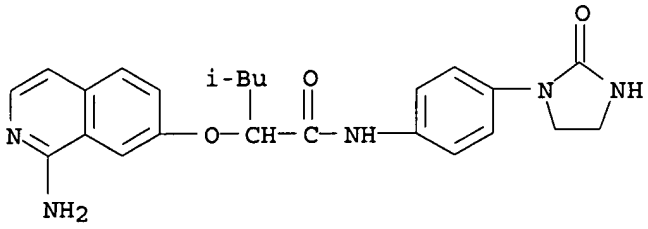
RN 498540-68-4 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[3-methyl-4-(2-oxo-3-oxazolidinyl)phenyl]- (9CI) (CA INDEX NAME)



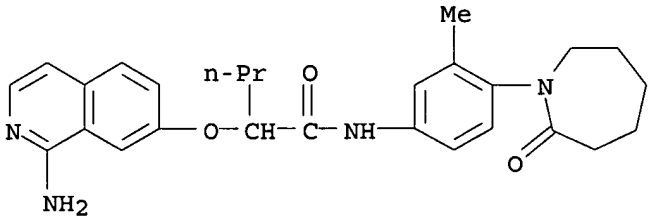
RN 498540-69-5 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[3-methyl-4-(tetrahydro-2-oxo-1(2H)-pyrimidinyl)phenyl]- (9CI) (CA INDEX NAME)



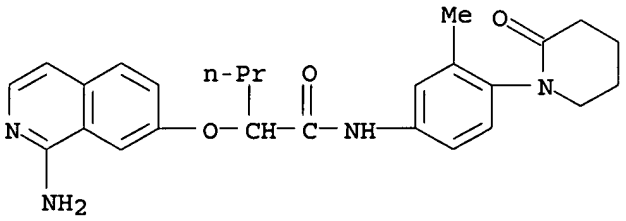
RN 498540-70-8 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[4-(2-oxo-1-imidazolidinyl)phenyl]- (9CI) (CA INDEX NAME)



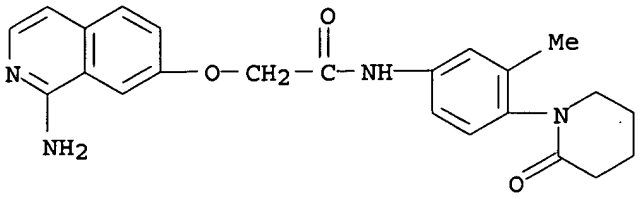
RN 498540-72-0 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(hexahydro-2-oxo-1H-azepin-1-yl)-3-methylphenyl]- (9CI) (CA INDEX NAME)



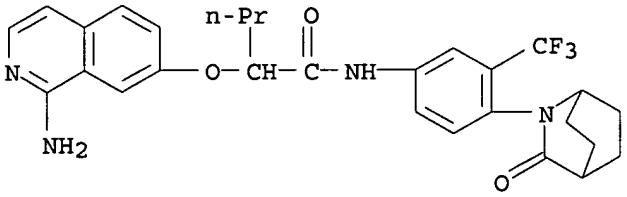
RN 498540-73-1 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[3-methyl-4-(2-oxo-1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)



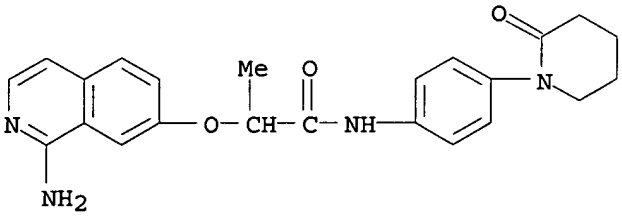
RN 498540-74-2 CAPLUS
CN Acetamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[3-methyl-4-(2-oxo-1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)



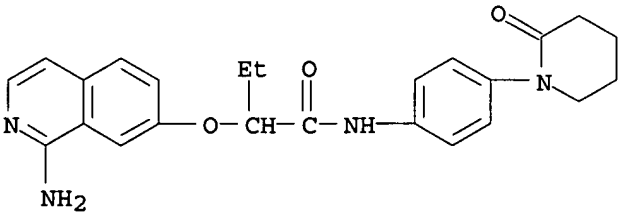
RN 498540-75-3 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(3-oxo-2-azabicyclo[2.2.2]oct-2-yl)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



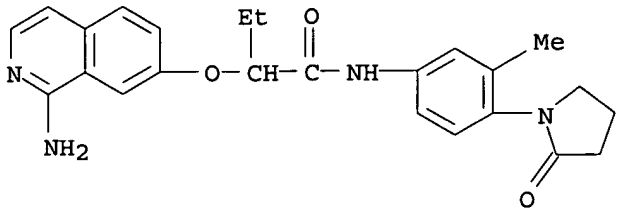
RN 498540-76-4 CAPLUS
CN Propanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(2-oxo-1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)



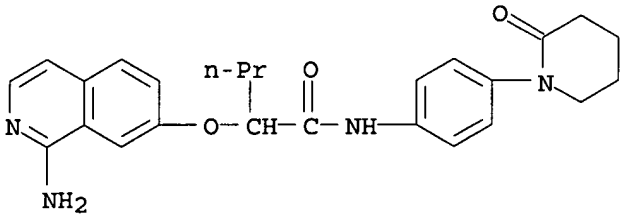
RN 498540-77-5 CAPLUS
CN Butanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(2-oxo-1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)



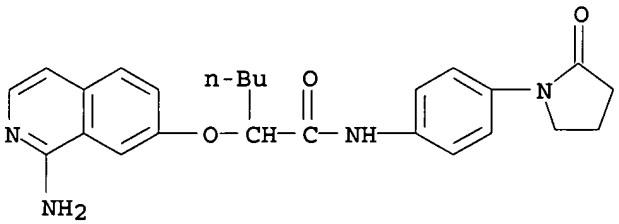
RN 498540-78-6 CAPLUS
CN Butanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[3-methyl-4-(2-oxo-1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)



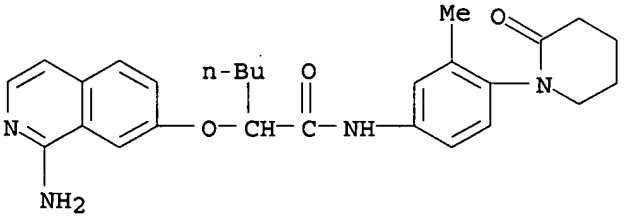
RN 498540-79-7 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(2-oxo-1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)



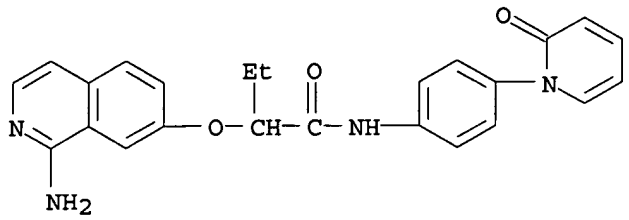
RN 498540-80-0 CAPLUS
CN Hexanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(2-oxo-1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)



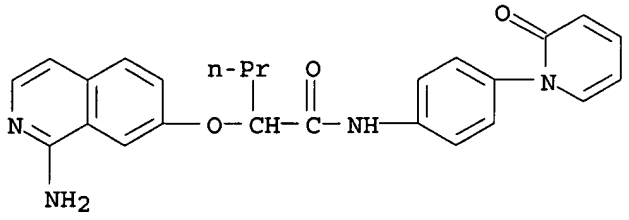
RN 498540-81-1 CAPLUS
CN Hexanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[3-methyl-4-(2-oxo-1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)



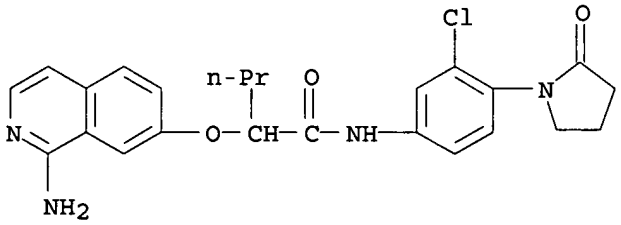
RN 498540-82-2 CAPLUS
CN Butanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)



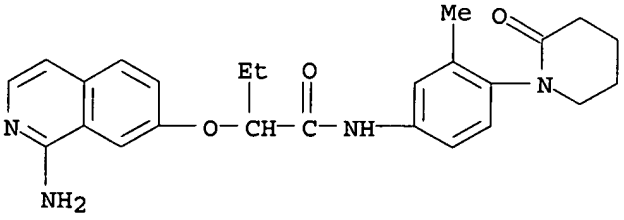
RN 498540-83-3 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)



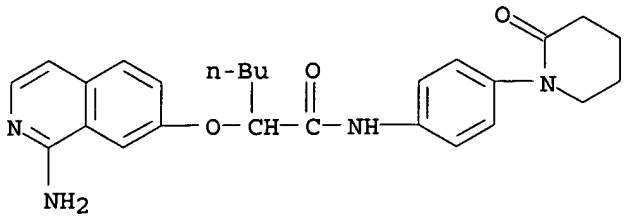
RN 498540-84-4 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[3-chloro-4-(2-oxo-1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)



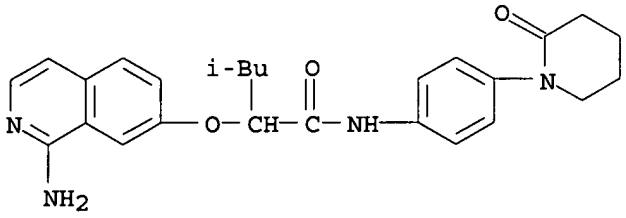
RN 498540-85-5 CAPLUS
CN Butanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[3-methyl-4-(2-oxo-1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)



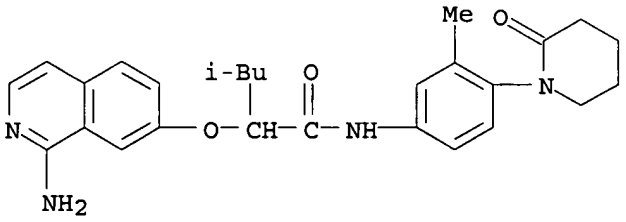
RN 498540-86-6 CAPLUS
CN Hexanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(2-oxo-1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)



RN 498540-87-7 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[4-(2-oxo-1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)

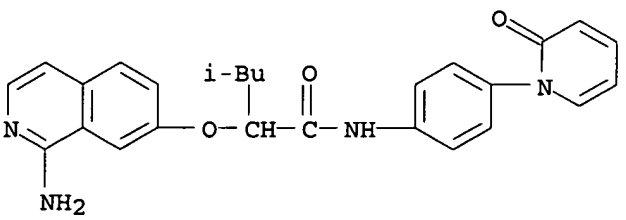


RN 498540-88-8 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[3-methyl-4-(2-oxo-1-piperidinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

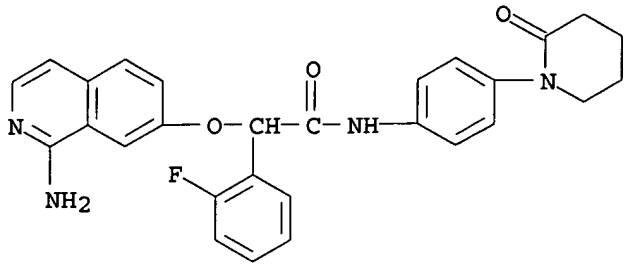


● HCl

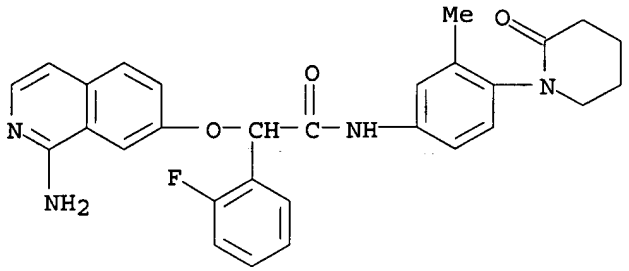
RN 498540-89-9 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)



RN 498540-90-2 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-2-fluoro-N-[4-(2-oxo-1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)

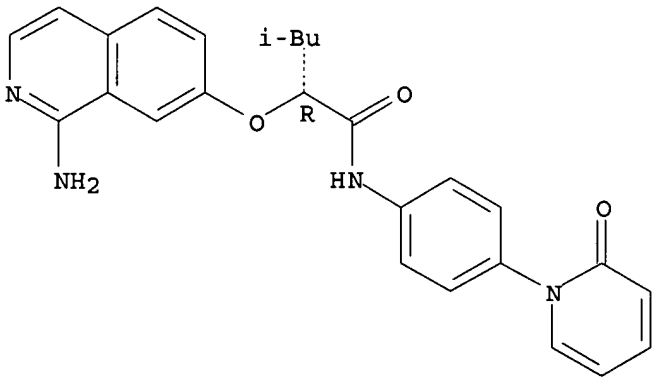


RN 498540-91-3 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-2-fluoro-N-[3-methyl-4-(2-oxo-1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)

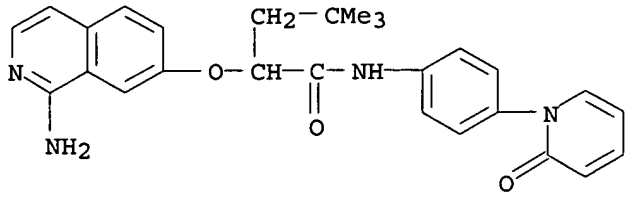


RN 498540-92-4 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

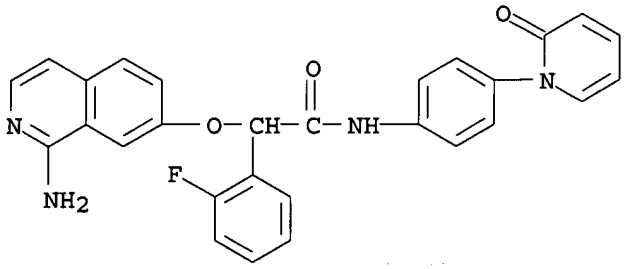
Absolute stereochemistry.



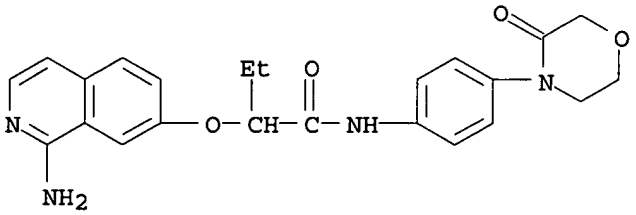
RN 498540-93-5 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4,4-dimethyl-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)



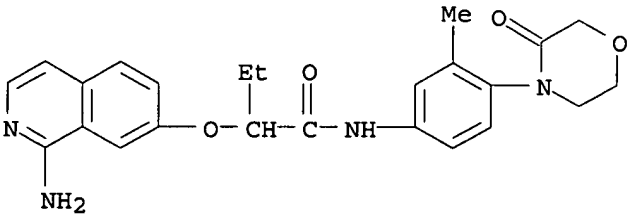
RN 498540-94-6 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-2-fluoro-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)



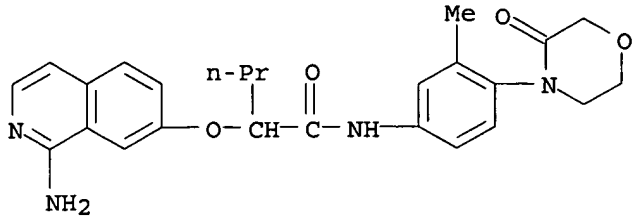
RN 498540-95-7 CAPLUS
CN Butanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(3-oxo-4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



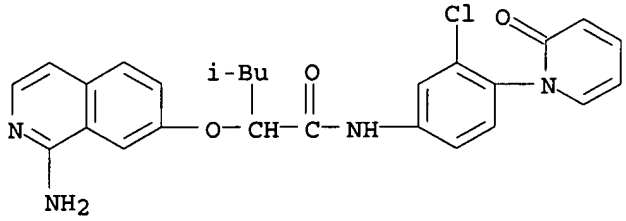
RN 498540-96-8 CAPLUS
CN Butanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



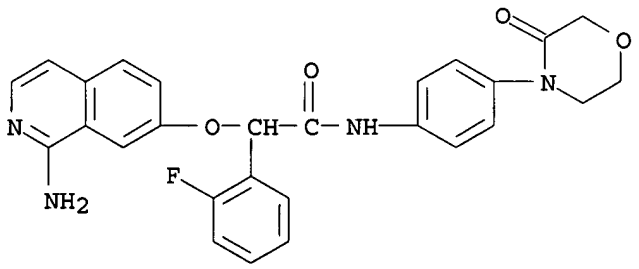
RN 498540-97-9 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



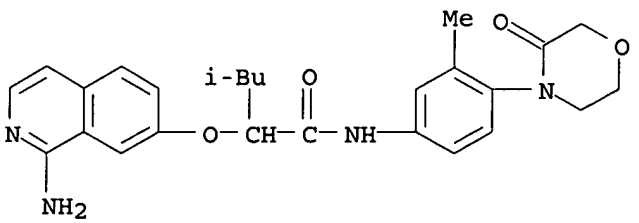
RN 498540-98-0 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[3-chloro-4-(2-oxo-1(2H)-pyridinyl)phenyl]-4-methyl- (9CI) (CA INDEX NAME)



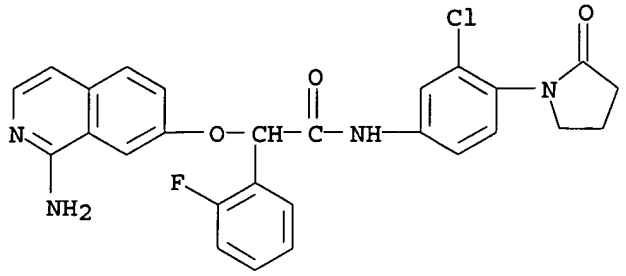
RN 498540-99-1 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-2-fluoro-N-[4-(3-oxo-4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



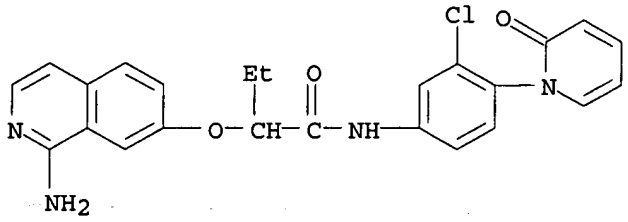
RN 498541-00-7 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



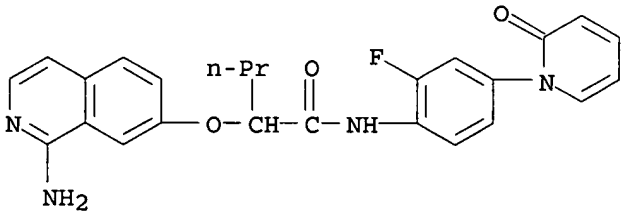
RN 498541-01-8 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[3-chloro-4-(2-oxo-1-pyrrolidinyl)phenyl]-2-fluoro- (9CI) (CA INDEX NAME)



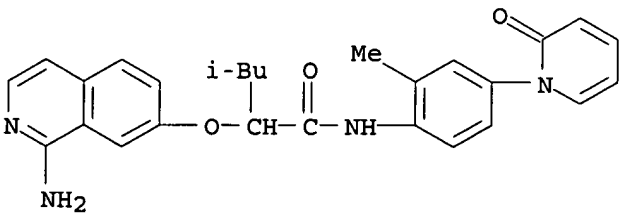
RN 498541-02-9 CAPLUS
CN Butanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[3-chloro-4-(2-oxo-1(2H)-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)



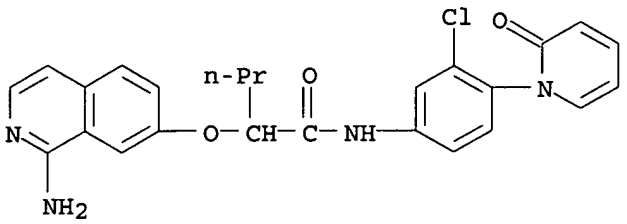
RN 498541-03-0 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[2-fluoro-4-(2-oxo-1(2H)-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)



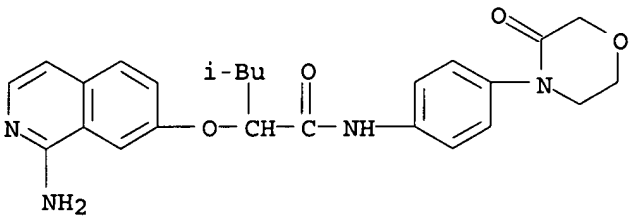
RN 498541-04-1 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[2-methyl-4-(2-oxo-1(2H)-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)



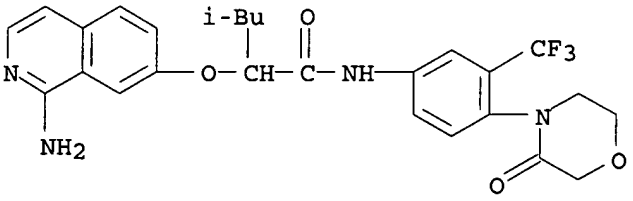
RN 498541-05-2 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[3-chloro-4-(2-oxo-1(2H)-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)



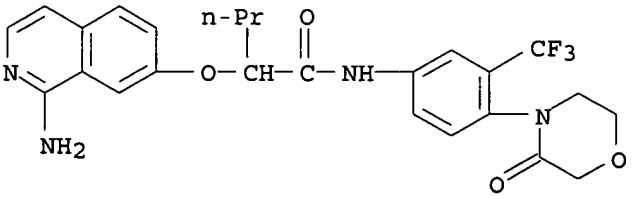
RN 498541-06-3 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[4-(3-oxo-4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



RN 498541-07-4 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[4-(3-oxo-4-morpholinyl)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

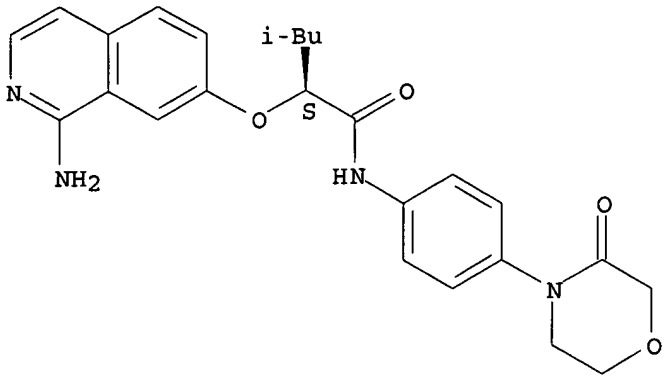


RN 498541-08-5 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(3-oxo-4-morpholinyl)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 498541-29-0 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[4-(3-oxo-4-morpholinyl)phenyl]-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

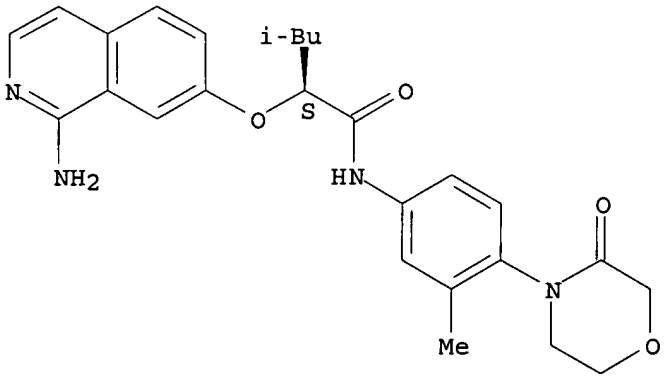
Absolute stereochemistry.



● HCl

RN 498541-31-4 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

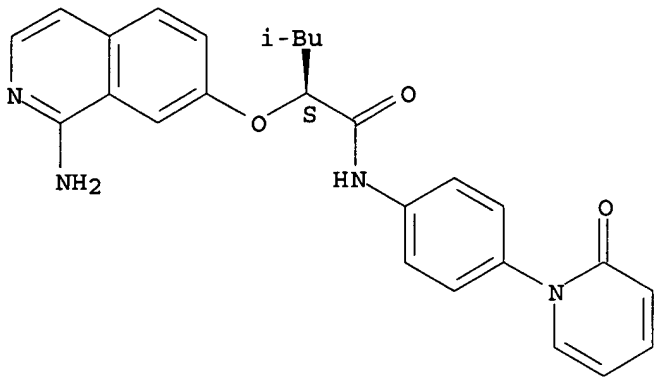
Absolute stereochemistry.



● HCl

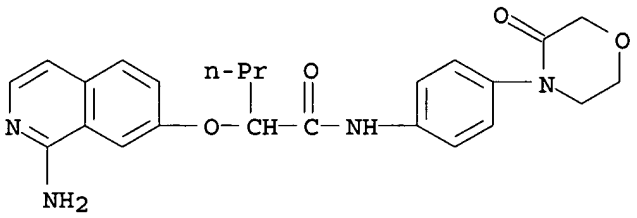
RN 498541-33-6 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



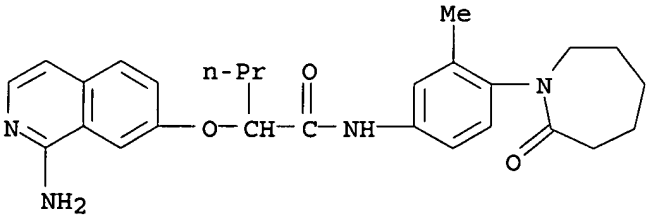
● HCl

RN 498541-35-8 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(3-oxo-4-morpholinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



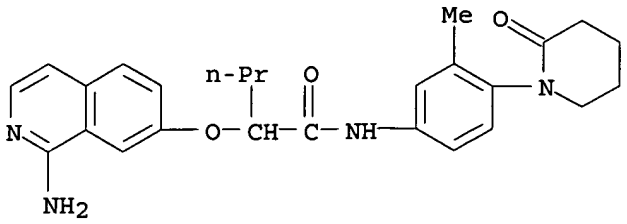
● HCl

RN 498541-37-0 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(hexahydro-2-oxo-1H-azepin-1-yl)-3-methylphenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



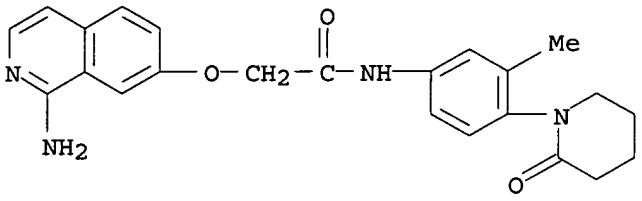
● HCl

RN 498541-38-1 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[3-methyl-4-(2-oxo-1-piperidinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



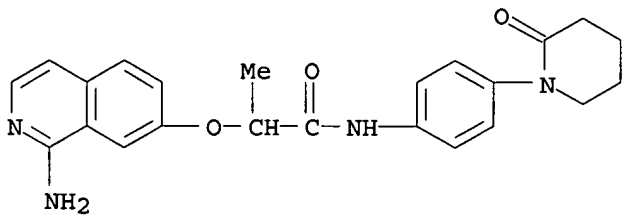
● HCl

RN 498541-39-2 CAPLUS
CN Acetamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[3-methyl-4-(2-oxo-1-piperidinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



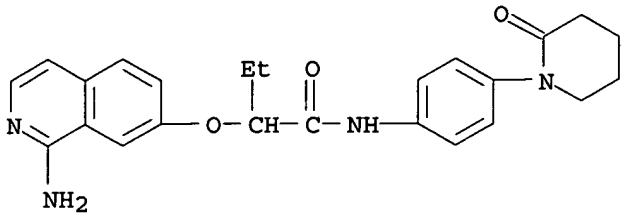
● HCl

RN 498541-56-3 CAPLUS
CN Propanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(2-oxo-1-piperidinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



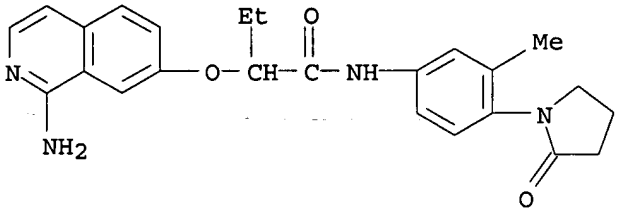
● HCl

RN 498541-58-5 CAPLUS
CN Butanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(2-oxo-1-piperidinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



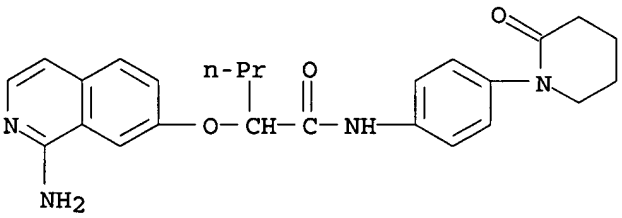
● HCl

RN 498541-60-9 CAPLUS
CN Butanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[3-methyl-4-(2-oxo-1-pyrrolidinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



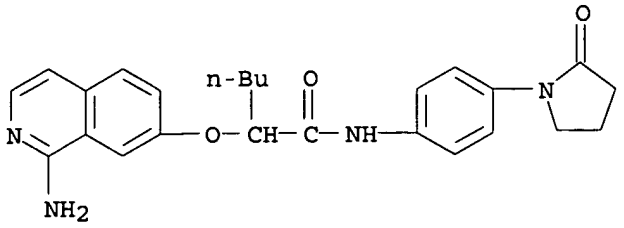
● HCl

RN 498541-62-1 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(2-oxo-1-piperidinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



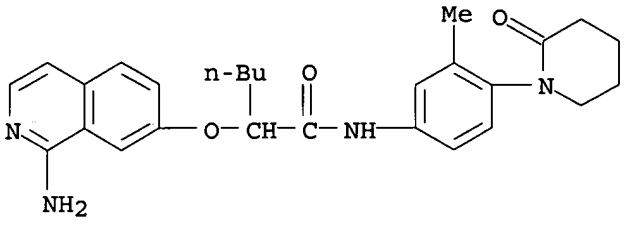
● HCl

RN 498541-64-3 CAPLUS
CN Hexanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(2-oxo-1-pyrrolidinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



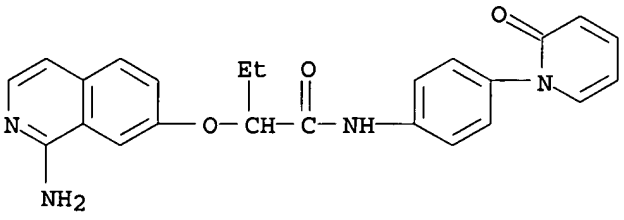
● HCl

RN 498541-66-5 CAPLUS
CN Hexanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[3-methyl-4-(2-oxo-1-piperidinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



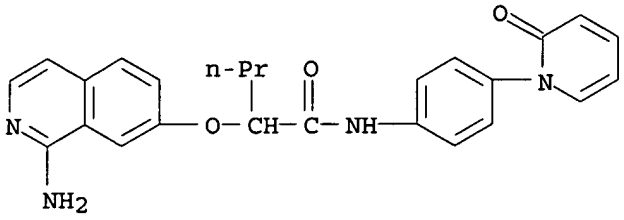
● HCl

RN 498541-67-6 CAPLUS
CN Butanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



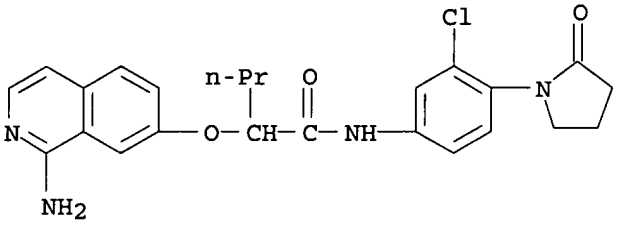
● HCl

RN 498541-68-7 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



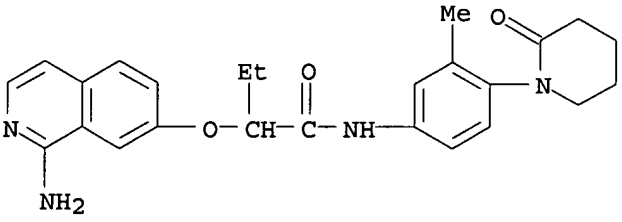
● HCl

RN 498541-69-8 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[3-chloro-4-(2-oxo-1-pyrrolidinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



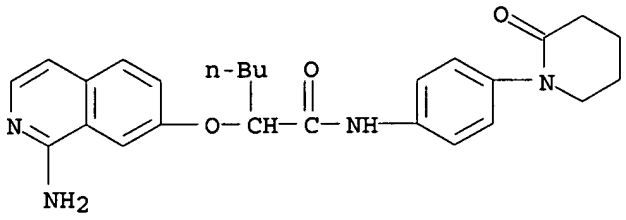
● HCl

RN 498541-70-1 CAPLUS
CN Butanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[3-methyl-4-(2-oxo-1-piperidinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



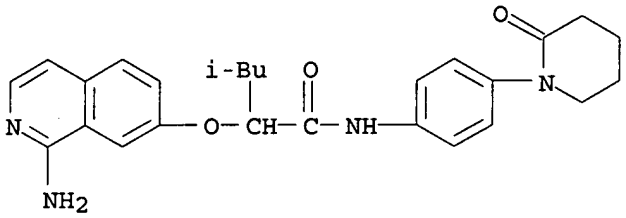
● HCl

RN 498541-71-2 CAPLUS
CN Hexanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(2-oxo-1-piperidinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



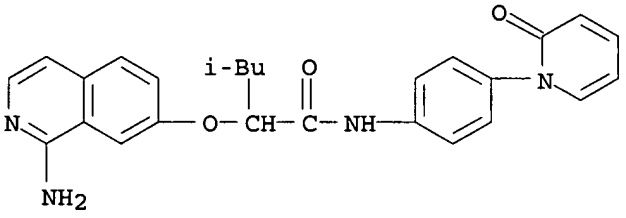
● HCl

RN 498541-72-3 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[4-(2-oxo-1-piperidinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



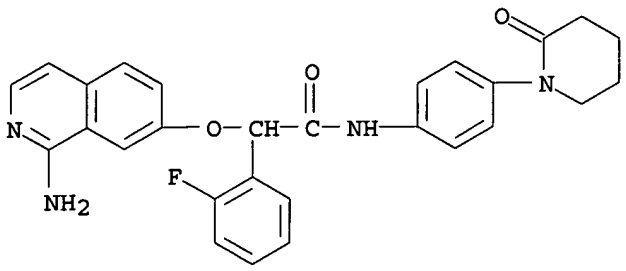
● HCl

RN 498541-73-4 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



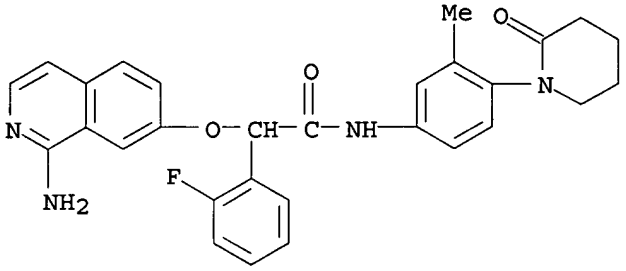
● HCl

RN 498541-74-5 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-2-fluoro-N-[4-(2-oxo-1-piperidinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

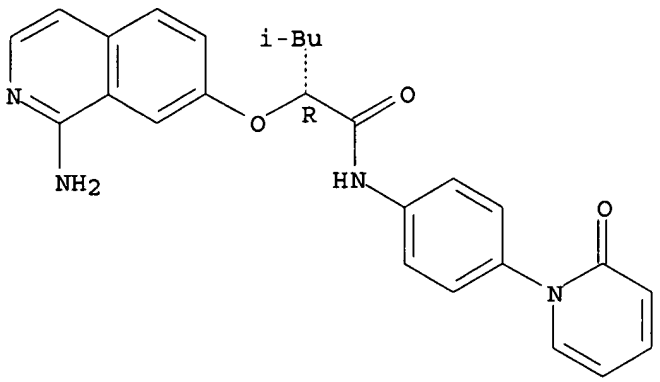
RN 498541-75-6 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-2-fluoro-N-[3-methyl-4-(2-oxo-1-piperidinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

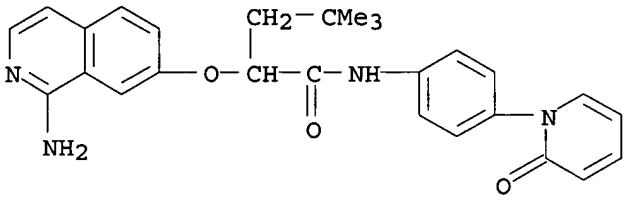
RN 498541-76-7 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]-, monohydrochloride, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



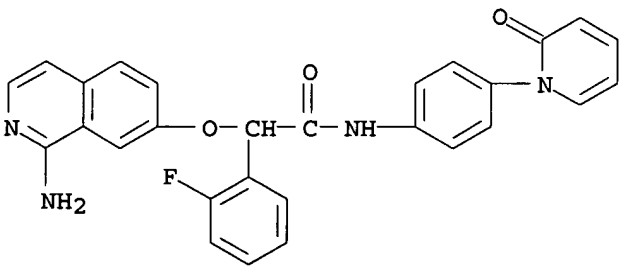
● HCl

RN 498541-78-9 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4,4-dimethyl-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



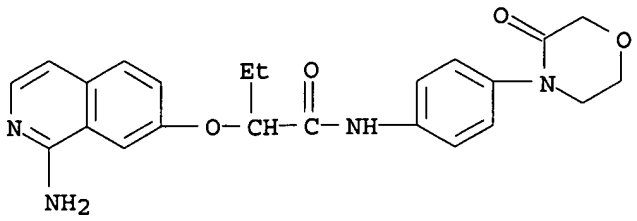
● HCl

RN 498541-80-3 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-2-fluoro-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



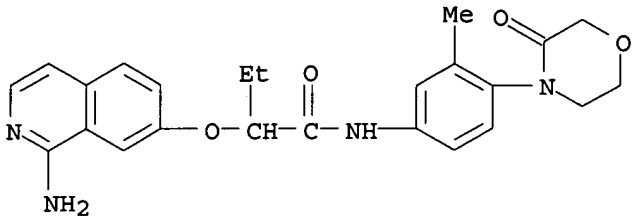
● HCl

RN 498541-82-5 CAPLUS
CN Butanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(3-oxo-4-morpholinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



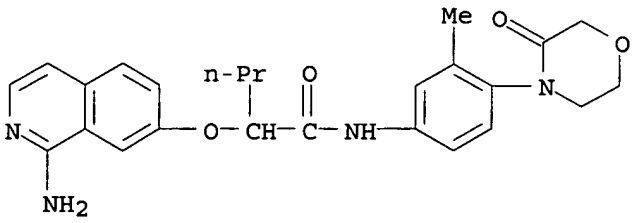
● HCl

RN 498541-84-7 CAPLUS
CN Butanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



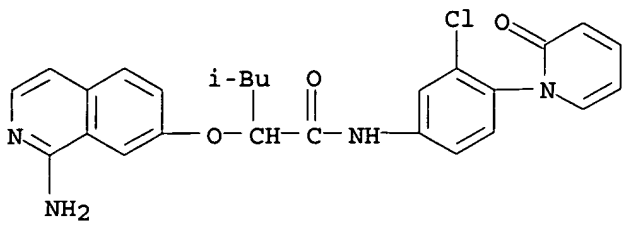
● HCl

RN 498541-87-0 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



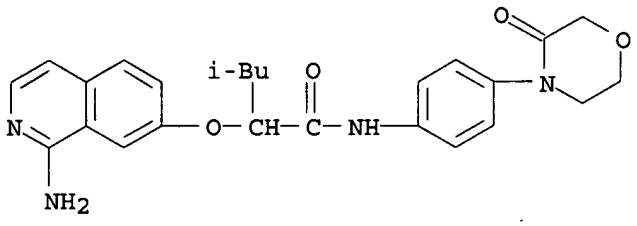
● HCl

RN 498541-88-1 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[3-chloro-4-(2-oxo-1(2H)-pyridinyl)phenyl]-4-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



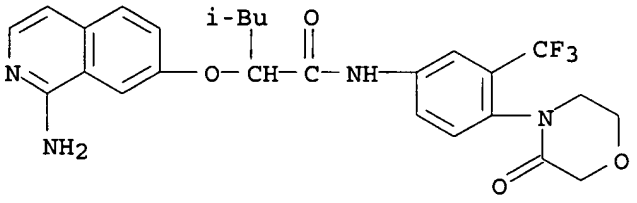
● HCl

RN 498541-89-2 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[4-(3-oxo-4-morpholinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



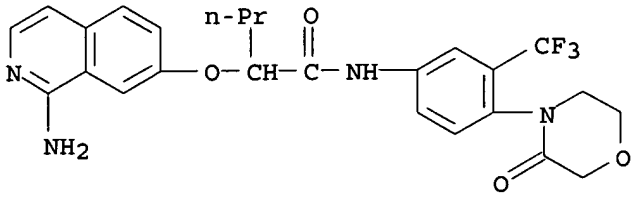
● HCl

RN 498541-90-5 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[4-(3-oxo-4-morpholinyl)-3-(trifluoromethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 498541-92-7 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(3-oxo-4-morpholinyl)-3-(trifluoromethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



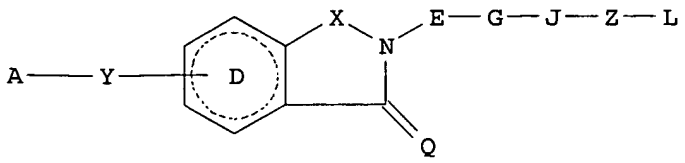
● HCl

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

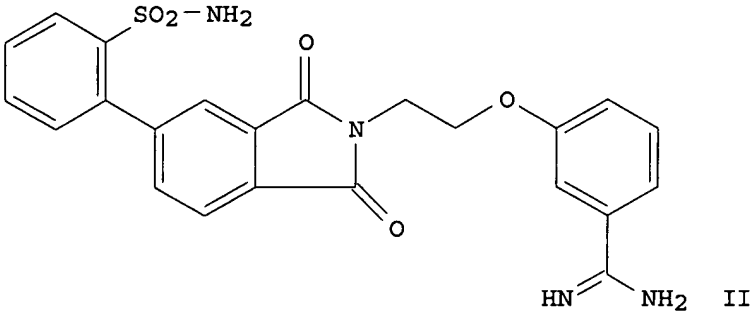
L5 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:927401 CAPLUS
DOCUMENT NUMBER: 138:14016
TITLE: Preparation of isoindole and isoquinoline derivatives as inhibitors of Factor xa
INVENTOR(S): Zhang, Penglie; Zhu, Bing-Yan; Huang, Wenrong; Scarborough, Robert M.
PATENT ASSIGNEE(S): Millennium Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096873	A1	20021205	WO 2002-US16784	20020529
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003114448	A1	20030619	US 2002-171804	20020528

PRIORITY APPLN. INFO.: US 2001-294273P P 20010531
OTHER SOURCE(S): MARPAT 138:14016
GI



I



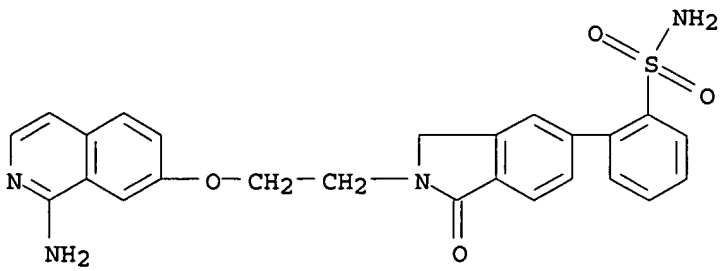
II

AB Isoindole and isoquinoline derivs. [I; wherein A = H, (C1-C6)alkyl, (C3-C8)cycloalkyl, alkylamino, alkenylamino, (substituted) Ph, etc.; Y = a bond, C(:O), CH2, alkylamino, amide, etc.; D = (substituted) Ph, five- or six-membered arom. heterocyclic ring having from 1-2 hetero atoms selected from O, S, and N; X = alkylcarboxy, alkylsulfoxy, C(:O), C(:S), etc.; Q = O, or Q and the carbon atom to which it is attached is CH2; E = a bond ,alkyl, C(:O), etc.; G = O, alkoxy, amino, S, S(:O), S(:O)2, etc.; J = O, S, amino, S(:O), S(:O)2, etc.; Z = (substituted) Ph, naphthyl, monocyclic or fused bicyclic heterocyclic ring, etc.; L = H, CN, amido, amino, alkoxy, etc.] were prepd. For example, II was prepd. by a multistep synthetic procedure. The prepd. compds. have activity against mammalian factor Xa and, thus, the compds. are useful in vitro or in vivo for preventing or treating coagulation disorders.

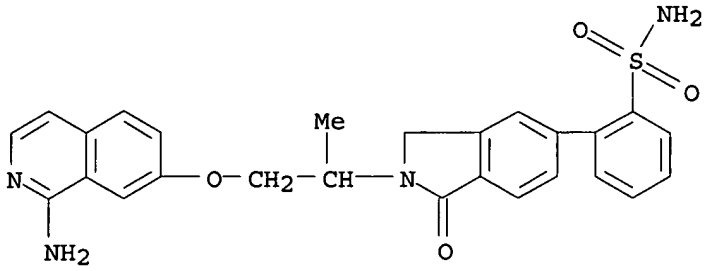
IT **476352-90-6P 476352-91-7P 476352-92-8P 476352-93-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of isoindole and isoquinoline derivs. as inhibitors of Factor xa)

RN 476352-90-6 CAPLUS

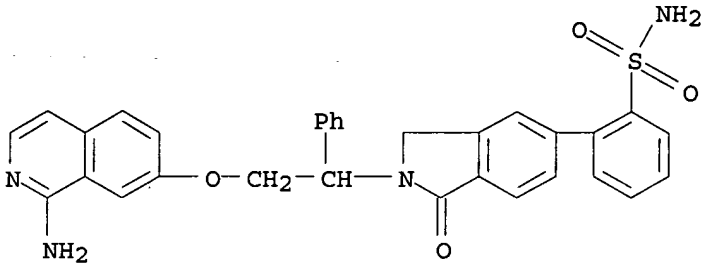
CN Benzenesulfonamide, 2-[2-[2-[(1-amino-7-isoquinolinyl)oxy]ethyl]-2,3-dihydro-1-oxo-1H-isoindol-5-yl]- (9CI) (CA INDEX NAME)



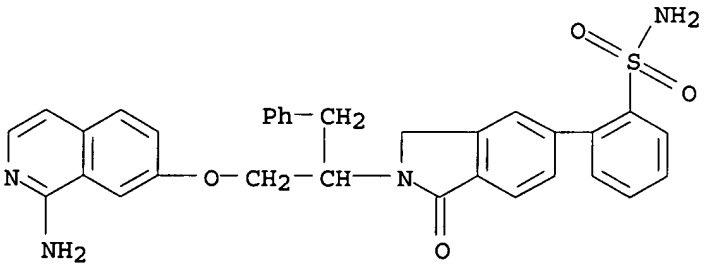
RN 476352-91-7 CAPLUS
CN Benzenesulfonamide, 2-[2-[2-[(1-amino-7-isoquinolinyl)oxy]-1-methylethyl]-2,3-dihydro-1-oxo-1H-isoindol-5-yl]- (9CI) (CA INDEX NAME)



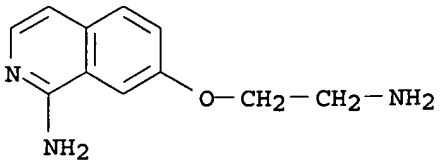
RN 476352-92-8 CAPLUS
CN Benzenesulfonamide, 2-[2-[2-[(1-amino-7-isoquinolinyl)oxy]-1-phenylethyl]-2,3-dihydro-1-oxo-1H-isoindol-5-yl]- (9CI) (CA INDEX NAME)



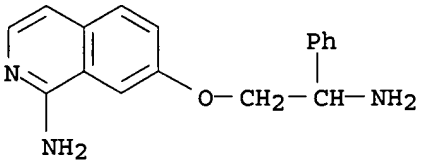
RN 476352-93-9 CAPLUS
CN Benzenesulfonamide, 2-[2-[1-[[(1-amino-7-isoquinolinyl)oxy]methyl]-2-phenylethyl]-2,3-dihydro-1-oxo-1H-isoindol-5-yl]- (9CI) (CA INDEX NAME)



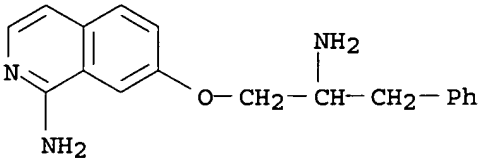
IT **309930-41-4 476352-88-2 476352-89-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of isoindole and isoquinoline derivs. as inhibitors of Factor xa)
RN 309930-41-4 CAPLUS
CN 1-Isoquinolinamine, 7-(2-aminoethoxy)- (9CI) (CA INDEX NAME)



RN 476352-88-2 CAPLUS
CN 1-Isoquinolinamine, 7-(2-amino-2-phenylethoxy)- (9CI) (CA INDEX NAME)



RN 476352-89-3 CAPLUS
CN 1-Isoquinolinamine, 7-(2-amino-3-phenylpropoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:407965 CAPLUS
DOCUMENT NUMBER: 137:384703
TITLE: Design, synthesis, and SAR of monobenzamidines and aminoisoquinolines as factor Xa inhibitors
AUTHOR(S): Zhang, Penglie; Zuckett, Jingmei F.; Woolfrey, John; Tran, Katherine; Huang, Brian; Wong, Paul; Sinha, Uma; Park, Gary; Reed, Andrea; Malinowski, John; Hollenbach, Stan; Scarborough, Robert M.; Zhu, Bing-Yan
CORPORATE SOURCE: Department of Medicinal Chemistry, Millennium Pharmaceuticals, Inc., South San Francisco, CA, 94080, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(12), 1657-1661
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

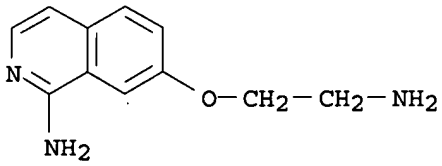
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Monoamidine FXa inhibitors, e.g. I (R = H, Me, Ph, PhCH2), were designed

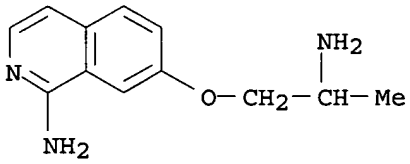
and synthesized. SAR studies and mol. modeling led to the design of conformationally constrained diaryl ethers, e.g. II [X = C(O)NH, NHCO], as well as benzopyrrolidinone III as potent FXa inhibitors. The monoamidines show high efficacy in a DVT model, but lack desirable oral bioavailability. The benzopyrrolidinone-based aminoisoquinolines, e.g. IV, do not show significant improvement in oral bioavailability.

IT **309930-41-4P 476352-87-1P 476352-88-2P 476352-89-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(lactamization; prepn. of phenyl(oxoisoindoline)ethoxy(isoquinolinamine) as factor Xa inhibitors)

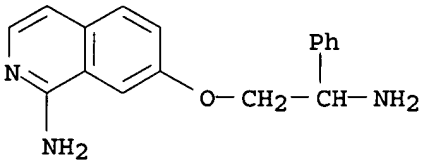
RN 309930-41-4 CAPLUS
CN 1-Isoquinolinamine, 7-(2-aminoethoxy)- (9CI) (CA INDEX NAME)



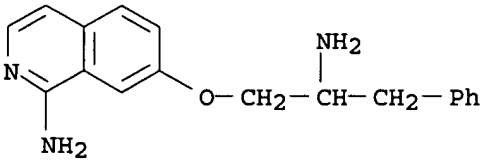
RN 476352-87-1 CAPLUS
CN 1-Isoquinolinamine, 7-(2-aminopropoxy)- (9CI) (CA INDEX NAME)



RN 476352-88-2 CAPLUS
CN 1-Isoquinolinamine, 7-(2-amino-2-phenylethoxy)- (9CI) (CA INDEX NAME)



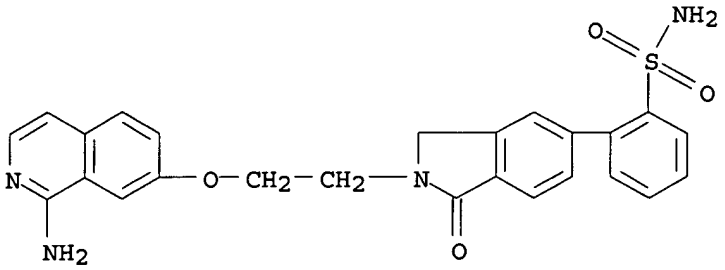
RN 476352-89-3 CAPLUS
CN 1-Isoquinolinamine, 7-(2-amino-3-phenylpropoxy)- (9CI) (CA INDEX NAME)



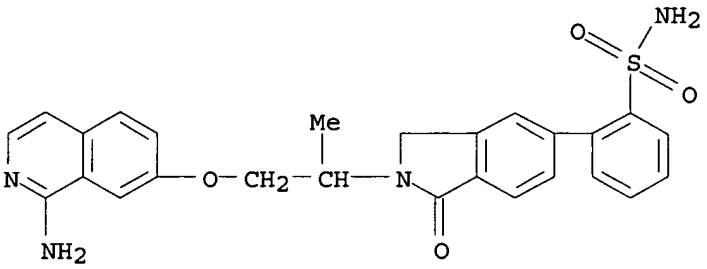
IT **476352-90-6P 476352-91-7P 476352-92-8P 476352-93-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL

(Biological study); PREP (Preparation)
(prepn. of phenyl(oxoisoindoline)ethoxy(isoquinolinamine) as factor Xa inhibitors)

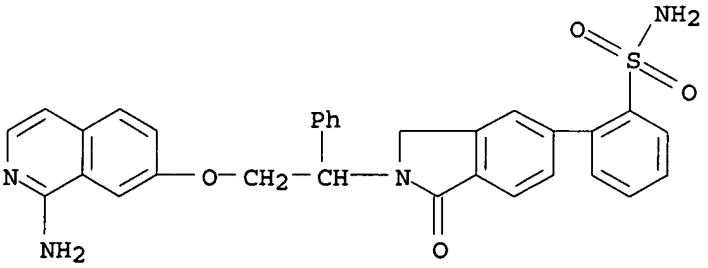
RN 476352-90-6 CAPLUS
CN Benzenesulfonamide, 2-[2-[2-[(1-amino-7-isoquinolinyl)oxy]ethyl]-2,3-dihydro-1-oxo-1H-isoindol-5-yl]- (9CI) (CA INDEX NAME)



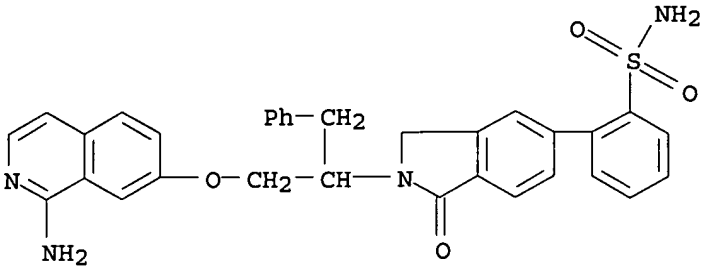
RN 476352-91-7 CAPLUS
CN Benzenesulfonamide, 2-[2-[2-[(1-amino-7-isoquinolinyl)oxy]-1-methylethyl]-2,3-dihydro-1-oxo-1H-isoindol-5-yl]- (9CI) (CA INDEX NAME)



RN 476352-92-8 CAPLUS
CN Benzenesulfonamide, 2-[2-[2-[(1-amino-7-isoquinolinyl)oxy]-1-phenylethyl]-2,3-dihydro-1-oxo-1H-isoindol-5-yl]- (9CI) (CA INDEX NAME)



RN 476352-93-9 CAPLUS
CN Benzenesulfonamide, 2-[2-[1-[[(1-amino-7-isoquinolinyl)oxy]methyl]-2-phenylethyl]-2,3-dihydro-1-oxo-1H-isoindol-5-yl]- (9CI) (CA INDEX NAME)

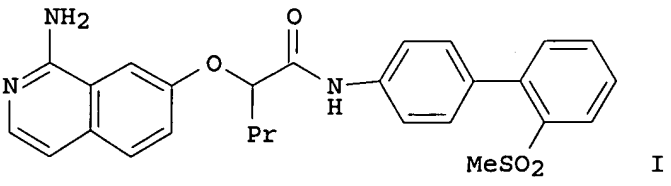


REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:240733 CAPLUS
DOCUMENT NUMBER: 136:263103
TITLE: Biphenyl-substituted aminoquinolines and -isoquinolines as factor Xa inhibitors
INVENTOR(S): Dorsch, Dieter; Juraszyk, Horst; Mederski, Werner; Tsaklakidis, Christos; Gleitz, Johannes; Barnes, Christopher
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002024654	A1	20020328	WO 2001-EP10786	20010918
W: CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
DE 10046272	A1	20020328	DE 2000-10046272	20000919
EP 1322618	A1	20030702	EP 2001-985251	20010918
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: DE 2000-10046272 A 20000919
WO 2001-EP10786 W 20010918
OTHER SOURCE(S): MARPAT 136:263103
GI



I

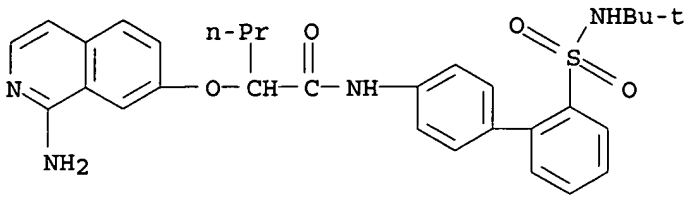
AB The title compds. were prepd. for use as inhibitors of blood coagulation factors Xa and VIIa (no data). Thus, 7-isoquinolinol was treated with BrCHPrCO2CMe3, followed by ester hydrolysis, amidation with 2-MeSO2C6H4C6H4NH2-4, N-oxidn., reaction with pyridine, and treatment with ethanolamine to give the title compd. I.

IT **405272-07-3**

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of biphenyl-substituted aminoquinolines and -isoquinolines as factor Xa inhibitors)

RN 405272-07-3 CAPLUS

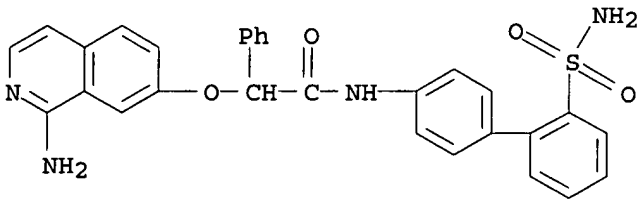
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-[[(1,1-dimethylethyl)amino]sulfonyl][1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



IT **308288-71-3P 405272-04-0P 405272-05-1P**
405272-06-2P 405272-08-4P 405272-09-5P
405272-10-8P 405272-11-9P 405272-12-0P
405272-13-1P 405272-14-2P 405272-17-5P
405272-18-6P 405272-19-7P 405272-20-0P
405272-21-1P 405272-22-2P 405272-23-3P
405272-24-4P 405272-25-5P 405272-26-6P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of biphenyl-substituted aminoquinolines and -isoquinolines as factor Xa inhibitors)

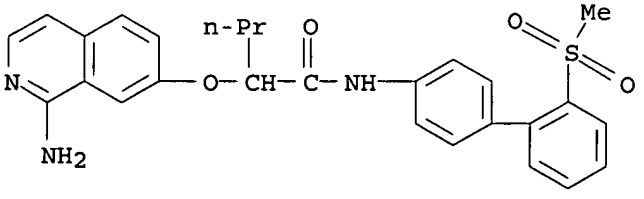
RN 308288-71-3 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



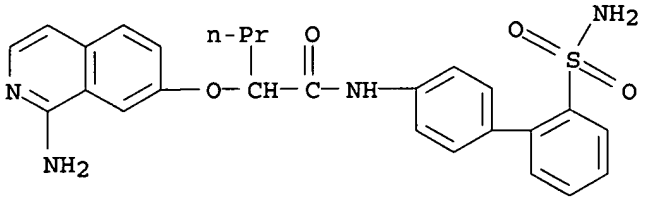
RN 405272-04-0 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



RN 405272-05-1 CAPLUS

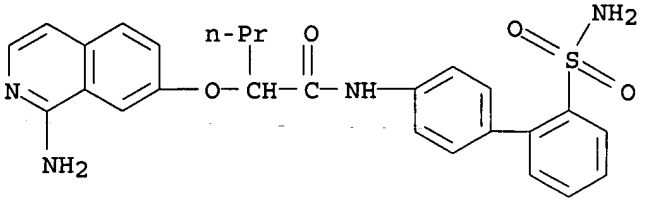
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



RN 405272-06-2 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-, trifluoroacetate (9CI) (CA INDEX NAME)

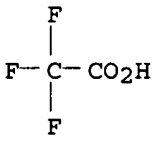
CM 1

CRN 405272-05-1
CMF C26 H26 N4 O4 S

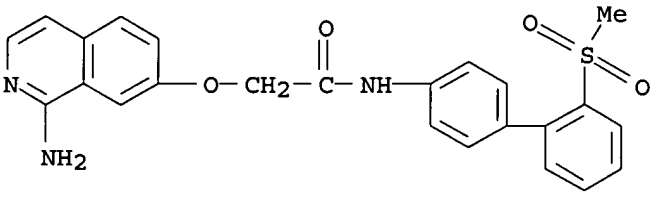


CM 2

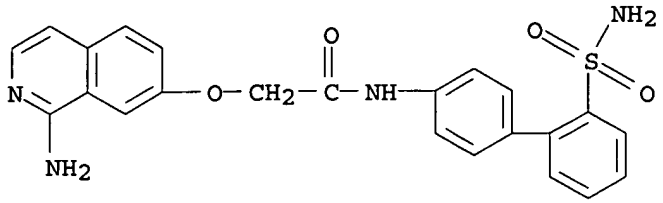
CRN 76-05-1
CMF C2 H F3 O2



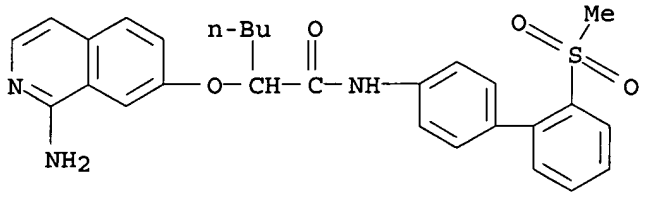
RN 405272-08-4 CAPLUS
CN Acetamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



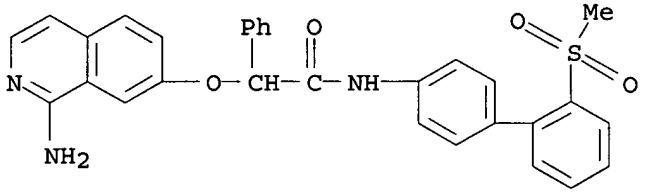
RN 405272-09-5 CAPLUS
CN Acetamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



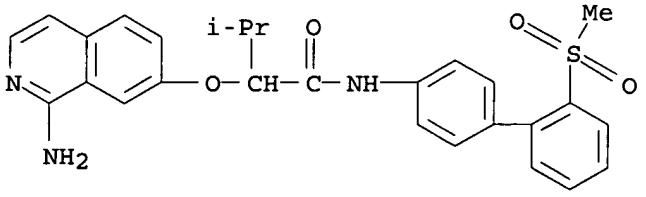
RN 405272-10-8 CAPLUS
CN Hexanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



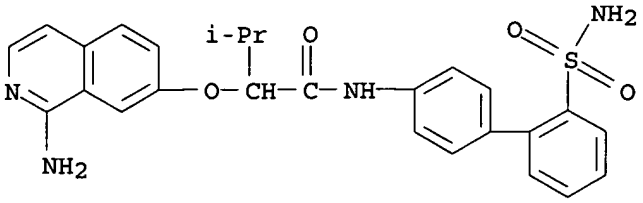
RN 405272-11-9 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



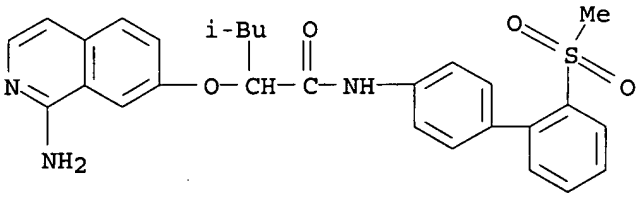
RN 405272-12-0 CAPLUS
CN Butanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-3-methyl-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



RN 405272-13-1 CAPLUS
CN Butanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-3-methyl- (9CI) (CA INDEX NAME)

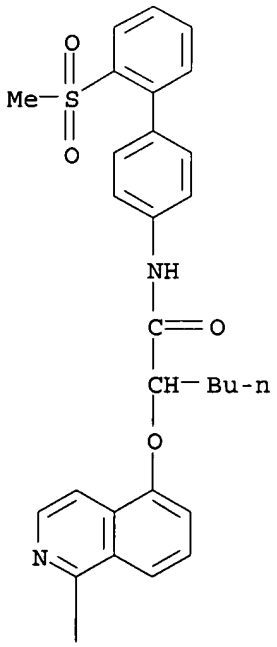


RN 405272-14-2 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



RN 405272-17-5 CAPLUS
CN Hexanamide, 2-[(1-amino-5-isoquinolinyl)oxy]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)

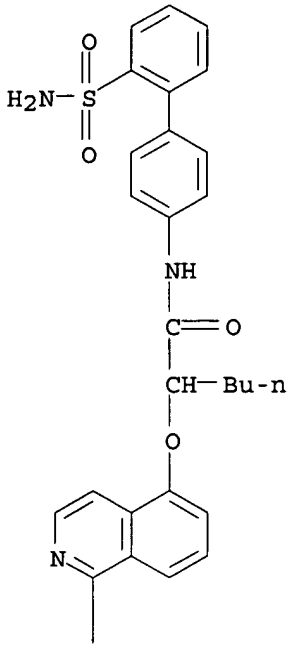
PAGE 1-A



PAGE 2-A

RN 405272-18-6 CAPLUS
CN Hexanamide, 2-[(1-amino-5-isoquinolinyl)oxy]-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)

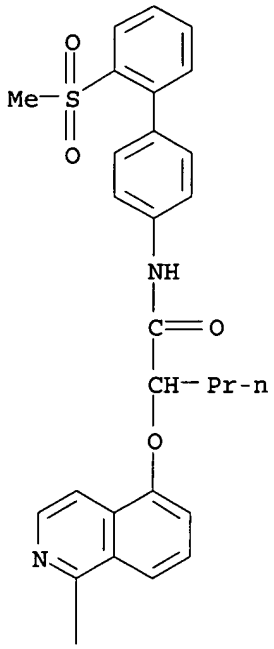
PAGE 1-A



PAGE 2-A

RN 405272-19-7 CAPLUS
CN Pentanamide, 2-[(1-amino-5-isoquinolinyl)oxy]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)

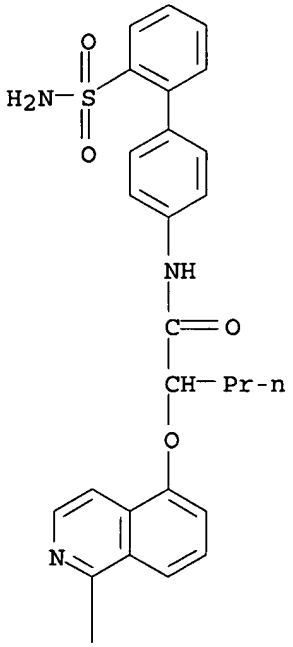
PAGE 1-A



PAGE 2-A

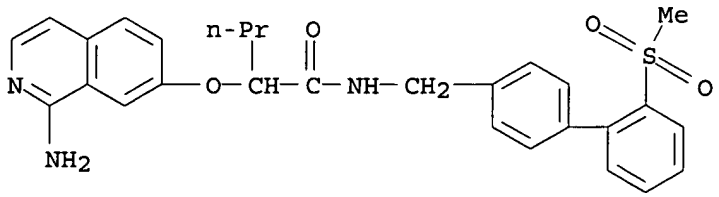
RN 405272-20-0 CAPLUS
CN Pentanamide, 2-[(1-amino-5-isoquinolinyl)oxy]-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A

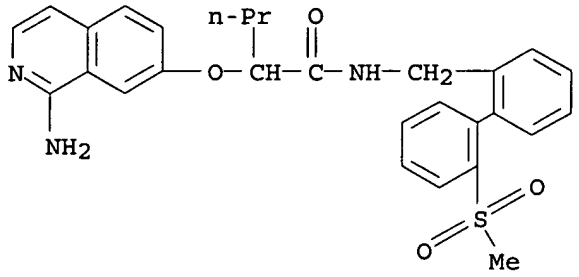


PAGE 2-A

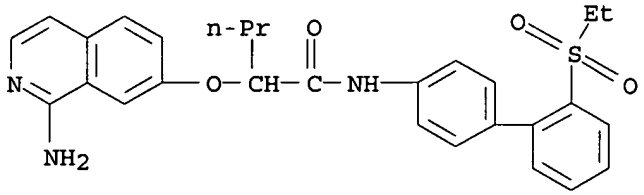
RN 405272-21-1 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)



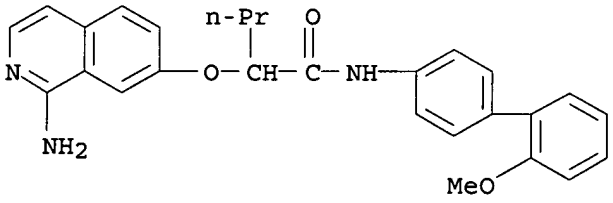
RN 405272-22-2 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[[2'-(methylsulfonyl)[1,1'-biphenyl]-2-yl]methyl]- (9CI) (CA INDEX NAME)



RN 405272-23-3 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-(ethylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



RN 405272-24-4 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-(2'-methoxy[1,1'-biphenyl]-4-yl)- (9CI) (CA INDEX NAME)



RN 405272-25-5 CAPLUS
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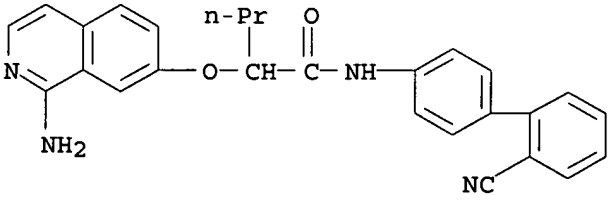
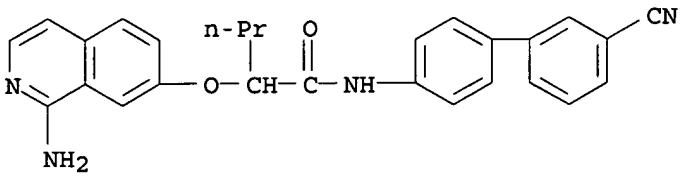


RN 405272-26-6 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-(3'-cyano[1,1'-biphenyl]-4-yl)- (9CI) (CA INDEX NAME)

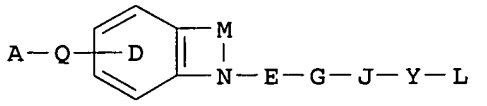


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:137189 CAPLUS
DOCUMENT NUMBER: 134:193446
TITLE: Preparation of heterocyclic compounds as inhibitors of factor Xa
INVENTOR(S): Zhu, Bing-Yan; Scarborough, Robert M.; Clizbe, Lane; Doughan, Brandon; Jia, Zhaozhong-Jon; Kane-Maguire, Kim; Marlowe, Charles; Song, Yonghong; Su, Ting; Teng, Willy; Zhang, Penglie
PATENT ASSIGNEE(S): Cor Therapeutics, Inc., USA; et al.
SOURCE: PCT Int. Appl., 387 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012600	A1	20010222	WO 2000-US21742	20000810
WO 2001012600	C2	20020912		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6534535	B1	20030318	US 2000-636804	20000810

PRIORITY APPLN. INFO.: US 1999-148627P P 19990812
US 2000-202202P P 20000505
OTHER SOURCE(S): MARPAT 134:193446
GI



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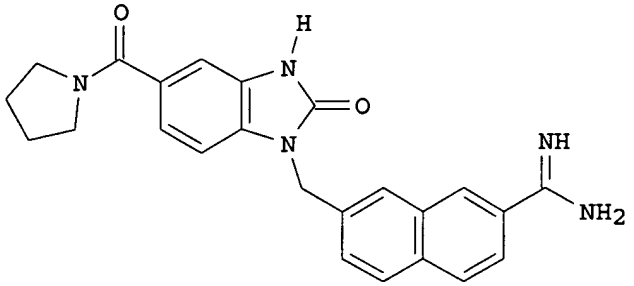


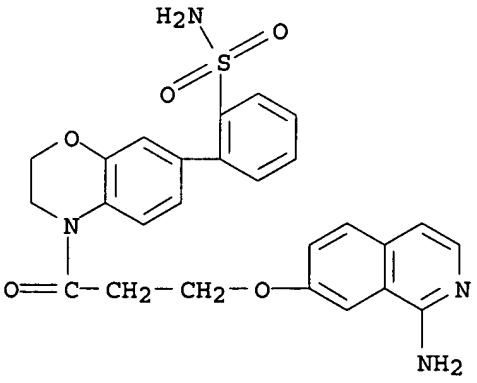
II

AB The title compds. [I; A = alkyl, cycloalkyl, (un)substituted Ph, etc.; Q = a direct link, CH2, CO, etc.; D = (un)substituted Ph, 6-membered heteroaryl having 1-2 ring N atoms; M = NR16CO, NR16CS, CR17R18CO, etc.; R16-R18 = H, halo, alkyl, etc.; E = a direct link, CO, CONR5, etc.; R5 = alkyl, alkenyl, alkynyl, etc.; G = a direct link, CR7R8, CR7aR8aCR7bR8b, CR7c:CR8c; R7, R8, R7a, R7b, R7c, R8a, R8b, R8c = H, halo, alkyl, etc.; J = a direct link, O, S, etc.; Y = (un)substituted Ph, naphthyl, monocyclic or fused bicyclic heterocyclyl; L = H, CN, CONR12R13; R12, R13 = H, alkyl, OH, etc.] having activity against mammalian factor Xa, and useful in vitro or in vivo for preventing or treating coagulation disorders, were prepd. and formulated. E.g., a multi-step synthesis of the title compd. II was given.

IT **327046-29-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic compds. as inhibitors of factor Xa)

RN 327046-29-7 CAPLUS

CN 2H-1,4-Benzoxazine, 4-[3-[(1-amino-7-isoquinolinyl)oxy]-1-oxopropyl]-7-[2-(aminosulfonyl)phenyl]-3,4-dihydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

09/ 830,227

ACCESSION NUMBER: 2000:842106 CAPLUS
DOCUMENT NUMBER: 134:29205
TITLE: Preparation of benzamidines and arylamidines as inhibitors of factor Xa
INVENTOR(S): Su, Ting; Zhu, Bing-Yan; Kane-Maguire, Kim; Scarborough, Robert M.; Zhang, Penglie
PATENT ASSIGNEE(S): Cor Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 144 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000071510	A2	20001130	WO 2000-US14195	20000524
WO 2000071510	A3	20010830		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1183235	A2	20020306	EP 2000-937700	20000524
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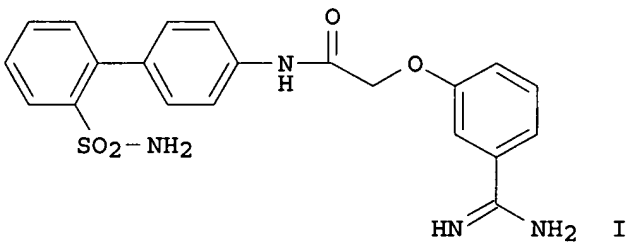
JP 2003500385	T2	20030107	JP 2000-619767	20000524
US 6638980	B1	20031028	US 2000-576633	20000524

PRIORITY APPLN. INFO.: US 1999-135849P P 19990524
WO 2000-US14195 W 20000524
OTHER SOURCE(S): MARPAT 134:29205
GI



I

AB AYDEGJZL [wherein A = (cyclo)alkyl, NR2R3, C(:NR2)NR2R3, C(:NR2)R3, NR3C(:NR2)NR2NR3, (un)substituted Ph, naphthyl, or heterocyclic ring; R2 and R3 = independently H, (cyclo)alkyl, alkenyl, alkynyl, alkylcyclalkyl, or (un)substituted alkylphenyl or alkylnaphthyl; Y = bond, bivalent alkyl, alkenyl, or alkynyl, CH2, CO, C(:NR4), NR4, NR4CH2, CH2NR4, CONR4, NR4CO, SO2, O, SO2NR4, or NR4SO2; R4 = H, alkyl, alkenyl, alkynyl, or (un)substituted aklylaryl or aklyheterocyclyl; D = (un)substituted Ph, naphthyl, or heterocyclic ring; E = NR5CO, CONR5, NR5, or NR5(CH2)0-2; R5 = H, alkyl, alkyl(hetero)aryl, or (un)substituted carboxyaklyl or carboxamidoalkyl; G = (un)substituted methylene or ethylene; J = O, OCHR11, S, SCHR11, S(O), SO2, S(O)CHR11, SO2CHR11; R11 = H, alkyl, or

(un)substituted alkyl(hetero)aryl; Z = (un)substituted Ph, naphthyl, or heterocyclic ring; L = H, CN, CONR12NR13, (CH2)0-2NR12R13, C(:NR12)NR12R13, NR12R13, OR12, NR12C(:NR12)NR12N13, or NR12C(:N12)R13; R12 and R13 = independently H, OR14, NR14R15, alkyl, (un)substituted alkylphenyl, alkylnaphthyl, or carboxyalkyl; R14 and R15 = independently H, alkyl, (un)substituted alkyl(hetero)aryl, or together with the attached N forms a heterocyclic ring] were prepd. as potent and highly selective inhibitors of factor Xa for the prevention or treatment of coagulation disorders (no data). For example, 2-(3-cyanophenoxy)acetic acid was coupled with {[2-(4-aminophenyl)phenyl]sulfonyl}(tert-butyl)amine in the presence of BOP in DMF to give the acetamide intermediate. Treatment with NH2OH.bul.HCl and TEA in EtOH, followed by addn. of AcOH, redn. using Pd/C in MeOH, and deprotection with TFA afforded the benzamidine (I). Compds. of the invention show selectivity for factor Xa vs. other proteases of the coagulation cascade or the fibrinolytic cascade, and are useful as diagnostic reagents as well as antithrombotic agents (no data).

IT **489426-93-9 489426-94-0 489426-96-2**
489426-98-4 489427-05-6 489427-06-7
489427-07-8 489427-10-3 489427-11-4
489427-15-8 489427-20-5 489427-40-9
489427-42-1 489427-44-3 489427-50-1
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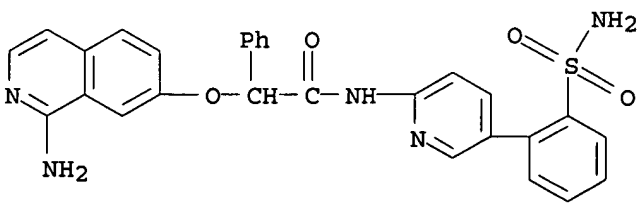
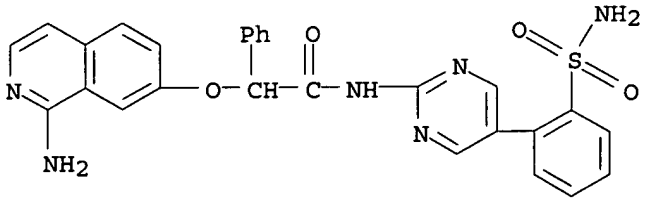
308288-78-0P 308288-79-1P 308288-80-4P
308288-83-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use)
(prepn. of benzamidine and arylamidine factor Xa inhibitors by amidation of cyanoaryl-substituted carboxylic acids with amines and subsequent conversion of nitriles to amidines)

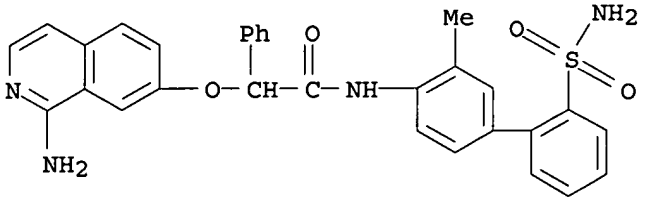
RN 489426-93-9 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[5-[2-(aminosulfonyl)phenyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)



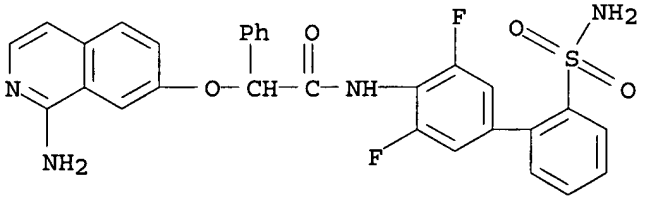
RN 489426-94-0 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[5-[2-(aminosulfonyl)phenyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



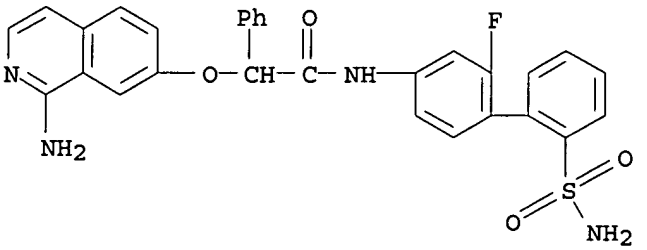
RN 489426-96-2 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-(aminosulfonyl)-3-methyl[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



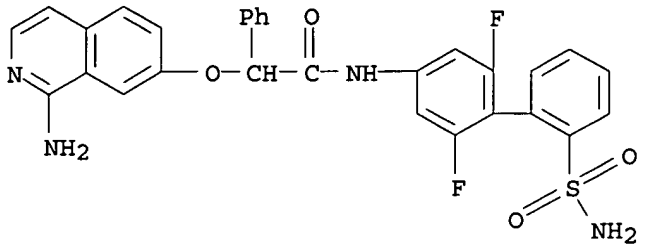
RN 489426-98-4 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-(aminosulfonyl)-3,5-difluoro[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



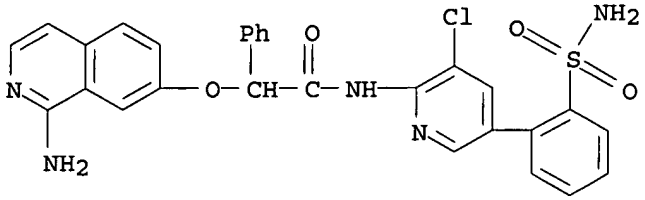
RN 489427-05-6 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-(aminosulfonyl)-2-fluoro[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



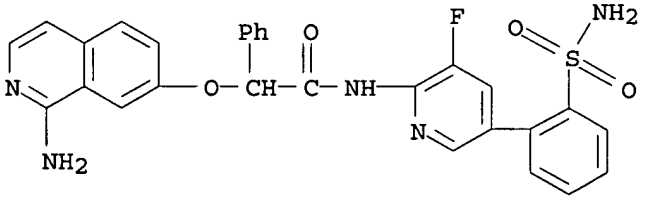
RN 489427-06-7 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-(aminosulfonyl)-2,6-difluoro[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



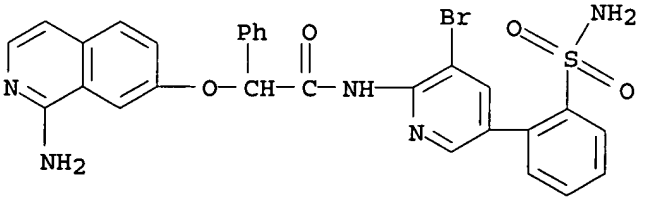
RN 489427-07-8 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[5-[2-(aminosulfonyl)phenyl]-3-chloro-2-pyridinyl]- (9CI) (CA INDEX NAME)



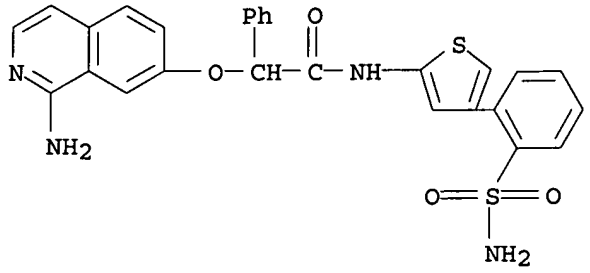
RN 489427-10-3 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[5-[2-(aminosulfonyl)phenyl]-3-fluoro-2-pyridinyl]- (9CI) (CA INDEX NAME)



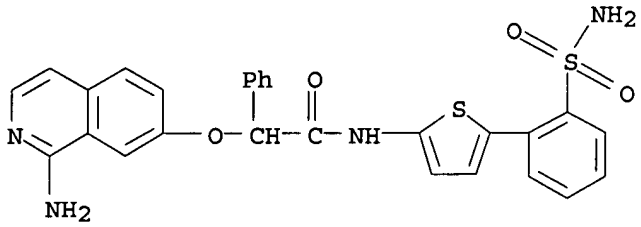
RN 489427-11-4 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[5-[2-(aminosulfonyl)phenyl]-3-bromo-2-pyridinyl]- (9CI) (CA INDEX NAME)



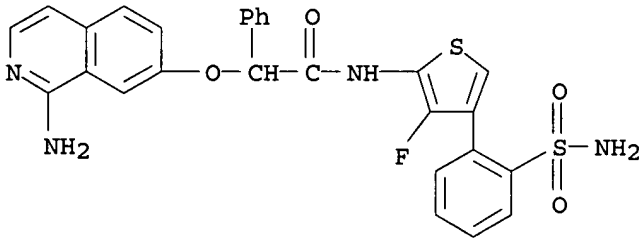
RN 489427-15-8 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[4-[2-(aminosulfonyl)phenyl]-2-thienyl]- (9CI) (CA INDEX NAME)



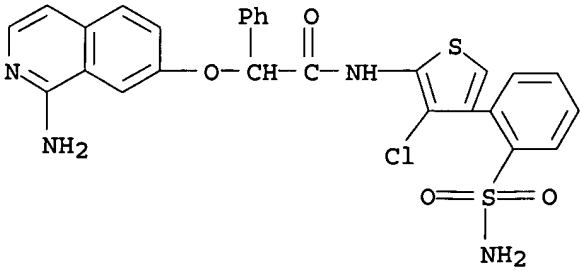
RN 489427-20-5 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[5-[2-(aminosulfonyl)phenyl]-2-thienyl]- (9CI) (CA INDEX NAME)



RN 489427-40-9 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[4-[2-(aminosulfonyl)phenyl]-3-fluoro-2-thienyl]- (9CI) (CA INDEX NAME)

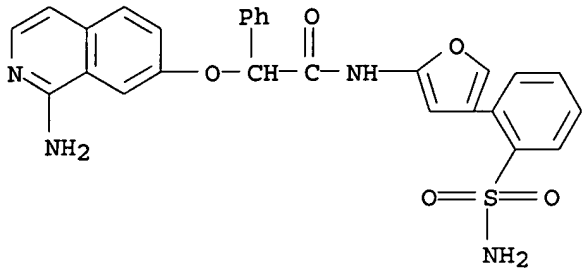


RN 489427-42-1 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[4-[2-(aminosulfonyl)phenyl]-3-chloro-2-thienyl]- (9CI) (CA INDEX NAME)

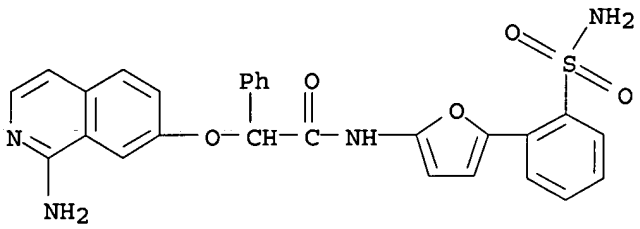


RN 489427-44-3 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[4-[2-

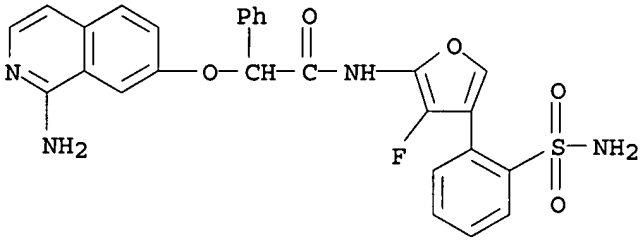
(aminosulfonyl)phenyl]-2-furanyl]- (9CI) (CA INDEX NAME)



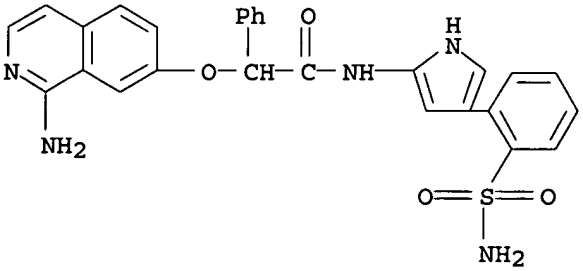
RN 489427-50-1 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[5-[2-(aminosulfonyl)phenyl]-2-furanyl]- (9CI) (CA INDEX NAME)



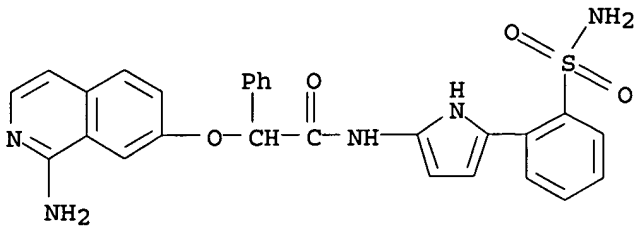
RN 489427-54-5 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[4-[2-(aminosulfonyl)phenyl]-3-fluoro-2-furanyl]- (9CI) (CA INDEX NAME)



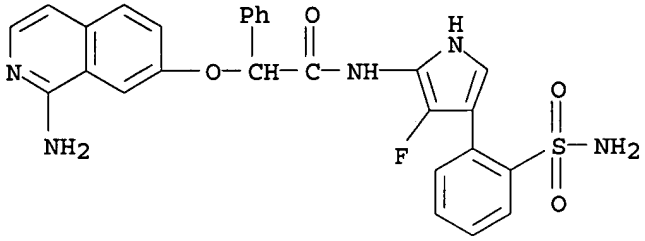
RN 489427-55-6 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[4-[2-(aminosulfonyl)phenyl]-1H-pyrrol-2-yl]- (9CI) (CA INDEX NAME)



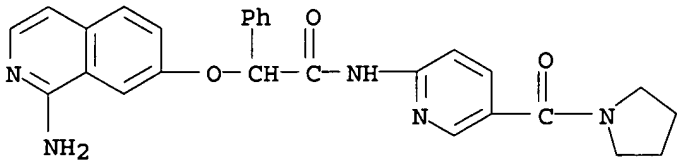
RN 489427-57-8 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[5-[2-(aminosulfonyl)phenyl]-1H-pyrrol-2-yl]- (9CI) (CA INDEX NAME)



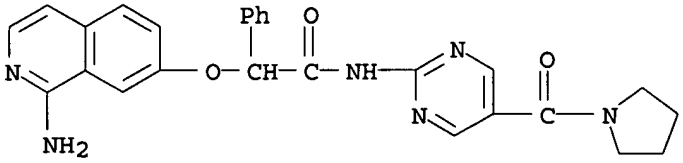
RN 489427-59-0 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[4-[2-(aminosulfonyl)phenyl]-3-fluoro-1H-pyrrol-2-yl]- (9CI) (CA INDEX NAME)



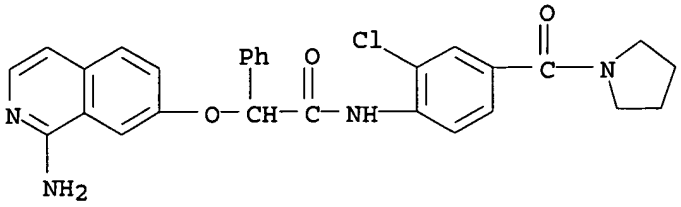
RN 489428-90-2 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[5-(1-pyrrolidinylcarbonyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



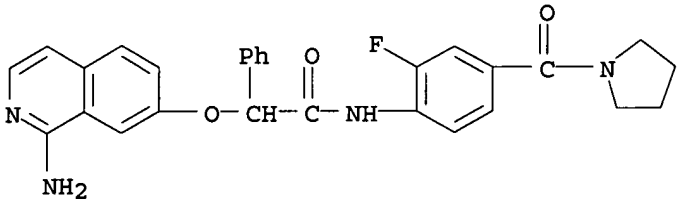
RN 489428-91-3 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[5-(1-pyrrolidinylcarbonyl)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



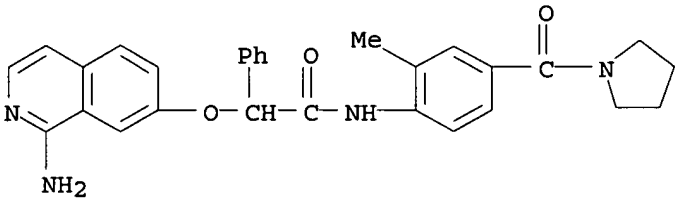
RN 489428-92-4 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[2-chloro-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



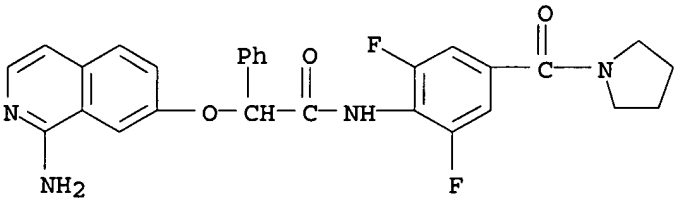
RN 489428-93-5 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[2-fluoro-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



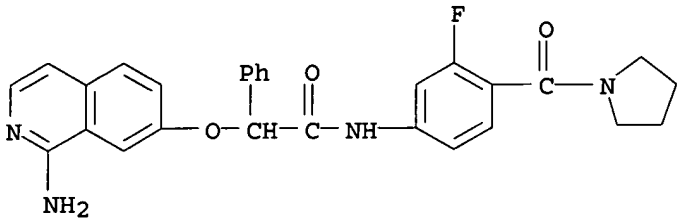
RN 489428-94-6 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[2-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



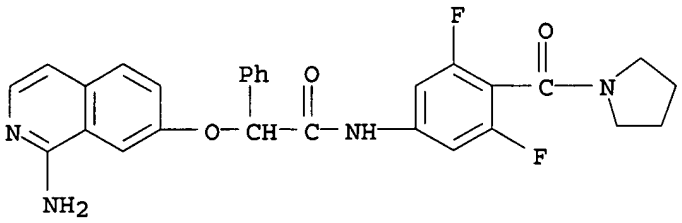
RN 489428-95-7 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[2,6-difluoro-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



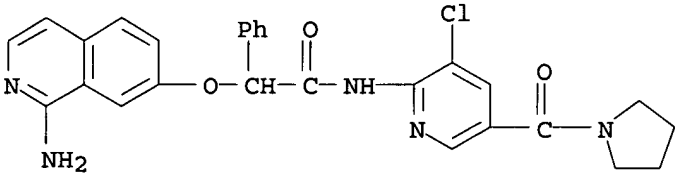
RN 489428-96-8 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[3-fluoro-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



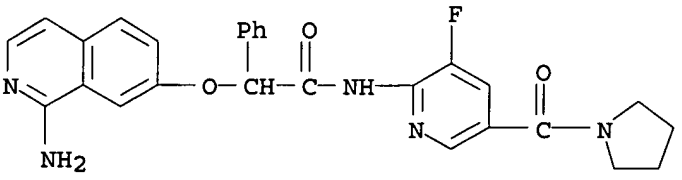
RN 489428-97-9 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[3,5-difluoro-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



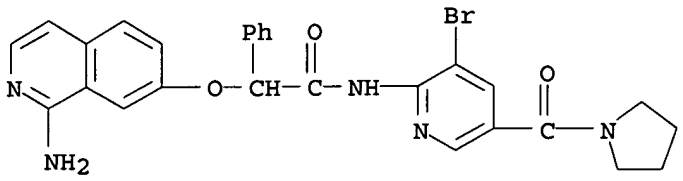
RN 489428-98-0 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[3-chloro-5-(1-pyrrolidinylcarbonyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



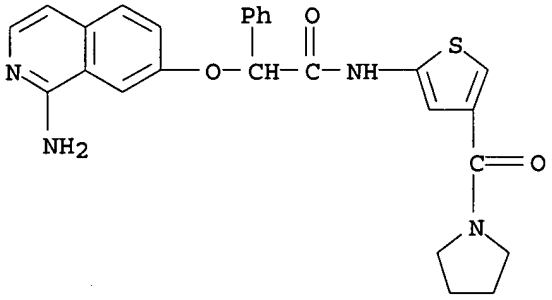
RN 489429-15-4 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[3-fluoro-5-(1-pyrrolidinylcarbonyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



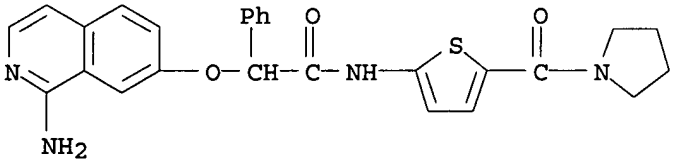
RN 489429-16-5 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[3-bromo-5-(1-pyrrolidinylcarbonyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



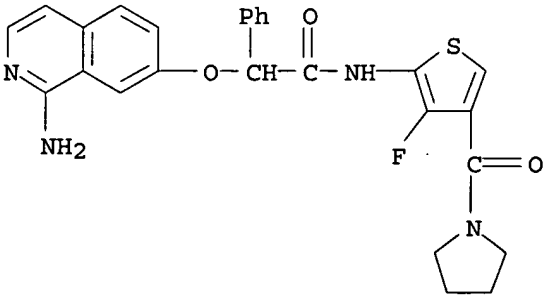
RN 489429-17-6 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(1-pyrrolidinylcarbonyl)-2-thienyl]- (9CI) (CA INDEX NAME)



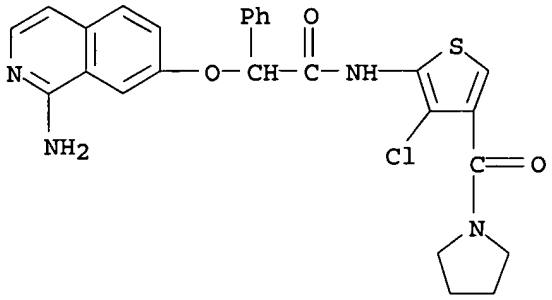
RN 489429-18-7 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[5-(1-pyrrolidinylcarbonyl)-2-thienyl]- (9CI) (CA INDEX NAME)



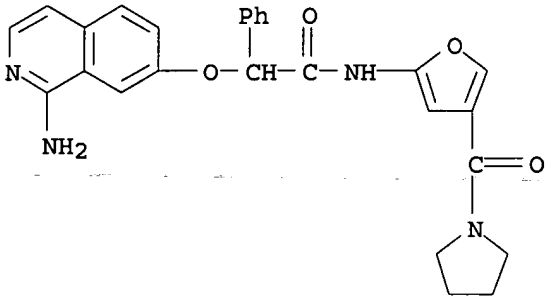
RN 489429-19-8 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[3-fluoro-4-(1-pyrrolidinylcarbonyl)-2-thienyl]- (9CI) (CA INDEX NAME)



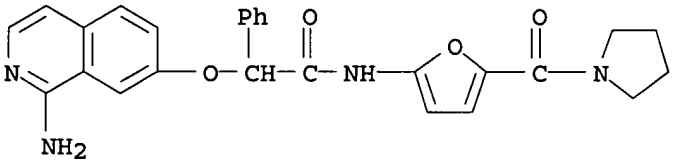
RN 489429-22-3 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[3-chloro-4-(1-pyrrolidinylcarbonyl)-2-thienyl]- (9CI) (CA INDEX NAME)



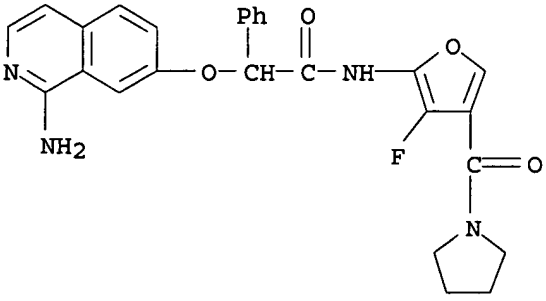
RN 489429-23-4 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(1-pyrrolidinylcarbonyl)-2-furanyl]- (9CI) (CA INDEX NAME)



RN 489429-24-5 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[5-(1-pyrrolidinylcarbonyl)-2-furanyl]- (9CI) (CA INDEX NAME)



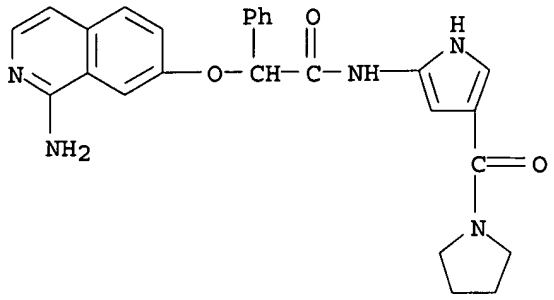
RN 489429-31-4 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[3-fluoro-4-(1-pyrrolidinylcarbonyl)-2-furanyl]- (9CI) (CA INDEX NAME)



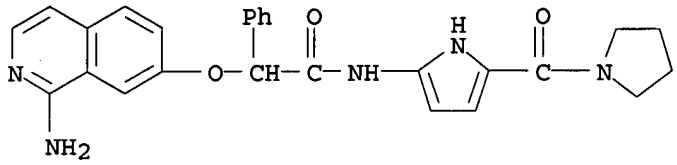
RN 489429-42-7 CAPLUS

09/ 830,227

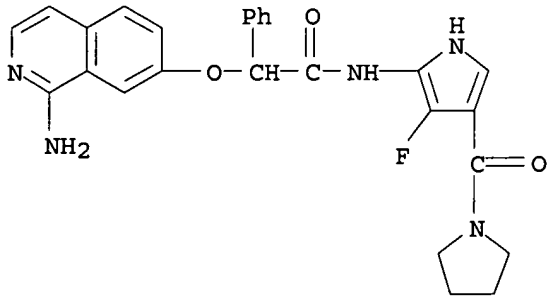
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(1-pyrrolidinylcarbonyl)-1H-pyrrol-2-yl]- (9CI) (CA INDEX NAME)



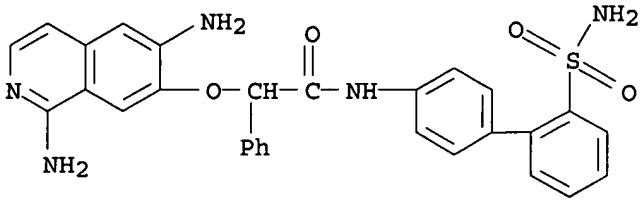
RN 489429-45-0 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[5-(1-pyrrolidinylcarbonyl)-1H-pyrrol-2-yl]- (9CI) (CA INDEX NAME)



RN 489429-63-2 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[3-fluoro-4-(1-pyrrolidinylcarbonyl)-1H-pyrrol-2-yl]- (9CI) (CA INDEX NAME)

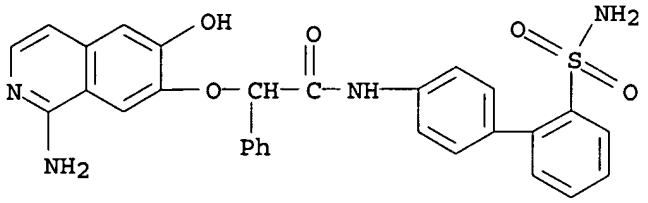


RN 489433-05-8 CAPLUS
CN Benzeneacetamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-.alpha.-[(1,6-diamino-7-isoquinolinyl)oxy]- (9CI) (CA INDEX NAME)

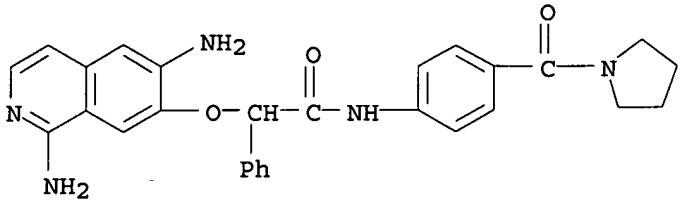


RN 489434-39-1 CAPLUS

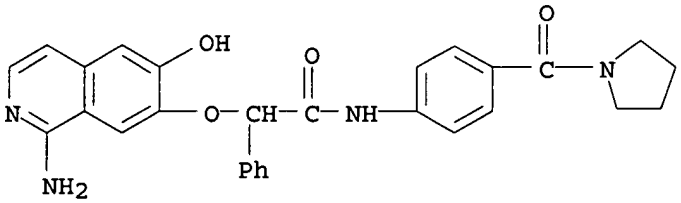
CN Benzeneacetamide, .alpha.-[(1-amino-6-hydroxy-7-isoquinolinyl)oxy]-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



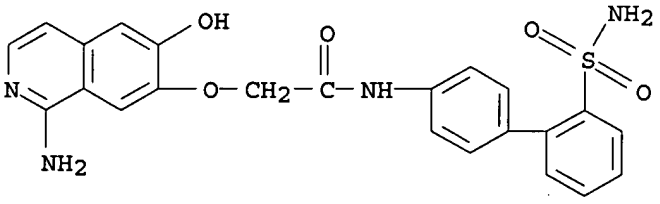
RN 489438-63-3 CAPLUS
CN Benzeneacetamide, .alpha.-[(1,6-diamino-7-isoquinolinyl)oxy]-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



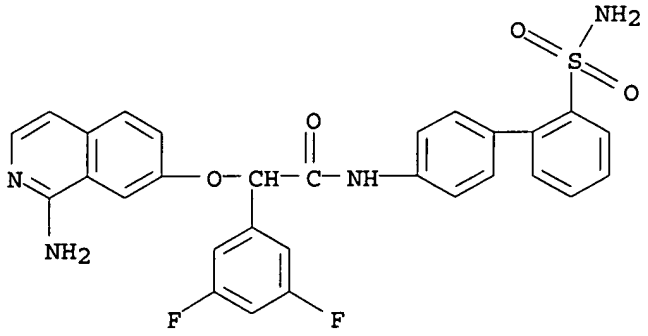
RN 489438-99-5 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-6-hydroxy-7-isoquinolinyl)oxy]-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



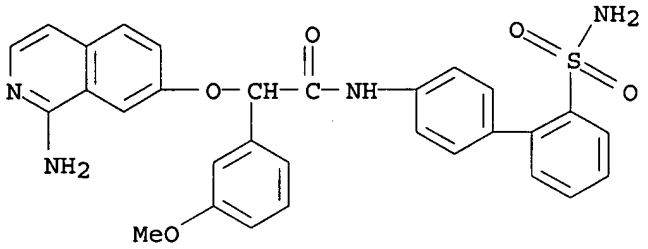
RN 489448-10-4 CAPLUS
CN Acetamide, 2-[(1-amino-6-hydroxy-7-isoquinolinyl)oxy]-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



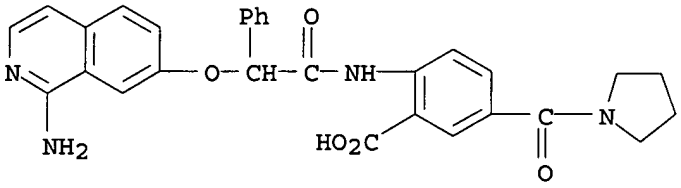
RN 489448-25-1 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-3,5-difluoro- (9CI) (CA INDEX NAME)



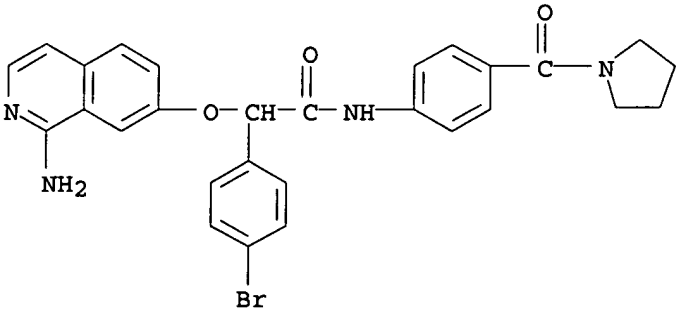
RN 489448-31-9 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-3-methoxy- (9CI) (CA INDEX NAME)



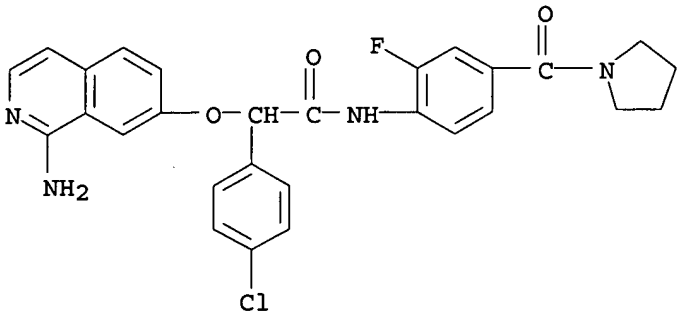
RN 489448-49-9 CAPLUS
CN Benzoic acid, 2-[[[(1-amino-7-isoquinolinyl)oxy]phenylacetyl]amino]-5-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)



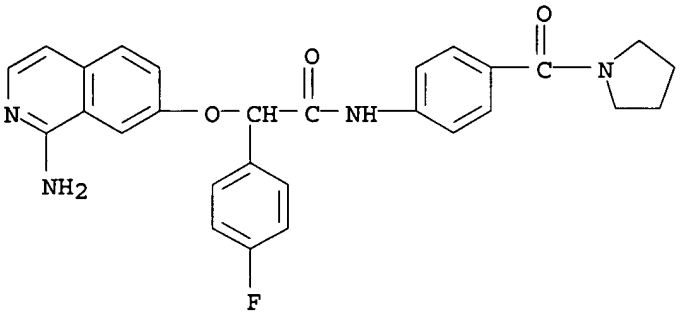
RN 489448-64-8 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-4-bromo-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



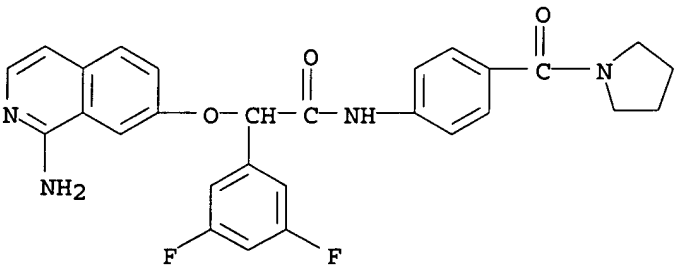
RN 489448-65-9 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-4-chloro-N-[2-fluoro-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



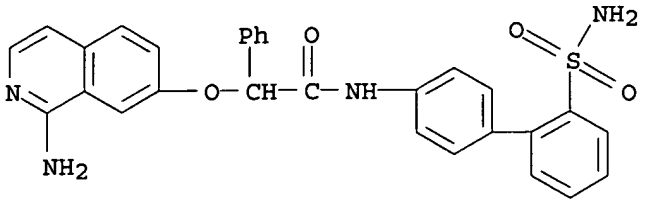
RN 489448-66-0 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-4-fluoro-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



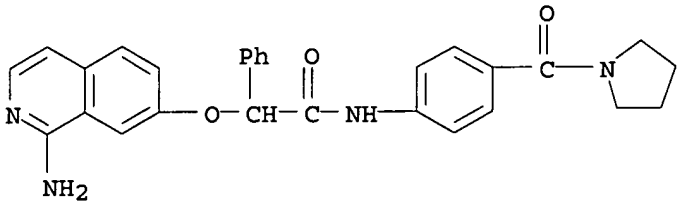
RN 489448-67-1 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-3,5-difluoro-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



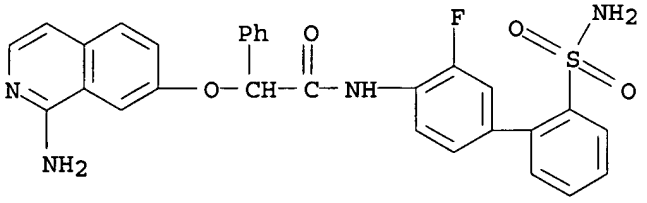
RN 308288-71-3 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



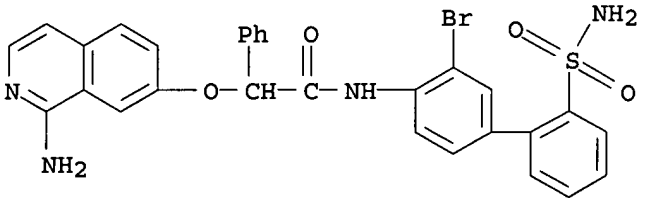
RN 308288-72-4 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



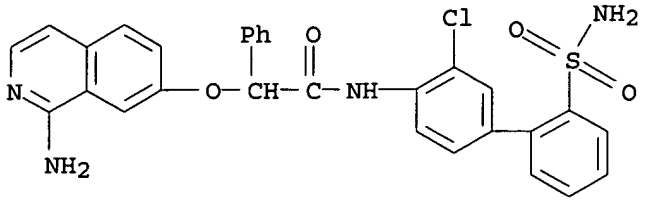
RN 308288-75-7 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



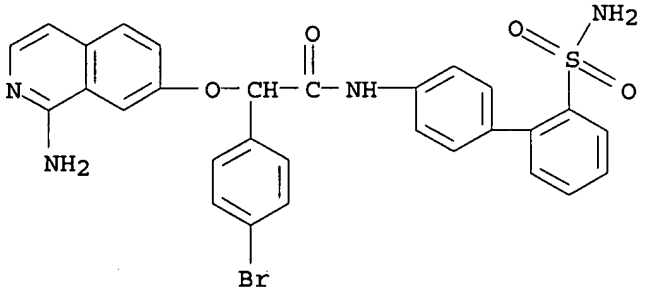
RN 308288-76-8 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-(aminosulfonyl)-3-bromo[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



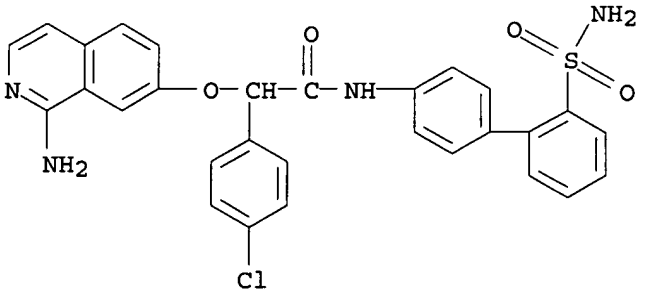
RN 308288-77-9 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-(aminosulfonyl)-3-chloro[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



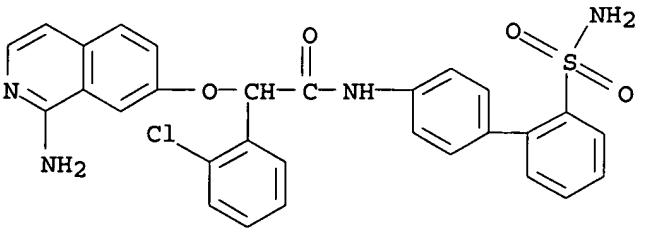
RN 308288-78-0 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-4-bromo- (9CI) (CA INDEX NAME)



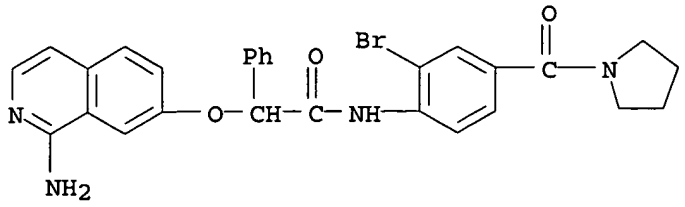
RN 308288-79-1 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-4-chloro- (9CI) (CA INDEX NAME)



RN 308288-80-4 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-2-chloro- (9CI) (CA INDEX NAME)



RN 308288-83-7 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[2-bromo-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:842104 CAPLUS
DOCUMENT NUMBER: 134:29204
TITLE: Preparation of benzamidines and arylamidines as inhibitors of factor Xa
INVENTOR(S): Zhu, Bing-Yan; Zhang, Penglie; Scarborough, Robert M.
PATENT ASSIGNEE(S): Cor Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000071508	A2	20001130	WO 2000-US14208	20000524
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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EP 1185508	A2	20020313	EP 2000-932732	20000524
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003500383	T2	20030107	JP 2000-619765	20000524
US 6638980	B1	20031028	US 2000-576633	20000524

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WO 2000-US14208 W 20000524
OTHER SOURCE(S): MARPAT 134:29204
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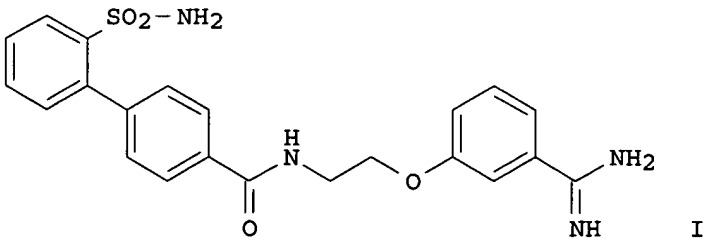


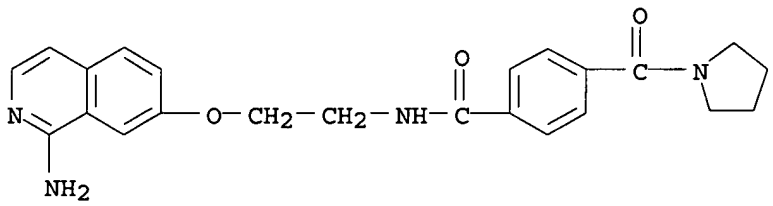
I

AB AYDEGJZL [wherein A = (cyclo)alkyl, (un)substituted amino, imino, amidino, guanidino, Ph, naphthyl, heterocyclic ring, etc.; Y = bond, CH2, CO, NR4CH2, CH2NR4, NR4, CONR4, NR4CO, C(:NR4), C(:N4)NR4a, C(:NR4)CH2,

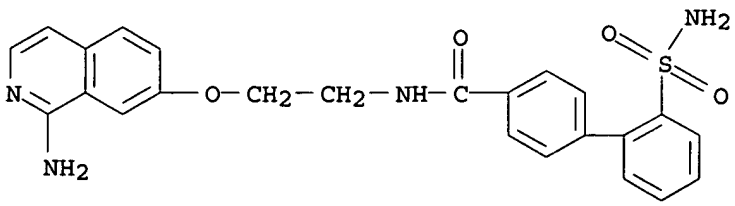
C(:NR4)NR4aCH2, SO2, O, SO2NR4, or NR4SO2; R4 and R4a = independently H, alkyl, alkenyl, alkynyl, (alkyl)cycloalkyl, or (un)substituted alklylphenyl or aklynaphthyl; D = bond, (un)substituted Ph, naphthyl, or heterocyclic ring; E = NR5CO, NR5CONR6, SO2NR5, NR5SO2NR6, NR5SO2NR6CO; R5 and R6 = H, alkyl, alkenyl, alkynyl, (alkyl)cycloalkyl or (un)substituted alkylphenyl, alkylnaphthyl, alkylheteroaryl, carboxyalkyl, carbamidoalkyl, etc.; G = (un)substituted methylene, ethylene, or propylene; J = bond, CONR11, NR11CO, NR11, NR11CH2, O, S, SO2, SO, OCH2, or SO2CH2; R11 = H, alkyl, alkenyl, alkynyl, (alkyl)cycloalkyl or (un)substituted alkylphenyl, alkylnaphthyl, or alkylheteroary; Z = (un)substituted Ph, naphthyl, or heterocyclic ring; L = H, CN, CONR12NR13, (CH2)0-2NR12R13, C(:NR12)NR12R13, NR12R13, OR12, NR12C(:NR12)NR12N13, or NR12C(:N12)R13; R12 and R13 = independently H, OH, alkyl, (un)substituted alkoxy, (di)alkylamino, alkylphenyl, alkylnaphthyl, carboxyalkyl, etc.] were prepd. as potent and highly selective inhibitors of factor Xa for the prevention or treatment of coagulation disorders (no data). For example, N-tert-butoxycarbonylglycinol was condensed with 3-cyanophenol in the presence of PPh3 and DEAD in CH2Cl2 (93%), and the amine deprotected and converted to the salt using TFA. Reaction of the TFA amine salt with 2'-(tert-butylaminosulfonyl)-4-biphenylcarboxylic acid in the presence of BOP and i-Pr2NEt in DMF gave the amide (84%). The benzonitrile was converted to the desired benzamidine salt (I.bul.TFA) in 85% yield by bubbling HCl gas through a soln. of the amide intermediate in MeOH, followed by neutralization and workup using 0.5% TFA in H2O/MeCN. Compds. of the invention show selectivity for factor Xa vs. other proteases of the coagulation cascade or the fibrinolytic cascade, and are useful as diagnostic reagents as well as antithrombotic agents (no data).

IT **244256-82-4P 309930-02-7P 309930-03-8P 309930-04-9P 309930-05-0P 309930-09-4P 309930-30-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzamidine and arylamidine factor Xa inhibitors from benzonitriles and arylnitriles)

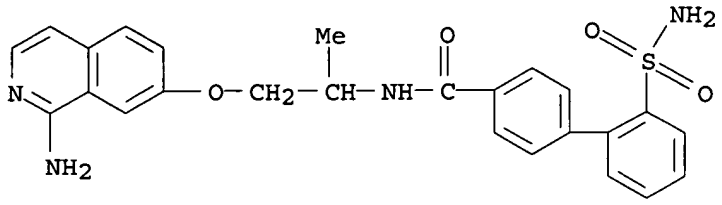
RN 244256-82-4 CAPLUS
CN Benzamide, N-[2-[(1-amino-7-isoquinolinyl)oxy]ethyl]-4-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)



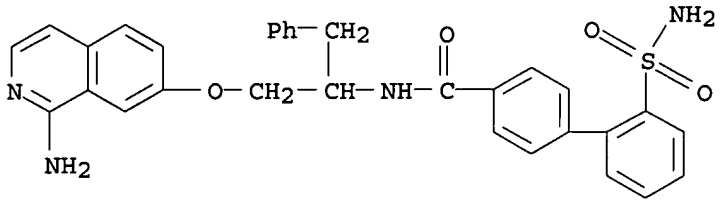
RN 309930-02-7 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[2-[(1-amino-7-isoquinolinyl)oxy]ethyl]-2'-(aminosulfonyl)- (9CI) (CA INDEX NAME)



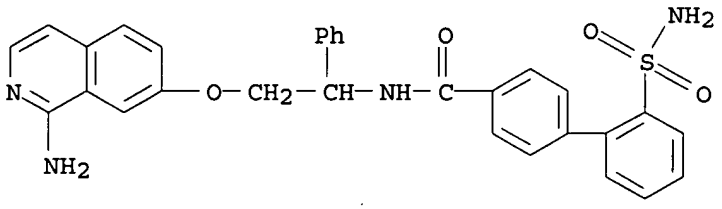
RN 309930-03-8 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[2-[(1-amino-7-isoquinolinyl)oxy]-1-methylethyl]-2'-(aminosulfonyl)- (9CI) (CA INDEX NAME)



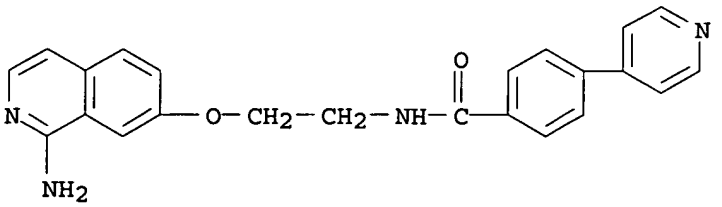
RN 309930-04-9 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[1-[[(1-amino-7-isoquinolinyl)oxy]methyl]-2-phenylethyl]-2'-(aminosulfonyl)- (9CI) (CA INDEX NAME)



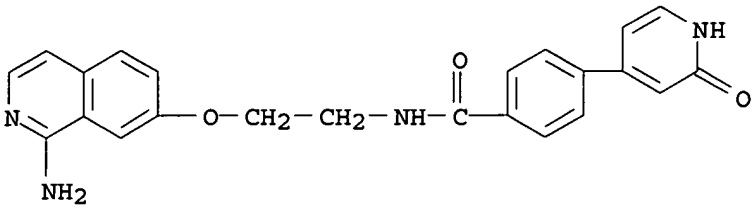
RN 309930-05-0 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[2-[(1-amino-7-isoquinolinyl)oxy]-1-phenylethyl]-2'-(aminosulfonyl)- (9CI) (CA INDEX NAME)



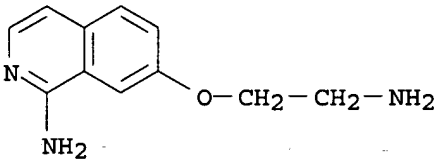
RN 309930-09-4 CAPLUS
CN Benzamide, N-[2-[(1-amino-7-isoquinolinyl)oxy]ethyl]-4-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 309930-30-1 CAPLUS
CN Benzamide, N-[2-[(1-amino-7-isoquinolinyl)oxy]ethyl]-4-(1,2-dihydro-2-oxo-4-pyridinyl)- (9CI) (CA INDEX NAME)



IT **309930-41-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of benzamidine and arylamidine factor Xa inhibitors from benzonitriles and arylnitriles)
RN 309930-41-4 CAPLUS
CN 1-Isoquinolinamine, 7-(2-aminoethoxy)- (9CI) (CA INDEX NAME)



L5 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:291003 CAPLUS
DOCUMENT NUMBER: 132:322143
TITLE: Preparation of isoquinoline amino acid derivatives as serine protease inhibitors.
INVENTOR(S): Timmers, Cornelis Marius; Rewinkel, Johannes Bernardus Maria
PATENT ASSIGNEE(S): Akzo Nobel N.V., Neth.
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

applicant's PCT

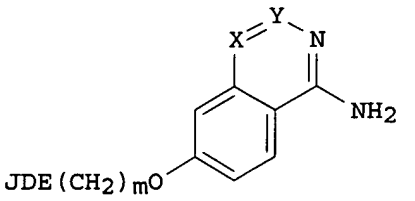
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000024718	A1	20000504	WO 1999-EP7928	19991019
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9963413	A1	20000515	AU 1999-63413	19991019
AU 763667	B2	20030731		
BR 9914694	A	20010710	BR 1999-14694	19991019
EP 1123280	A1	20010816	EP 1999-950761	19991019
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002528438	T2	20020903	JP 2000-578288	19991019
NZ 511067	A	20030328	NZ 1999-511067	19991019
ZA 2001002970	A	20020710	ZA 2001-2970	20010410
NO 2001001966	A	20010423	NO 2001-1966	20010420

09/ 830,227

PRIORITY APPLN. INFO.: EP 1998-203559 A 19981023
WO 1999-EP7928 W 19991019

OTHER SOURCE(S): MARPAT 132:322143

GI



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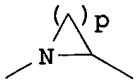


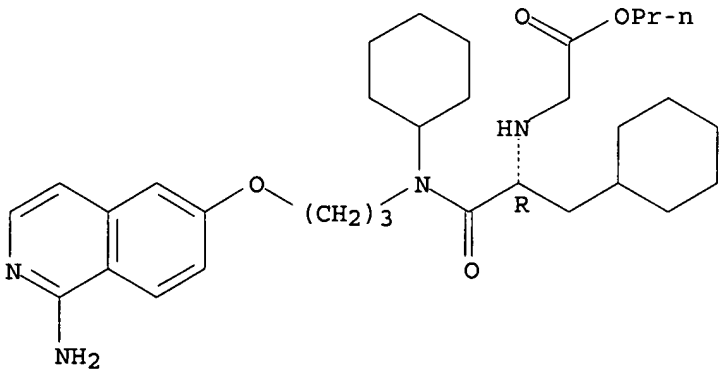
AB Title compds. [I; J = H, R1, R1O2C, R1CO, R1SO2, etc.; D = NHCHR1CO, D-1-Tiq, D-Atc, Aic, D-1-Piq, etc.; E = NR2CH2, (substituted) Q1; R1 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkylene; R2 = H, R1; X, Y = CH, N, both may not = N; m = 1, 2; p = 2-4], were prepd. Thus, (2S)-1-[N-(-)-camphorsulfonyl-D-cyclohexylalaninyl]-2-[2-(1-aminoisoquinolin-6-oxy)ethyl]piperidine (soln. phase prepn. given) showed antithrombin activity with IC50 = 0.41.mu.M.

IT **266690-34-0P 266690-35-1P 266690-36-2P**
266690-37-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of isoquinoline amino acid derivs. as serine protease inhibitors)

RN 266690-34-0 CAPLUS

CN Glycine, N-[(1R)-2-[[3-[(1-amino-6-isoquinolinyl)oxy]propyl]cyclohexylamino]-1-(cyclohexylmethyl)-2-oxoethyl]-, propyl ester (9CI) (CA INDEX NAME)

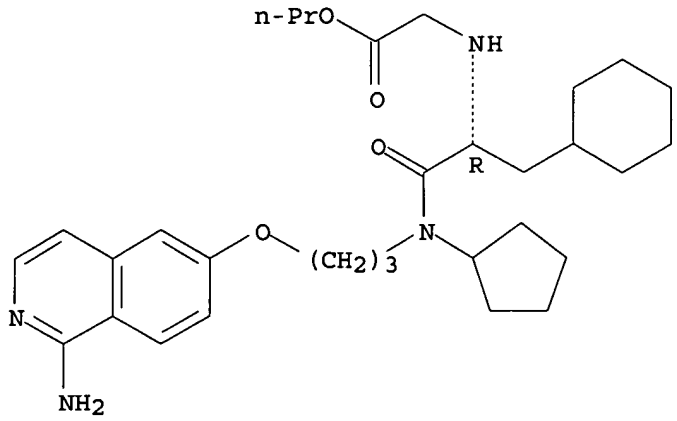
Absolute stereochemistry.



RN 266690-35-1 CAPLUS

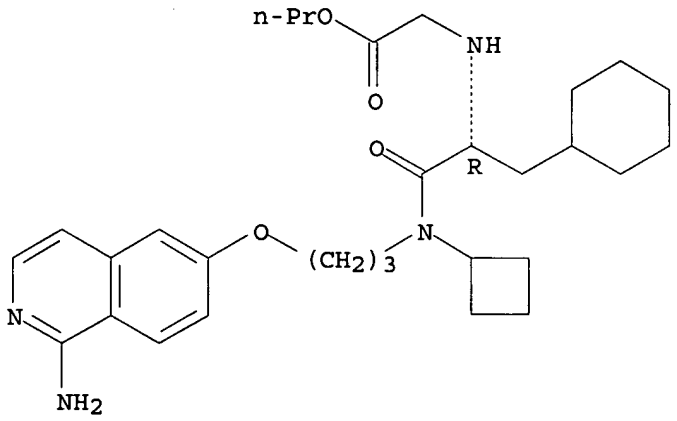
CN Glycine, N-[(1R)-2-[[3-[(1-amino-6-isoquinolinyl)oxy]propyl]cyclopentylamino]-1-(cyclohexylmethyl)-2-oxoethyl]-, propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



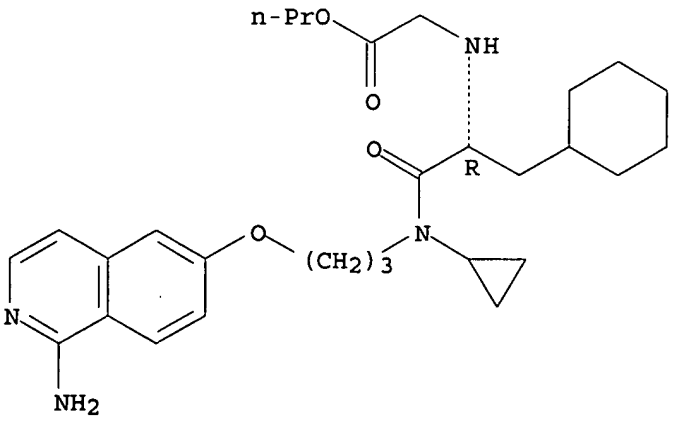
RN 266690-36-2 CAPLUS
CN Glycine, N-[(1R)-2-[[3-[(1-amino-6-isoquinolinyl)oxy]propyl]cyclobutylamin o]-1-(cyclohexylmethyl)-2-oxoethyl]-, propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



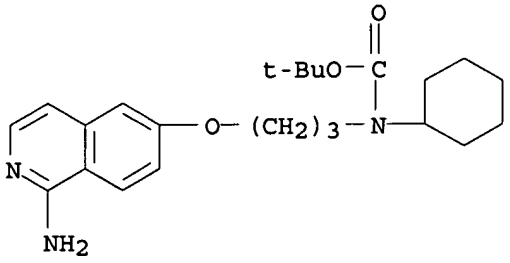
RN 266690-37-3 CAPLUS
CN Glycine, N-[(1R)-2-[[3-[(1-amino-6-isoquinolinyl)oxy]propyl]cyclopropylami no]-1-(cyclohexylmethyl)-2-oxoethyl]-, propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

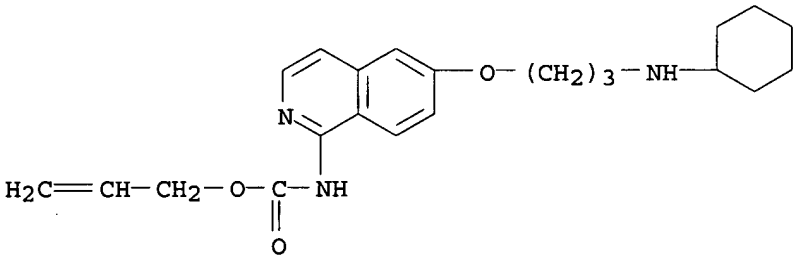


IT **266690-56-6P 266690-57-7P 266690-61-3P**
266690-64-6P 266690-65-7P 266690-66-8P
266690-69-1P 266690-70-4P 266690-71-5P
266690-72-6P 266690-73-7P 266690-74-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of isoquinoline amino acid derivs. as serine protease inhibitors)

RN 266690-56-6 CAPLUS
CN Carbamic acid, [3-[(1-amino-6-isoquinolinyl)oxy]propyl]cyclohexyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

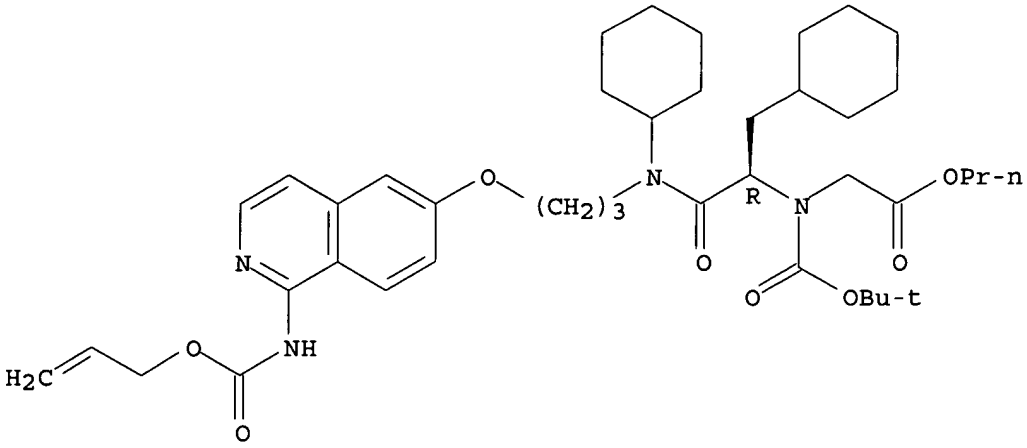


RN 266690-57-7 CAPLUS
CN Carbamic acid, [6-[3-(cyclohexylamino)propoxy]-1-isoquinolinyl]-, 2-propenyl ester (9CI) (CA INDEX NAME)

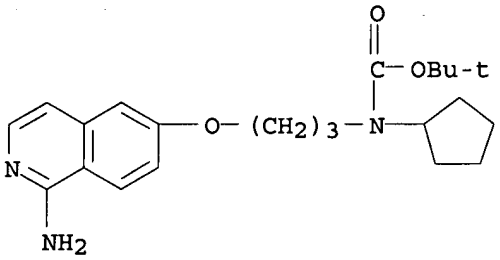


RN 266690-61-3 CAPLUS
CN Glycine, N-[(1R)-1-(cyclohexylmethyl)-2-[cyclohexyl[3-[[1-[[(2-propenyloxy)carbonyl]amino]-6-isoquinolinyl]oxy]propyl]amino]-2-oxoethyl]-N-[(1,1-dimethylethoxy)carbonyl]-, propyl ester (9CI) (CA INDEX NAME)

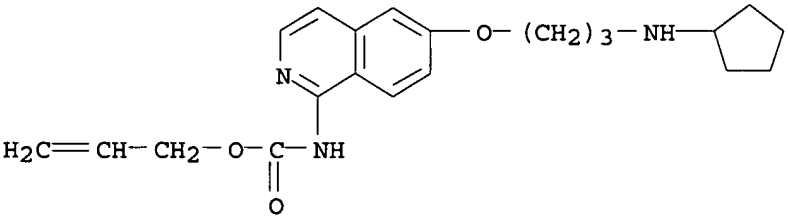
Absolute stereochemistry.



RN 266690-64-6 CAPLUS
CN Carbamic acid, [3-[(1-amino-6-isoquinolinyl)oxy]propyl]cyclopentyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

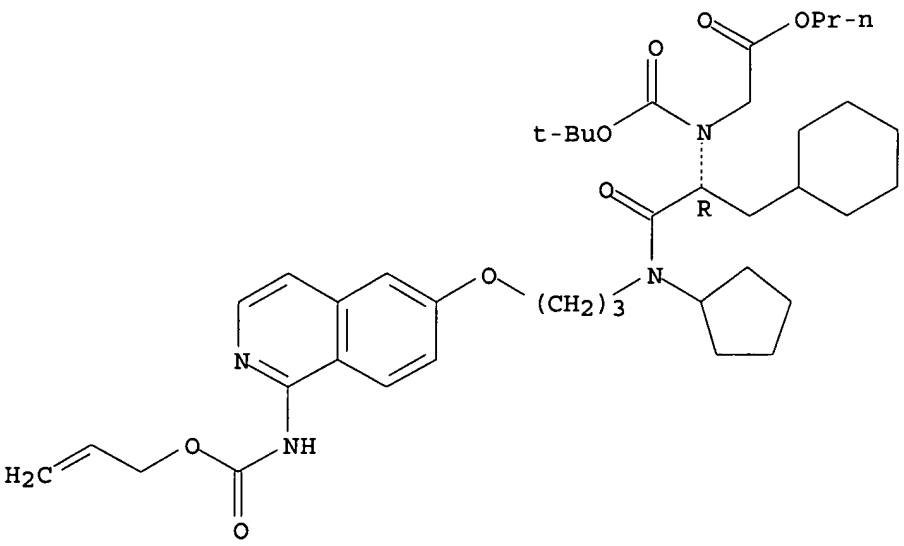


RN 266690-65-7 CAPLUS
CN Carbamic acid, [6-[3-(cyclopentylamino)propoxy]-1-isoquinolinyl]-, 2-propenyl ester (9CI) (CA INDEX NAME)

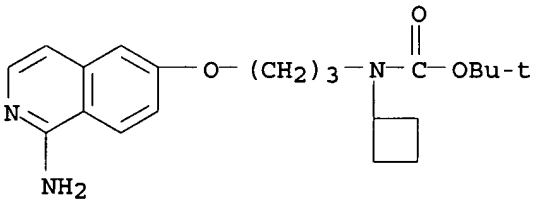


RN 266690-66-8 CAPLUS
CN Glycine, N-[(1R)-1-(cyclohexylmethyl)-2-[cyclopentyl[3-[[1-[[(2-propenyloxy)carbonyl]amino]-6-isoquinolinyl]oxy]propyl]amino]-2-oxoethyl]-N-[(1,1-dimethylethoxy)carbonyl]-, propyl ester (9CI) (CA INDEX NAME)

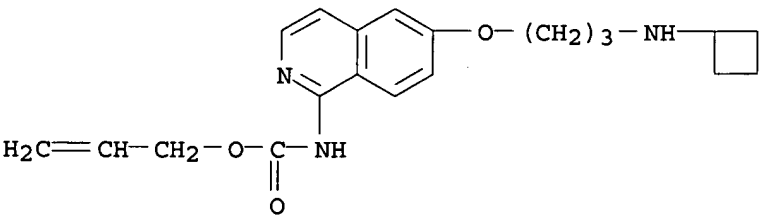
Absolute stereochemistry.



RN 266690-69-1 CAPLUS
CN Carbamic acid, [3-[(1-amino-6-isoquinolinyl)oxy]propyl]cyclobutyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

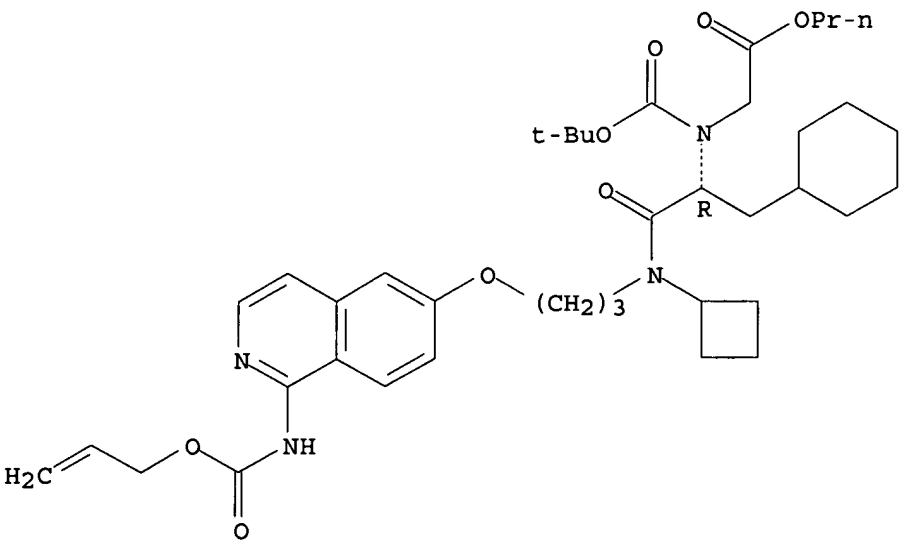


RN 266690-70-4 CAPLUS
CN Carbamic acid, [6-[3-(cyclobutylamino)propoxy]-1-isoquinolinyl]-, 2-propenyl ester (9CI) (CA INDEX NAME)

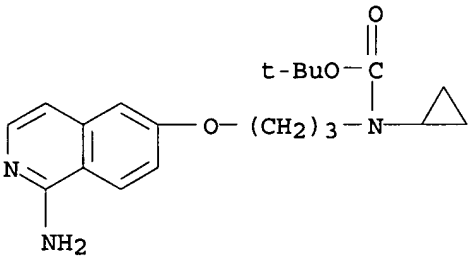


RN 266690-71-5 CAPLUS
CN Glycine, N-[(1R)-2-[cyclobutyl[3-[[1-[[(2-propenyloxy)carbonyl]amino]-6-isoquinolinyl]oxy]propyl]amino]-1-(cyclohexylmethyl)-2-oxoethyl]-N-[(1,1-dimethylethoxy)carbonyl]-, propyl ester (9CI) (CA INDEX NAME)

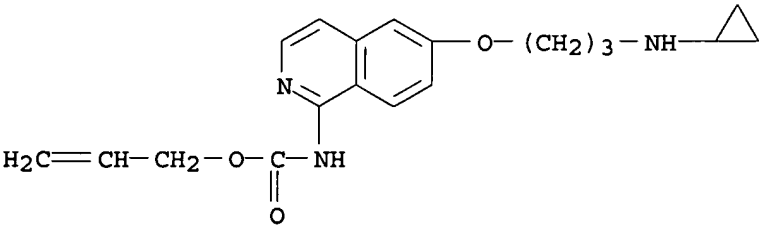
Absolute stereochemistry.



RN 266690-72-6 CAPLUS
CN Carbamic acid, [3-[(1-amino-6-isoquinolinyl)oxy]propyl]cyclopropyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

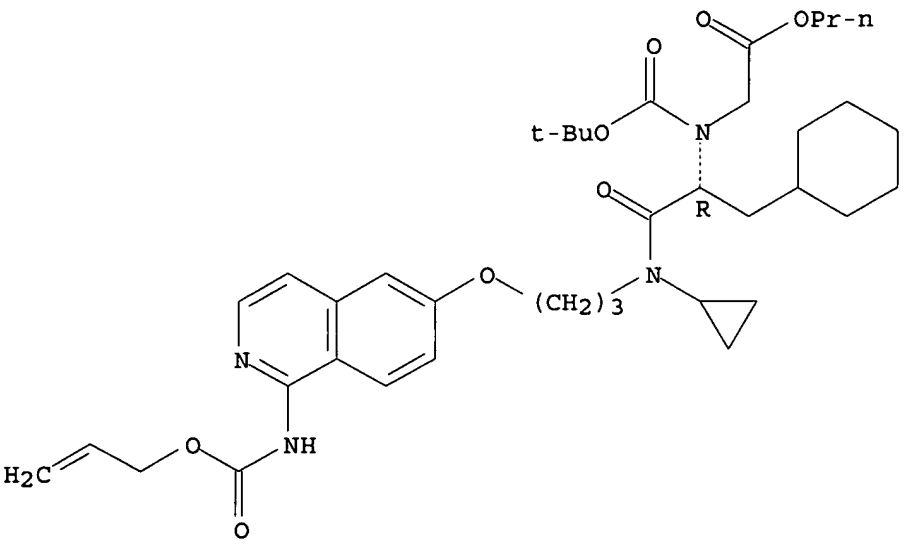


RN 266690-73-7 CAPLUS
CN Carbamic acid, [6-[3-(cyclopropylamino)propoxy]-1-isoquinolinyl]-, 2-propenyl ester (9CI) (CA INDEX NAME)



RN 266690-74-8 CAPLUS
CN Glycine, N-[(1R)-1-(cyclohexylmethyl)-2-[cyclopropyl[3-[[1-[[(2-propenyloxy)carbonyl]amino]-6-isoquinolinyl]oxy]propyl]amino]-2-oxoethyl]-N-[(1,1-dimethylethoxy)carbonyl]-, propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:613871 CAPLUS
DOCUMENT NUMBER: 131:243189
TITLE: Preparation of aminoisoquinoline derivatives as inhibitors of activated blood coagulation factor X
INVENTOR(S): Nakagawa, Tadakiyo; Makino, Shingo; Sagi, Kazuyuki; Takayanagi, Masaru; Kayahara, Takashi; Takehana, Shunji
PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9947503	A1	19990923	WO 1999-JP1309	19990317
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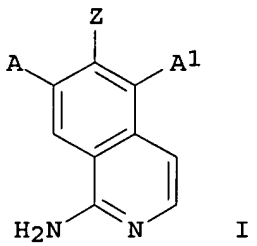
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2324153	AA	19990923	CA 1999-2324153	19990317
AU 9928522	A1	19991011	AU 1999-28522	19990317
AU 753675	B2	20021024		
EP 1065200	A1	20010103	EP 1999-909191	19990317
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				

PRIORITY APPLN. INFO.: JP 1998-70771 A 19980319
JP 1998-197133 A 19980713
WO 1999-JP1309 W 19990317
OTHER SOURCE(S): MARPAT 131:243189
GI



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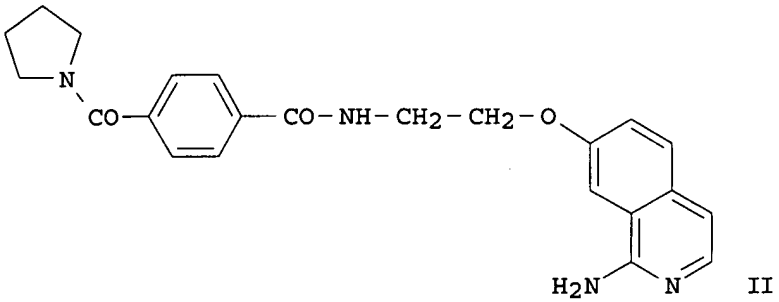


II

AB The title compds. I [A is VLY, A1 is H; or A1 is VLY, A is H ; L is CH2CH2, etc.; V is, for example, H, (un)substituted benzoyl, etc.; extensive details on V are given; Y is CH:CH, etc.; Z = H, alkyl, etc.] are prepd. I are useful as active ingredients in anticoagulants or preventives/remedies for thrombosis or embolism. In an in vitro test for inhibition of the activated blood coagulation factor X, the title compd. II showed pIC50 of 6.6.

IT **244256-81-3P 244256-83-5P 244256-85-7P**
244256-87-9P 244256-89-1P 244256-91-5P
244256-93-7P 244256-95-9P 244256-97-1P
244256-99-3P 244257-01-0P 244257-03-2P
244257-05-4P 244257-07-6P 244257-09-8P
244257-11-2P 244257-13-4P 244257-15-6P
244257-17-8P 244257-19-0P 244257-21-4P
244257-23-6P 244257-25-8P 244257-27-0P
244257-29-2P 244257-31-6P 244257-33-8P
244257-35-0P 244257-37-2P 244257-39-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aminoisoquinoline derivs. as inhibitors of activated blood coagulation factor X)

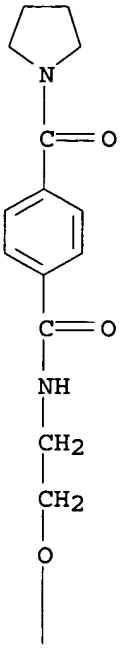
RN 244256-81-3 CAPLUS

CN Benzamide, N-[2-[(1-amino-5-isoquinolinyl)oxy]ethyl]-4-(1-pyrrolidinylcarbonyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

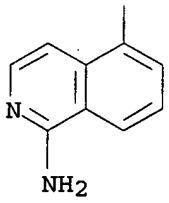
CM 1

CRN 244256-80-2
CMF C23 H24 N4 O3

PAGE 1-A

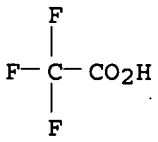


PAGE 2-A



CM 2

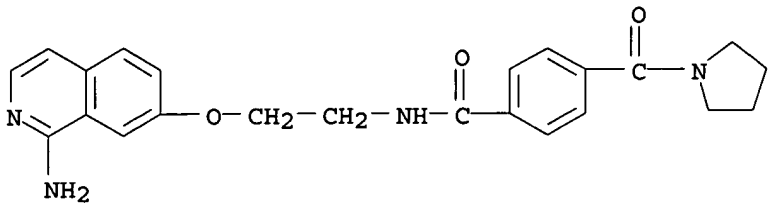
CRN 76-05-1
CMF C2 H F3 O2



RN 244256-83-5 CAPLUS
CN Benzamide, N-[2-[(1-amino-7-isoquinolinyl)oxy]ethyl]-4-(1-pyrrolidinylcarbonyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

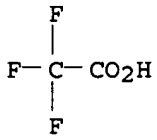
CM 1

CRN 244256-82-4
CMF C23 H24 N4 O3



CM 2

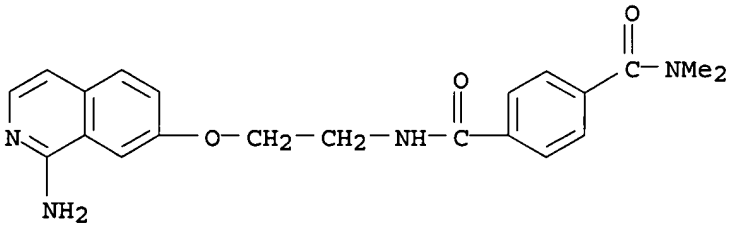
CRN 76-05-1
CMF C2 H F3 O2



RN 244256-85-7 CAPLUS
CN 1,4-Benzenedicarboxamide, N'-[2-[(1-amino-7-isoquinolinyl)oxy]ethyl]-N,N-dimethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

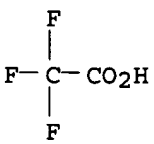
CM 1

CRN 244256-84-6
CMF C21 H22 N4 O3



CM 2

CRN 76-05-1
CMF C2 H F3 O2

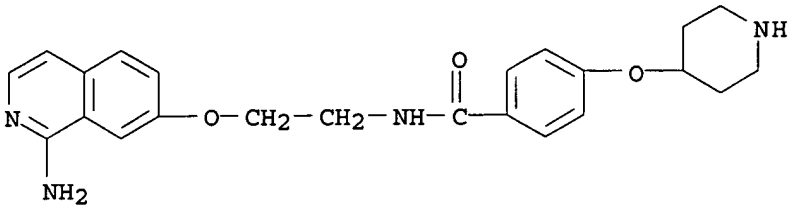


RN 244256-87-9 CAPLUS
CN Benzamide, N-[2-[(1-amino-7-isoquinolinyl)oxy]ethyl]-4-(4-piperidinyloxy)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

09/ 830,227

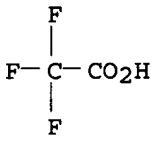
CM 1

CRN 244256-86-8
CMF C23 H26 N4 O3



CM 2

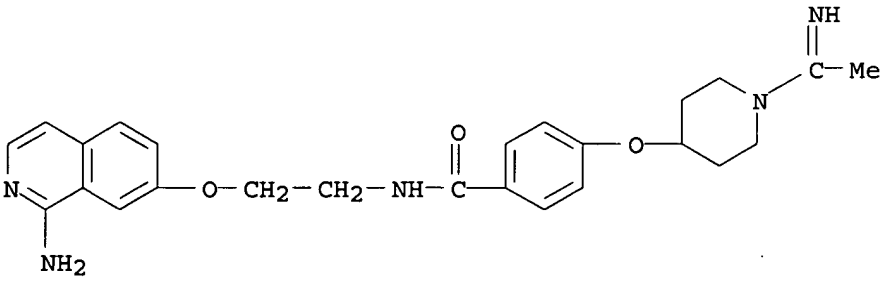
CRN 76-05-1
CMF C2 H F3 O2



RN 244256-89-1 CAPLUS
CN Benzamide, N-[2-[(1-amino-7-isoquinolinyl)oxy]ethyl]-4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

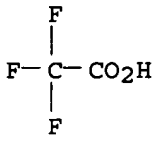
CM 1

CRN 244256-88-0
CMF C25 H29 N5 O3



CM 2

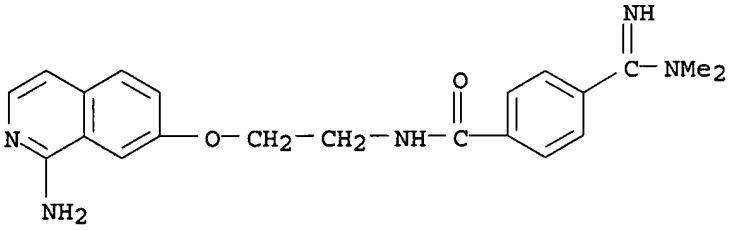
CRN 76-05-1
CMF C2 H F3 O2



RN 244256-91-5 CAPLUS
CN Benzamide, N-[2-[(1-amino-7-isoquinolinyl)oxy]ethyl]-4-[(dimethylamino)iminomethyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

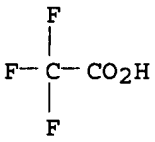
CM 1

CRN 244256-90-4
CMF C21 H23 N5 O2



CM 2

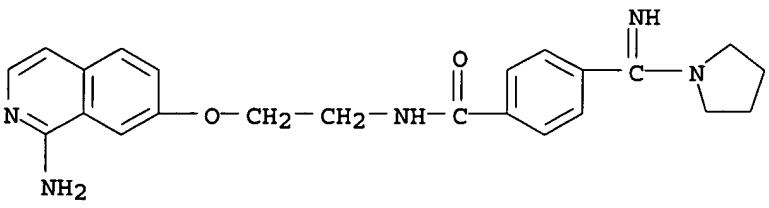
CRN 76-05-1
CMF C2 H F3 O2



RN 244256-93-7 CAPLUS
CN Benzamide, N-[2-[(1-amino-7-isoquinolinyl)oxy]ethyl]-4-(imino-1-pyrrolidinylmethyl)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

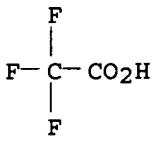
CM 1

CRN 244256-92-6
CMF C23 H25 N5 O2



CM 2

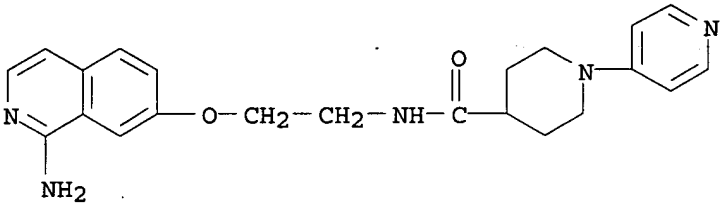
CRN 76-05-1
CMF C2 H F3 O2



RN 244256-95-9 CAPLUS
CN 4-Piperidinecarboxamide, N-[2-[(1-amino-7-isoquinolinyl)oxy]ethyl]-1-(4-pyridinyl)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

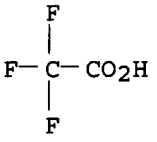
CM 1

CRN 244256-94-8
CMF C22 H25 N5 O2



CM 2

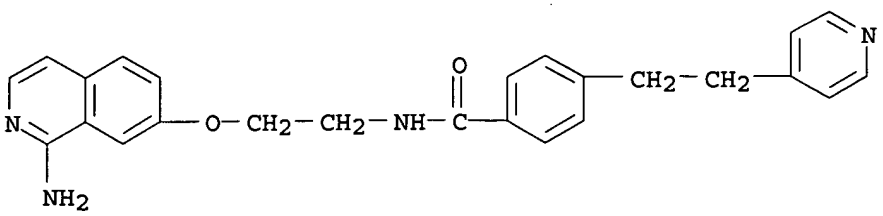
CRN 76-05-1
CMF C2 H F3 O2



RN 244256-97-1 CAPLUS
CN Benzamide, N-[2-[(1-amino-7-isoquinolinyl)oxy]ethyl]-4-[2-(4-pyridinyl)ethyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

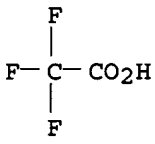
CM 1

CRN 244256-96-0
CMF C25 H24 N4 O2



CM 2

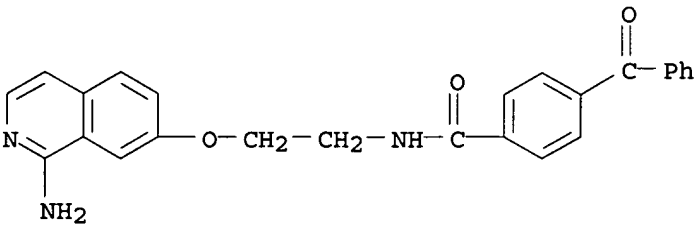
CRN 76-05-1
CMF C2 H F3 O2



RN 244256-99-3 CAPLUS
CN Benzamide, N-[2-[(1-amino-7-isoquinolinyl)oxy]ethyl]-4-benzoyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

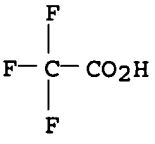
CM 1

CRN 244256-98-2
CMF C25 H21 N3 O3



CM 2

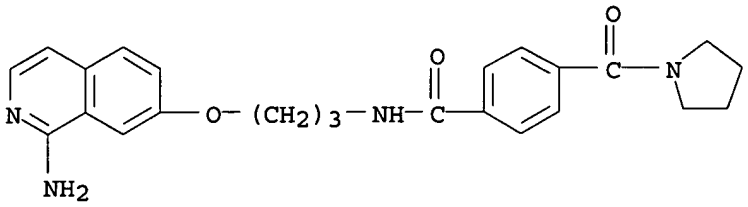
CRN 76-05-1
CMF C2 H F3 O2



RN 244257-01-0 CAPLUS
CN Benzamide, N-[3-[(1-amino-7-isoquinolinyl)oxy]propyl]-4-(1-pyrrolidinylcarbonyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

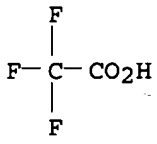
CM 1

CRN 244257-00-9
CMF C24 H26 N4 O3



CM 2

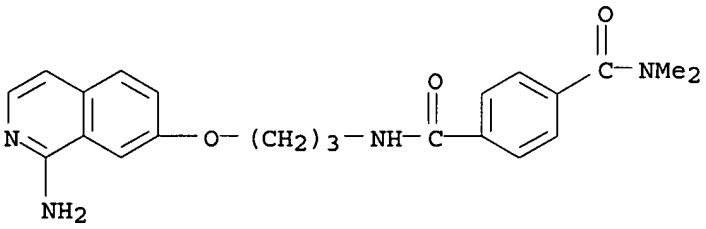
CRN 76-05-1
CMF C2 H F3 O2



RN 244257-03-2 CAPLUS
CN 1,4-Benzenedicarboxamide, N'-[3-[(1-amino-7-isoquinolinyl)oxy]propyl]-N,N-dimethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

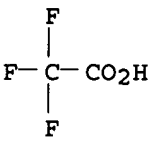
CM 1

CRN 244257-02-1
CMF C22 H24 N4 O3



CM 2

CRN 76-05-1
CMF C2 H F3 O2



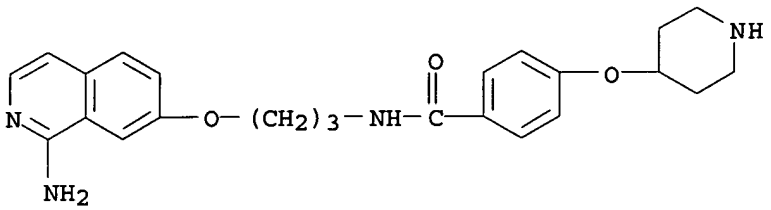
RN 244257-05-4 CAPLUS

09/ 830,227

CN Benzamide, N-[3-[(1-amino-7-isoquinolinyl)oxy]propyl]-4-(4-piperidinyloxy)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

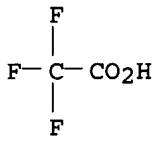
CM 1

CRN 244257-04-3
CMF C24 H28 N4 O3



CM 2

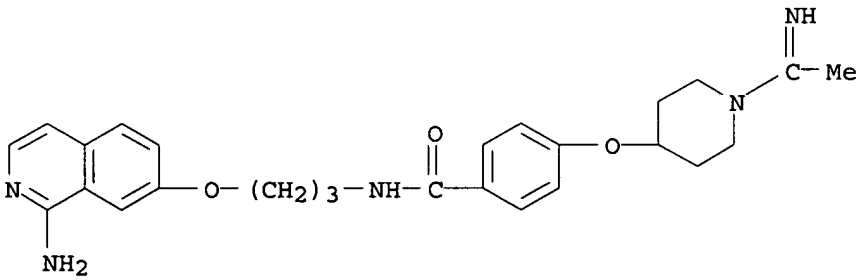
CRN 76-05-1
CMF C2 H F3 O2



RN 244257-07-6 CAPLUS
CN Benzamide, N-[3-[(1-amino-7-isoquinolinyl)oxy]propyl]-4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

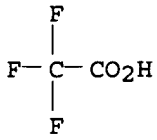
CM 1

CRN 244257-06-5
CMF C26 H31 N5 O3



CM 2

CRN 76-05-1
CMF C2 H F3 O2

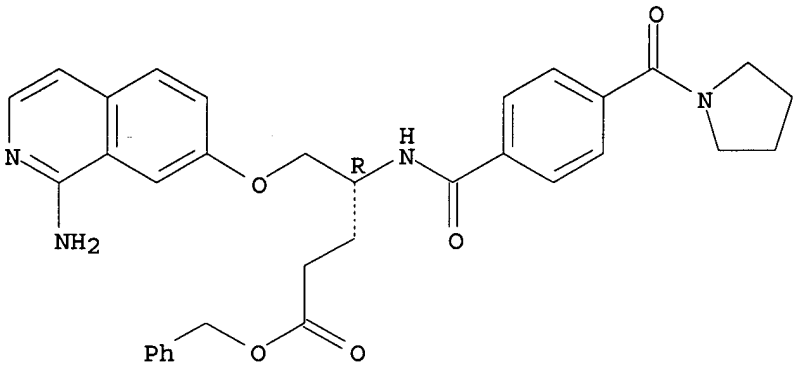


RN 244257-09-8 CAPLUS
CN Pentanoic acid, 5-[(1-amino-7-isoquinolinyl)oxy]-4-[[4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, phenylmethyl ester, (4R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

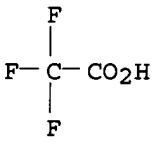
CRN 244257-08-7
CMF C33 H34 N4 O5

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

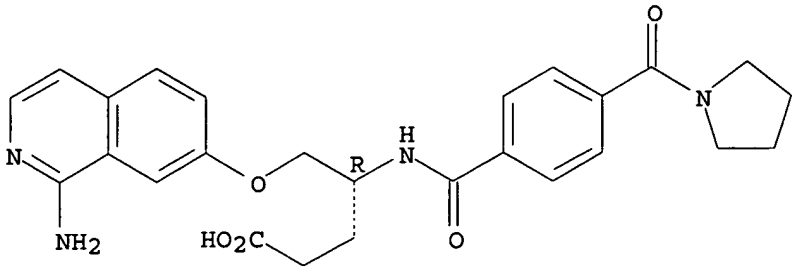


RN 244257-11-2 CAPLUS
CN Pentanoic acid, 5-[(1-amino-7-isoquinolinyl)oxy]-4-[[4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, (4R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

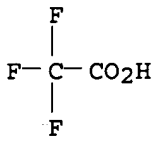
CRN 244257-10-1
CMF C26 H28 N4 O5

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

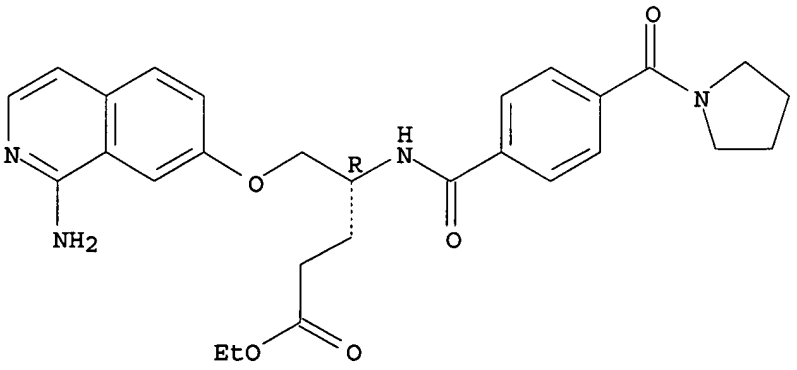


RN 244257-13-4 CAPLUS
CN Pentanoic acid, 5-[(1-amino-7-isoquinolinyl)oxy]-4-[[4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, ethyl ester, (4R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

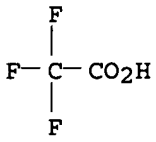
CRN 244257-12-3
CMF C28 H32 N4 O5

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

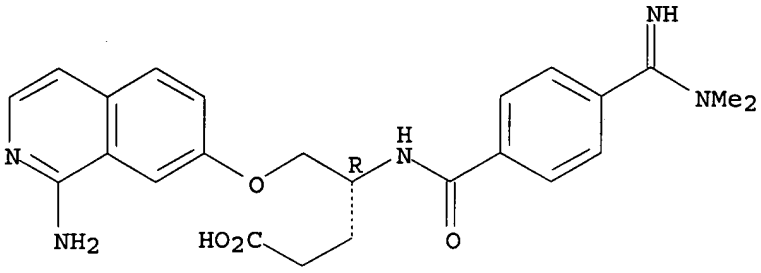


RN 244257-15-6 CAPLUS
CN Pentanoic acid, 5-[(1-amino-7-isoquinolinyl)oxy]-4-[[4-[(dimethylamino)iminomethyl]benzoyl]amino]-, (4R)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

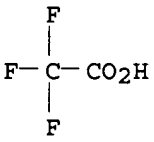
CRN 244257-14-5
CMF C24 H27 N5 O4

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

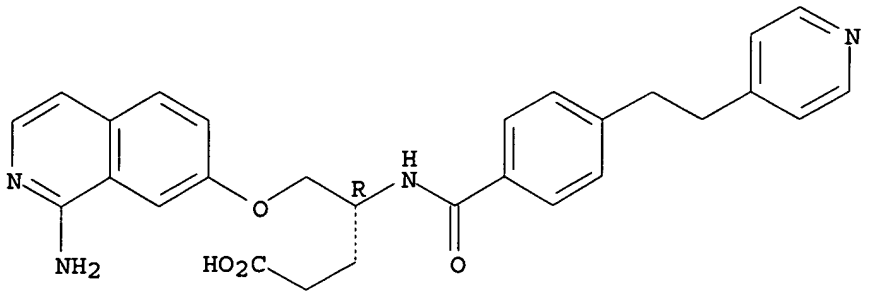


RN 244257-17-8 CAPLUS
CN Pentanoic acid, 5-[(1-amino-7-isoquinolinyl)oxy]-4-[[4-[2-(4-pyridinyl)ethyl]benzoyl]amino]-, (4R)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

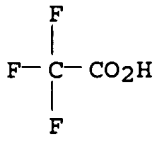
CRN 244257-16-7
CMF C28 H28 N4 O4

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

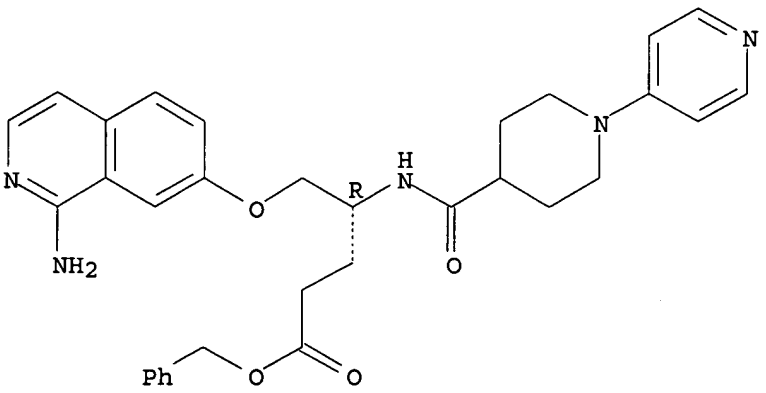


RN 244257-19-0 CAPLUS
CN Pentanoic acid, 5-[(1-amino-7-isoquinolinyl)oxy]-4-[[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]amino]-, phenylmethyl ester, (4R)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

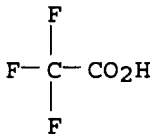
CRN 244257-18-9
CMF C32 H35 N5 O4

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

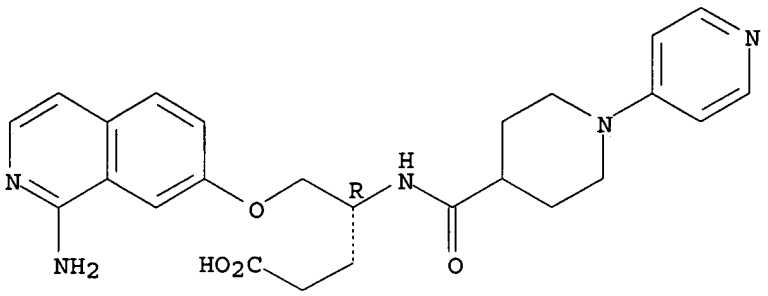


RN 244257-21-4 CAPLUS
CN Pentanoic acid, 5-[(1-amino-7-isoquinolinyl)oxy]-4-[[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]amino]-, (4R)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

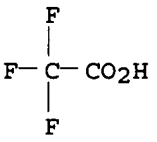
CRN 244257-20-3
CMF C25 H29 N5 O4

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

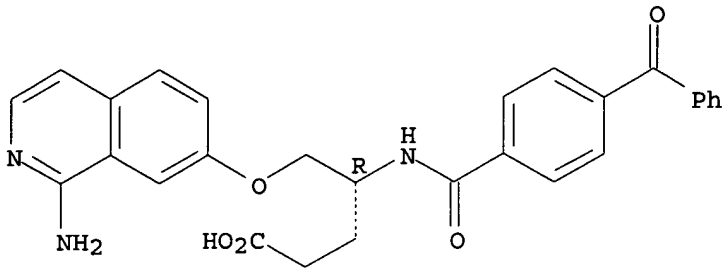


RN 244257-23-6 CAPLUS
CN Pentanoic acid, 5-[(1-amino-7-isoquinolinyl)oxy]-4-[(4-benzoylbenzoyl)amino]-, (4R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

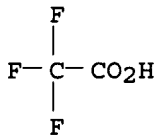
CRN 244257-22-5
CMF C28 H25 N3 O5

Absolute stereochemistry.



CM 2

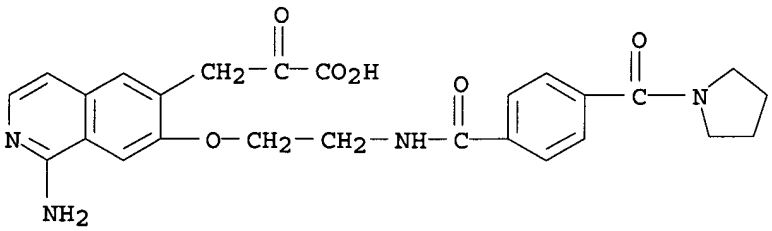
CRN 76-05-1
CMF C2 H F3 O2



RN 244257-25-8 CAPLUS
CN 6-Isoquinolinepropanoic acid, 1-amino-.alpha.-oxo-7-[2-[[4-(1-pyrrolidinylcarbonyl)benzoyl]amino]ethoxy]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

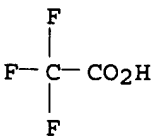
CM 1

CRN 244257-24-7
CMF C26 H26 N4 O6



CM 2

CRN 76-05-1
CMF C2 H F3 O2

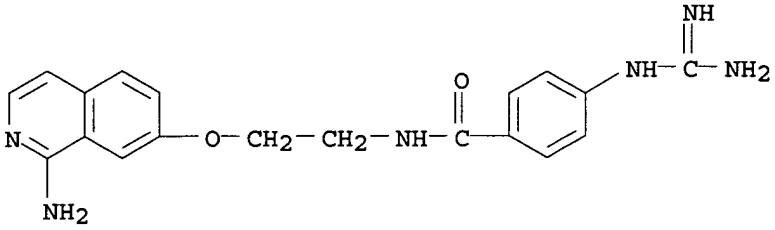


RN 244257-27-0 CAPLUS

CN Benzamide, 4-[(aminoiminomethyl)amino]-N-[2-[(1-amino-7-isoquinolinyl)oxy]ethyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

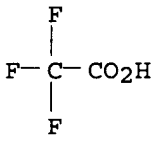
CM 1

CRN 244257-26-9
CMF C19 H20 N6 O2



CM 2

CRN 76-05-1
CMF C2 H F3 O2

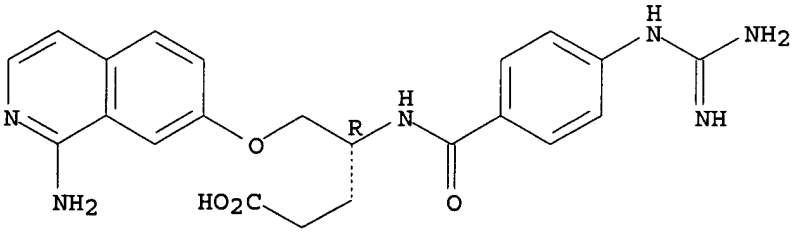


RN 244257-29-2 CAPLUS
CN Pentanoic acid, 4-[[4-[(aminoiminomethyl)amino]benzoyl]amino]-5-[(1-amino-7-isoquinolinyl)oxy]-, (4R)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

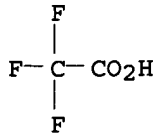
CRN 244257-28-1
CMF C22 H24 N6 O4

Absolute stereochemistry.



CM 2

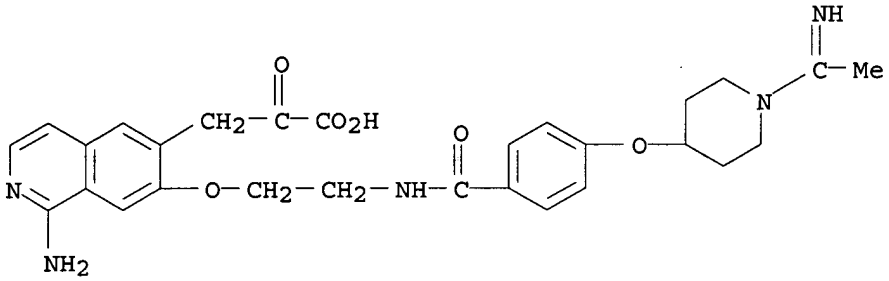
CRN 76-05-1
CMF C2 H F3 O2



RN 244257-31-6 CAPLUS
CN 6-Isoquinolinepropanoic acid, 1-amino-7-[2-[[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]benzoyl]amino]ethoxy]-.alpha.-oxo-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

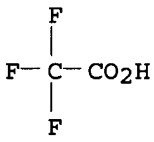
CM 1

CRN 244257-30-5
CMF C28 H31 N5 O6



CM 2

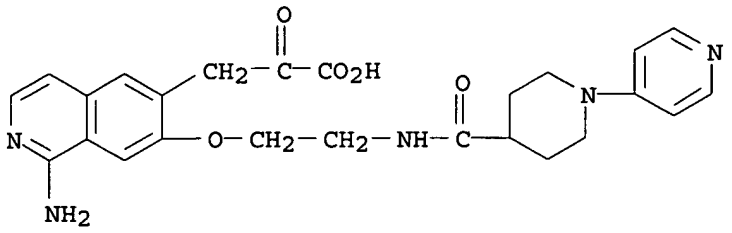
CRN 76-05-1
CMF C2 H F3 O2



RN 244257-33-8 CAPLUS
CN 6-Isoquinolinepropanoic acid, 1-amino-.alpha.-oxo-7-[2-[[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]amino]ethoxy]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

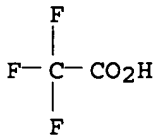
CM 1

CRN 244257-32-7
CMF C25 H27 N5 O5



CM 2

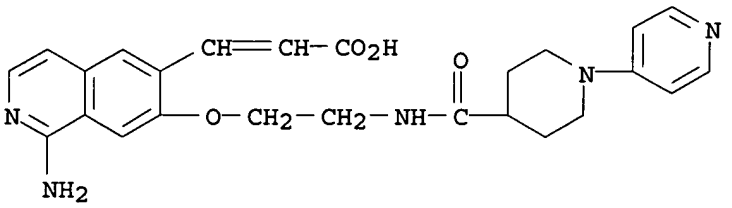
CRN 76-05-1
CMF C2 H F3 O2



RN 244257-35-0 CAPLUS
CN 2-Propenoic acid, 3-[1-amino-7-[2-[[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]amino]ethoxy]-6-isoquinolinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

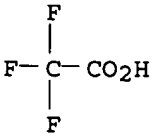
CM 1

CRN 244257-34-9
CMF C25 H27 N5 O4



CM 2

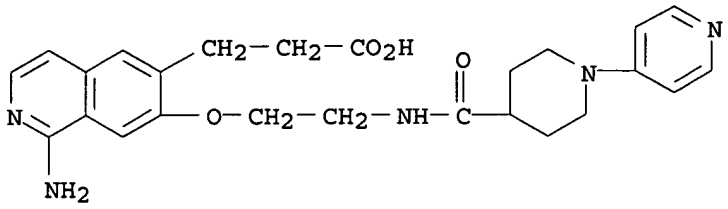
CRN 76-05-1
CMF C2 H F3 O2



RN 244257-37-2 CAPLUS
CN 6-Isoquinolinepropanoic acid, 1-amino-7-[2-[[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]amino]ethoxy]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

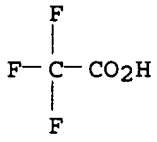
CM 1

CRN 244257-36-1
CMF C25 H29 N5 O4



CM 2

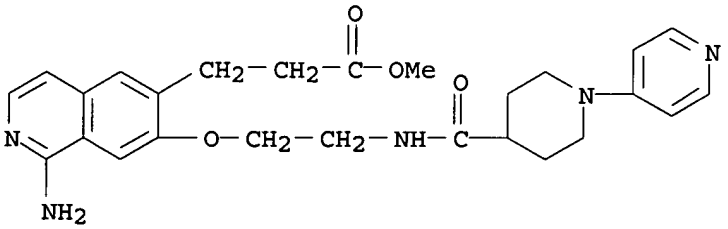
CRN 76-05-1
CMF C2 H F3 O2



RN 244257-39-4 CAPLUS
CN 6-Isoquinolinepropanoic acid, 1-amino-7-[2-[[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]amino]ethoxy]-, methyl ester, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

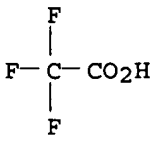
CM 1

CRN 244257-38-3
CMF C26 H31 N5 O4



CM 2

CRN 76-05-1
CMF C2 H F3 O2

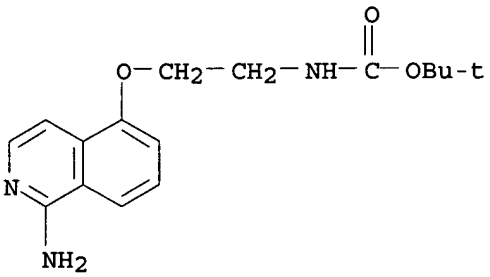


IT **244257-45-2P 244257-53-2P 244257-58-7P**
244257-60-1P 244257-66-7P 244257-68-9P
244257-70-3P 244257-72-5P 244257-74-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of aminoisoquinoline derivs. as inhibitors of activated blood coagulation factor X)

RN 244257-45-2 CAPLUS
CN Carbamic acid, [2-[(1-amino-5-isoquinolinyl)oxy]ethyl]-, 1,1-dimethylethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

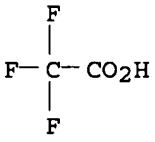
CM 1

CRN 244257-44-1
CMF C16 H21 N3 O3

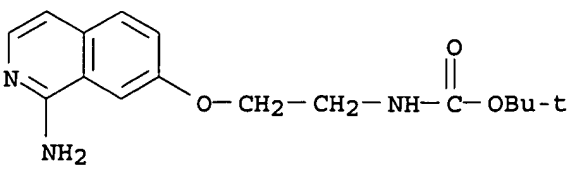


CM 2

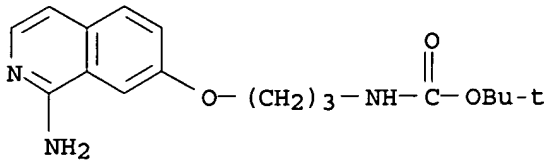
CRN 76-05-1
CMF C2 H F3 O2



RN 244257-53-2 CAPLUS
CN Carbamic acid, [2-[(1-amino-7-isoquinolinyl)oxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

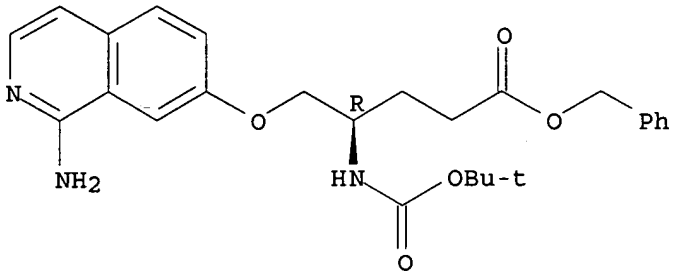


RN 244257-58-7 CAPLUS
CN Carbamic acid, [3-[(1-amino-7-isoquinolinyl)oxy]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

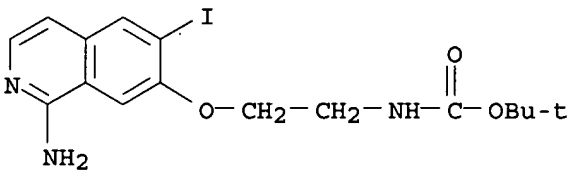


RN 244257-60-1 CAPLUS
CN Pentanoic acid, 5-[(1-amino-7-isoquinolinyl)oxy]-4-[[(1,1-dimethylethoxy)carbonyl]amino]-, phenylmethyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



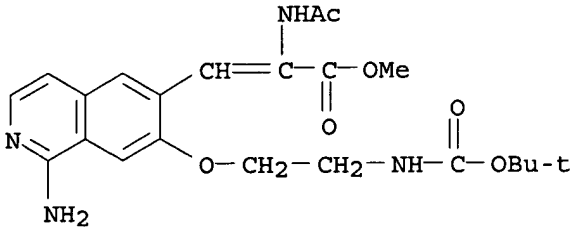
RN 244257-66-7 CAPLUS
CN Carbamic acid, [2-[(1-amino-6-iodo-7-isoquinolinyl)oxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 244257-68-9 CAPLUS
CN 2-Propenoic acid, 2-(acetylamino)-3-[1-amino-7-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethoxy]-6-isoquinolinyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

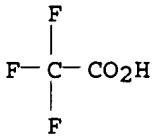
CM 1

CRN 244257-67-8
CMF C22 H28 N4 O6



CM 2

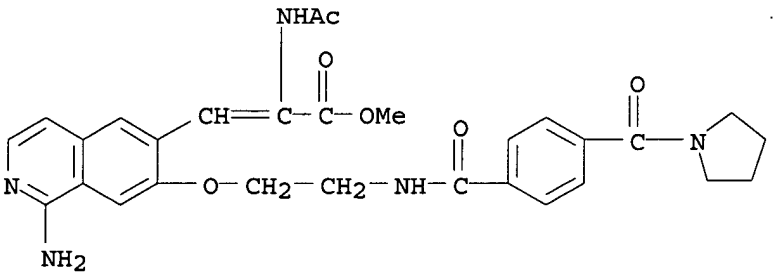
CRN 76-05-1
CMF C2 H F3 O2



RN 244257-70-3 CAPLUS
CN 2-Propenoic acid, 2-(acetylamino)-3-[1-amino-7-[2-[[4-(1-pyrrolidinylcarbonyl)benzoyl]amino]ethoxy]-6-isoquinolinyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

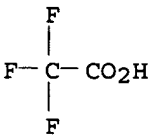
CM 1

CRN 244257-69-0
CMF C29 H31 N5 O6



CM 2

CRN 76-05-1
CMF C2 H F3 O2

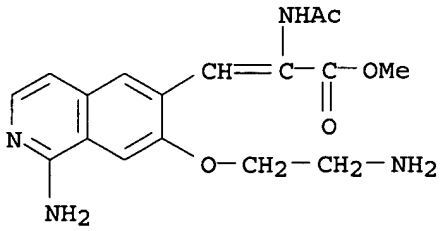


RN 244257-72-5 CAPLUS

CN 2-Propenoic acid, 2-(acetylamino)-3-[1-amino-7-(2-aminoethoxy)-6-isoquinolinyl]-, methyl ester, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

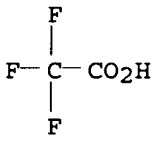
CM 1

CRN 244257-71-4
CMF C17 H20 N4 O4



CM 2

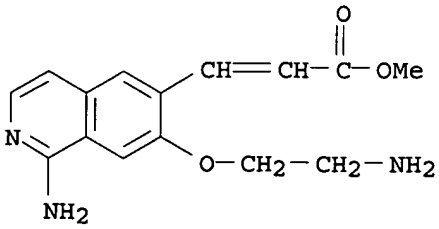
CRN 76-05-1
CMF C2 H F3 O2



RN 244257-74-7 CAPLUS
CN 2-Propenoic acid, 3-[1-amino-7-(2-aminoethoxy)-6-isoquinolinyl]-, methyl ester, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

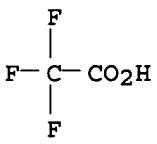
CM 1

CRN 244257-73-6
CMF C15 H17 N3 O3



CM 2

CRN 76-05-1
CMF C2 H F3 O2



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:282202 CAPLUS
DOCUMENT NUMBER: 130:311705
TITLE: Preparation of isoquinolinylguanidines as urokinase inhibitors.
INVENTOR(S): Barber, Christopher Gordon; Fish, Paul Vincent; Dickinson, Roger Peter
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
SOURCE: PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9920608	A1	19990429	WO 1998-EP6353	19981005
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RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2306782	AA	19990429	CA 1998-2306782	19981005
AU 9911508	A1	19990510	AU 1999-11508	19981005
AU 727315	B2	20001207		
EP 1023268	A1	20000802	EP 1998-954357	19981005
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9812922	A	20000808	BR 1998-12922	19981005
JP 2001520221	T2	20011030	JP 2000-516950	19981005
NZ 503390	A	20020328	NZ 1998-503390	19981005
AT 240943	E	20030615	AT 1998-954357	19981005
ZA 9809412	A	20000417	ZA 1998-9412	19981015
AP 959	A	20010417	AP 1998-1366	19981019
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BG 104328	A	20001229	BG 2000-104328	20000411
NO 2000001924	A	20000615	NO 2000-1924	20000413
HR 2000000217	A1	20001031	HR 2000-217	20000414
US 6248738	B1	20010619	US 2000-424497	20000530

PRIORITY APPLN. INFO.: GB 1997-21964 A 19971016
WO 1998-EP6353 W 19981005
OTHER SOURCE(S): MARPAT 130:311705
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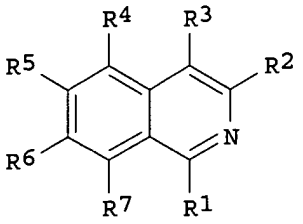


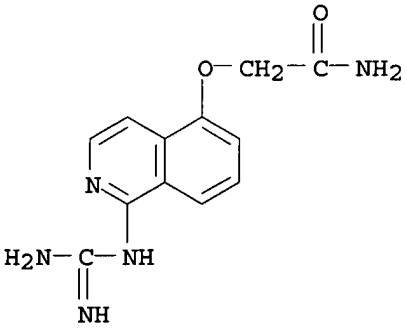
I

AB Title compds. [I; 1 of R1, R2 = H, the other = N:C(NH2)2 or NHC(:NH)NH2; R3 = H, halo, (halo)alkyl, (halo)alkoxy; R4-R7 = H, OH, halo, (substituted) alkyl, alkoxy, alkylcarbonyl, aryl, heteroaryl, cyanoalkoxy, arylsulfonylvinyl, aminocarbonylvinyl, etc.; adjacent pairs of R4-R7 = alkylenedioxy], were prepd. Thus, guanidine hydrochloride in Me2SO was stirred with NaH followed by addn. of 1-chloroisoquinoline and heating at 100.degree. for 3 days to give 1-isoquinolinylguanidine. Tested I inhibited urokinase with Ki = 63-400 nM.

IT **223670-50-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of isoquinolinylguanidines as urokinase inhibitors)

RN 223670-50-6 CAPLUS

CN Acetamide, 2-[[1-[(aminoiminomethyl)amino]-5-isoquinolinyl]oxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1983:143288 CAPLUS
DOCUMENT NUMBER: 98:143288
TITLE: 1,5-Substituted isoquinoline derivatives
INVENTOR(S): Lowrie, Harman Smith
PATENT ASSIGNEE(S): G. D. Searle & Co., USA
SOURCE: Eur. Pat. Appl., 30 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 64294	A1	19821110	EP 1982-103812	19820504

R: BE, CH, DE, FR, GB, IT, NL, SE

US 4473501	A	19840925	US 1981-329789	19811211
NO 8201458	A	19821105	NO 1982-1458	19820503
DK 8201981	A	19821105	DK 1982-1981	19820503
AU 8283217	A1	19821111	AU 1982-83217	19820503
JP 57192367	A2	19821126	JP 1982-75070	19820504
ZA 8203052	A	19830629	ZA 1982-3052	19820504
ES 511914	A1	19831201	ES 1982-511914	19820504
JP 58105966	A2	19830624	JP 1982-127450	19820721

PRIORITY APPLN. INFO.: US 1981-260547 19810504
US 1981-329789 19811211

OTHER SOURCE(S): CASREACT 98:143288

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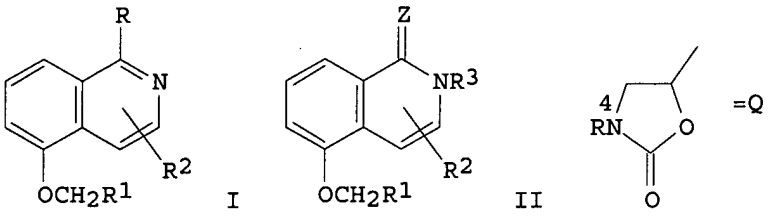
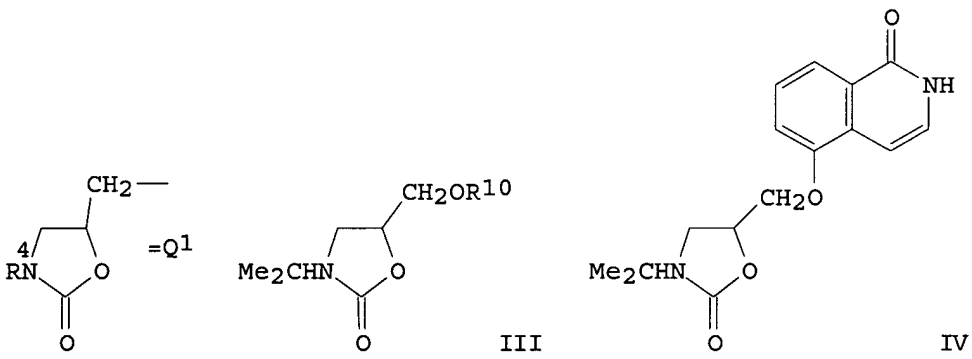


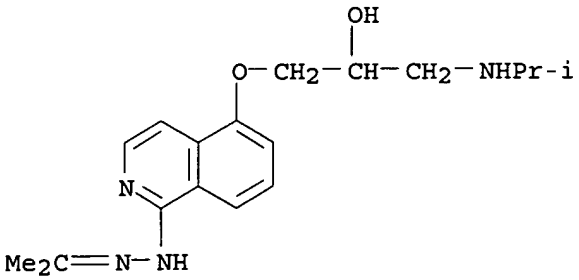


AB Antihypertensive (no data) isoquinolines I and II [R = H, alkyl, HO, H2NNH, HS; Z = O, :NN:CR5R6 (R5, R6 = H, alkyl; R5R6 = alkylene); R1 = CH(OR7)CH2NR8R9, Q (R7 = H, alkyl; R4, R8, R9 = H, alkyl, alkoxyphenylalkyl); R2 = H, halo, alkyl, alkoxy; R3 = H, Q1] were prepd. Thus, ring cleavage of benzyl glycidyl ether with Me2CHNH2 gave PhCH2OCH2CH(OH)CH2NHCHMe2, which cyclized with ClCO2Et to give the oxazolidinone III (R10 = PhCH2). Hydrogenolysis-tosylation of the latter gave III (R10 = tosyl) which underwent substitution by 1,5-dihydroxyisoquinoline to give the isoquinoline IV.

IT **85148-13-6P 85148-21-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

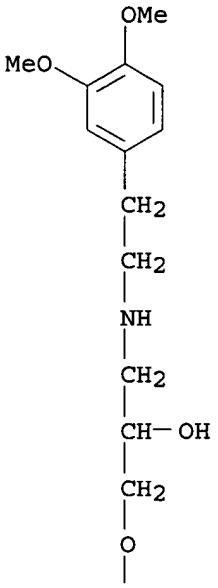
RN 85148-13-6 CAPLUS

CN 1(2H)-Isoquinolinone, 5-[2-hydroxy-3-[(1-methylethyl)amino]propoxy]-, (1-methylethylidene)hydrazone (9CI) (CA INDEX NAME)

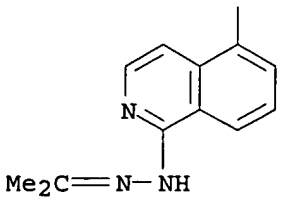


RN 85148-21-6 CAPLUS
CN 1(2H)-Isoquinolinone, 5-[3-[[2-(3,4-dimethoxyphenyl)ethyl]amino]-2-hydroxypropoxy]-, (1-methylethylidene)hydrazone (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



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L6            1 S L4
L7           14 S L5 NOT L6

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L6   ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER:         1977:552255  CAPLUS
DOCUMENT NUMBER:          87:152255
TITLE:                    1-Hydrazinophthalazines
INVENTOR(S):              Roe, Athony Maitland; Slater, Robert Antony; Taylor,
                          Edwin Michael
PATENT ASSIGNEE(S):       Smith Kline and French Laboratories Ltd., UK
SOURCE:                   Ger. Offen., 23 pp.
                          CODEN: GWXXBX
DOCUMENT TYPE:            Patent
LANGUAGE:                 German
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE           APPLICATION NO.   DATE
     ---------------   ----  --------       ---------------   --------
     DE 2705414         A1   19770811       DE 1977-2705414   19770209
     GB 1567907         A    19800521       GB 1976-4896      19760209
     JP 52097986        A2   19770817       JP 1977-12911     19770208
     FR 2340310         A1   19770902       FR 1977-3442      19770208
     FR 2340310         B1   19800307
     BE 851237          A1   19770809       BE 1977-174774    19770209
PRIORITY APPLN. INFO.:                   GB 1976-4896         19760209
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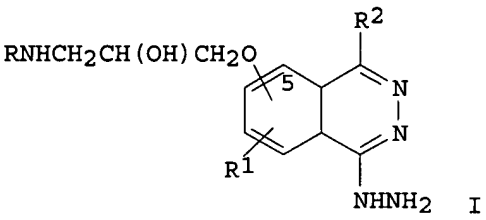

I

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AB   Hydrazinophthalazines I (R = Me2CH, Me3C; R1 = Br, Cl, Me, MeO, H; R2 = H,
     Me, PhCH2,Cl) were prepd. for use as .beta.-receptor blocking agents and
     vasodilators (no data).  Thus, 3-hydroxy-4-nitrophthlide reacted with H2H4
     in the presence of Pd/C to give 5-amino-1(2H)-phthalazinone, which was
     converted via the diazonim salt into 5-hydroxy-1(2H)-phthalazinone (II).
     Treatment of II with epibromohydrin and Me3CNH2, followed by acetylation,
     treatment with P2S5, hydrolysis, and reaction with N2H4 gave I (R =
     5-Me3C, R1 = R2 = H).
IT   64223-65-0P 64223-74-1P 64223-79-6P
     64223-81-0P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. of)
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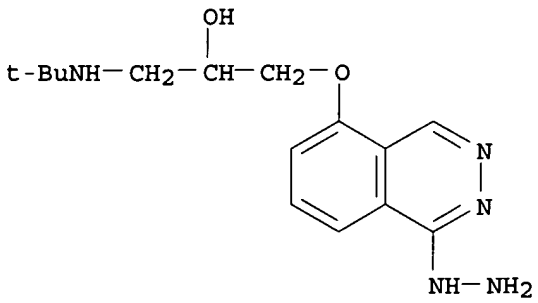
09/ 830,227

RN 64223-65-0 CAPLUS
CN 1(2H)-Phthalazinone, 5-[3-[(1,1-dimethylethyl)amino]-2-hydroxypropoxy]-, hydrazone, sulfate (salt) (9CI) (CA INDEX NAME)

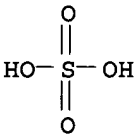
CM 1

CRN 64223-64-9
CMF C15 H23 N5 O2

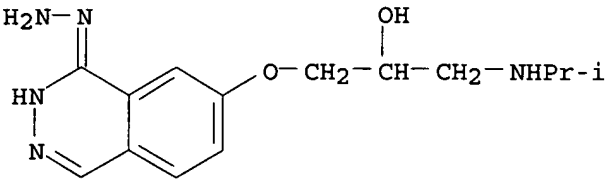


CM 2

CRN 7664-93-9
CMF H2 O4 S

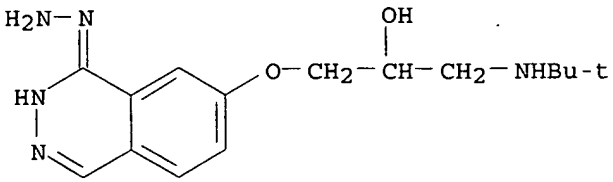


RN 64223-74-1 CAPLUS
CN 1(2H)-Phthalazinone, 7-[2-hydroxy-3-[(1-methylethyl)amino]propoxy]-, hydrazone, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RN 64223-79-6 CAPLUS
CN 1(2H)-Phthalazinone, 7-[3-[(1,1-dimethylethyl)amino]-2-hydroxypropoxy]-, hydrazone (9CI) (CA INDEX NAME)



RN 64223-81-0 CAPLUS
CN 1(2H)-Phthalazinone, 6-bromo-7-[2-hydroxy-3-[(1-methylethyl)amino]propoxy]-, hydrazone (9CI) (CA INDEX NAME)